

84361

Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Hong Liq Examiner #: _____ Date: 1/15/03
 Art Unit: 1624 Phone Number 30 6-5814 Serial Number: 09/669, 298
 Mail Box and Bldg/Room Location: 4601 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: _____
 Inventors (please provide full names): _____

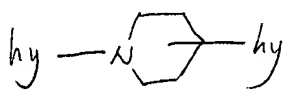
Earliest Priority Filing Date: _____

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Barb please

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Point of Contact:
 Barb O'Bryen
 Technical Information Specialist
 STIC CM1 6A05 308-4291

STAFF USE ONLY		Type of Search	Vendors and cost where applicable
Searcher: <u>BOB</u>	NA Sequence (#) _____	STN <u>494</u>	
Searcher Phone #: _____	AA Sequence (#) _____	Dialog _____	
Searcher Location: _____	Structure (#) <u>3</u>	Questel/Orbit _____	
Date Searcher Picked Up: _____	Bibliographic _____	Dr.Link _____	
Date Completed: <u>1-17-03</u>	Litigation _____	Lexis/Nexis _____	
Searcher Prep & Review Time: <u>35</u>	Fulltext _____	Sequence Systems _____	
Clerical Prep Time: _____	Patent Family _____	WWW/Internet _____	
Online Time: <u>24</u>	Other _____	Other (specify) _____	

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=> fil reg; d stat que 119; fil cap1; d que nos 120
FILE 'REGISTRY' ENTERED AT 15:50:51 ON 17 JAN 2003
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 16 JAN 2003 HIGHEST RN 479347-08-5
DICTIONARY FILE UPDATES: 16 JAN 2003 HIGHEST RN 479347-08-5

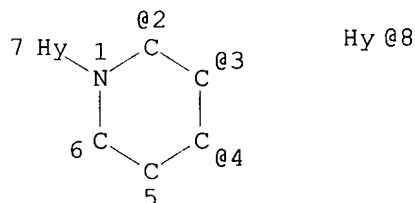
TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

L8 557855 SEA FILE=REGISTRY ABB=ON 46.156.1/RID - all structures containing
L10 416976 SEA FILE=REGISTRY ABB=ON L8 AND NR>2
L12 STR

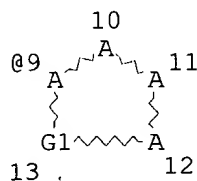
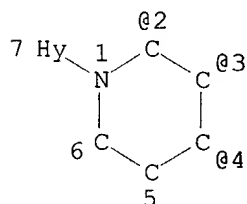


VPA 8-2/3/4 U
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 7 - heterocycle at 7
GGCAT IS MCY AT 8 - heterocycle at 8 is
DEFAULT ECLEVEL IS LIMITED monocyclic
ECOUNT IS M1 N AT 8 - heterocycle at 8
has at least 1 nitrogen

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE
L13 STR



REP G1=(1-2) A
VPA 9-2/3/4 U
NODE ATTRIBUTES:

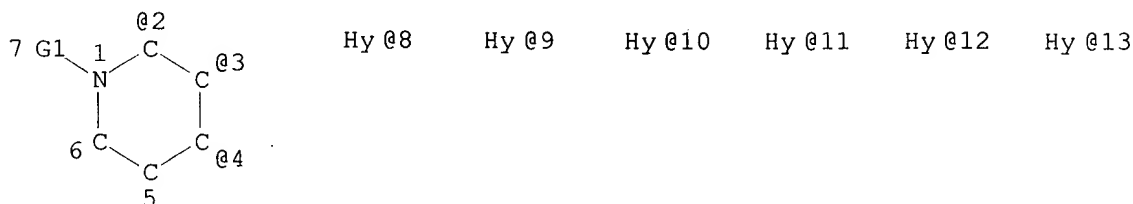
full file search done against
/RID answer set, looking
for overlap of these 2 structures

A = any non-hydrogen atom
(5 or 6-membered heterocycle)

DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 7
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
L15 1406 SEA FILE=REGISTRY SUB=L10 SSS FUL (L12 AND L13)
L17 STR



Hy @14 Hy @15 Hy @16 Hy @17 Hy @18 Hy @19 Hy @20 Hy @21

Hy @22 Hy @23 Hy @24 Hy @25 Hy @26

VAR G1=9/10/11/12/13/14/15/16/17/18/19/20/21/22/23/24/25/26 - represent definitions of R, from page 2 of claims

VPA 8-2/3/4 U
NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM
GGCAT IS MCY AT 8
GGCAT IS PCY LOQ UNS AT 9
GGCAT IS MCY UNS AT 10
GGCAT IS PCY UNS AT 11
GGCAT IS MCY UNS AT 12
GGCAT IS MCY UNS AT 13
GGCAT IS MCY UNS AT 14
GGCAT IS MCY UNS AT 15
GGCAT IS PCY UNS AT 16
GGCAT IS MCY UNS AT 17
GGCAT IS MCY UNS AT 18
GGCAT IS MCY UNS AT 19
GGCAT IS MCY UNS AT 20
GGCAT IS MCY UNS AT 21
GGCAT IS PCY UNS AT 22
GGCAT IS PCY UNS AT 23
GGCAT IS MCY UNS AT 24
GGCAT IS PCY UNS AT 25
GGCAT IS PCY UNS AT 26

DEFAULT ECLEVEL IS LIMITED
ECOUNT IS M1 N AT 8
ECOUNT IS E8 C E1 N AT 9
ECOUNT IS M3-X4 C E2 N AT 10
ECOUNT IS E7 C E2 N AT 11

ECOUNT IS E3 C E1 N E1 O AT 14
ECOUNT IS E3 C E1 N E1 S AT 15
ECOUNT IS E6 C E2 N E1 O AT 16
ECOUNT IS E2 C E2 N E1 O AT 17
ECOUNT IS E2 C E3 N AT 18

mcy = monocyclic
pcy = polycyclic
uns = unsaturated
log = only one hetero atom

element counts

X = maximum

ECOUNT IS E4 C E1 O AT 19
ECOUNT IS E4 C E1 S AT 20
ECOUNT IS E4 C E1 N AT 21
ECOUNT IS E8 C E1 O AT 22
ECOUNT IS E6 C E2 N E1 S AT 23
ECOUNT IS E2 C E2 N E1 S AT 24
ECOUNT IS E6 C E3 N AT 25
ECOUNT IS E5 C E2 N E1 S AT 26

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE

L19 484 SEA FILE=REGISTRY SUB=L15 SSS.FUL L17

100.0% PROCESSED 1406 ITERATIONS

484 ANSWERS

SEARCH TIME: 00.00.01

FILE 'CAPLUS' ENTERED AT 15:50:51 ON 17 JAN 2003
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FILE COVERS 1907 - 17 Jan 2003 VOL 138 ISS 4
FILE LAST UPDATED: 16 Jan 2003 (20030116/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

L8 557855 SEA FILE=REGISTRY ABB=ON 46.156.1/RID
L10 416976 SEA FILE=REGISTRY ABB=ON L8 AND NR>2
L12 STR
L13 STR
L15 1406 SEA FILE=REGISTRY SUB=L10 SSS FUL (L12 AND L13)
L17 STR
L19 484 SEA FILE=REGISTRY SUB=L15 SSS FUL L17
L20 58 SEA FILE=CAPLUS ABB=ON L19

=> d ibib abs hitstr l20 1-58; fil cao; d que nos l22; fil hom

L20 ANSWER 1 OF 58 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:814288 CAPLUS
DOCUMENT NUMBER: 137:325411
TITLE: Thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and

Searched by Barb O'Bryen, STIC 308-4291

ref 1-28 is checked.

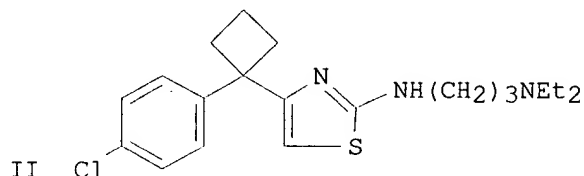
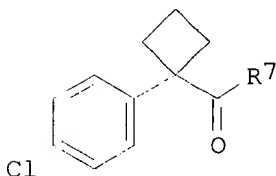
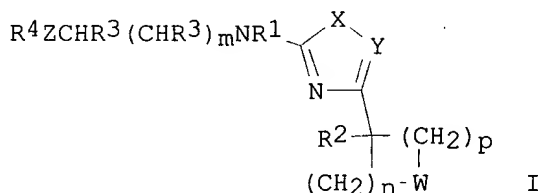
INVENTOR(S): transporters
Cuny, Gregory D.; Hauske, James R.; Heffernan, Michele
L.; Holland, Joanne M.; Persons, Paul E.; Radeke,
Heike
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083863	A2	20021024	WO 2002-US11692	20020412

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-284159P P 20010417
US 2001-313648P P 20010820

OTHER SOURCE(S): MARPAT 137:325411
GI



III

AB Title compds. I [W = CH₂, O, NR; X = O, S; Y = CR₅, N; Z = NR₆, O; R, R₁, R₄ = H, alkyl; R₂ = aryl, heteroaryl; R₃ = H, alkyl, alkoxy, alkylamino; R₅ = H, alkyl, halogen; R₆ = H, alkyl, aryl, aralkyl; R₁R₃, R₁R₄, R₃R₄, R₃R₆, R₄R₆ = bond; m, n = 0-3; p = 1-3] and their stereoisomers were prepd. for use as ligands for various mammalian cellular receptors including G-proteins.

These compds. will find use in the treatment of ailments, such as addiction, anxiety, depression, sexual dysfunction, hypertension, migraine, Alzheimer's disease, obesity, emesis, psychosis, analgesia, schizophrenia, Parkinson's disease, restless leg syndrome, sleeping disorders, attention deficit hyperactivity disorder, irritable bowel syndrome, premature ejaculation,

menstrual dysphoria syndrome, urinary incontinence, inflammatory pain, neuropathic pain, Lesche-Nyhan disease, Wilson's disease, Tourette's syndrome, psychiatric disorders, stroke, senile dementia, peptic ulcers, pulmonary obstruction disorders, and asthma. Thus, the acid II [R7 = OH] was converted to II [R7 = CH₂Cl] and treated with Et₂N(CH₂)₃NHCSNH₂ to give the thiazole III. III had IC₅₀ for 5-HT_{2c} receptor binding <100 nM and d₃ receptor binding <1000 nM.

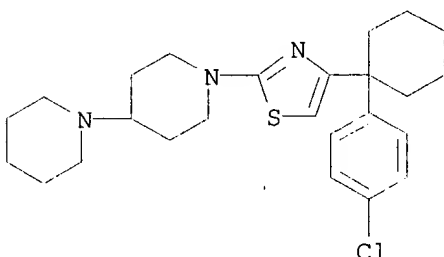
IT **473706-63-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters)

RN 473706-63-7 CAPLUS

CN 1,4'-Bipiperidine, 1'-[4-[1-(4-chlorophenyl)cyclohexyl]-2-thiazolyl]-(9CI) (CA INDEX NAME)



~~LX0~~ ANSWER 2 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:754380 CAPLUS

DOCUMENT NUMBER: 137:263071

TITLE: Preparation of trisubstituted 2,4,6-triamino[1,3,5]triazines as anti-telomerase agents

INVENTOR(S): Mailliet, Patrick; Laoui, Abdelazize; Riou, Jean-Francois; Doerflinger, Gilles; Mergny, Jean-Louis; Hamy, Francois; Caulfield, Thomas

PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.

SOURCE: PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

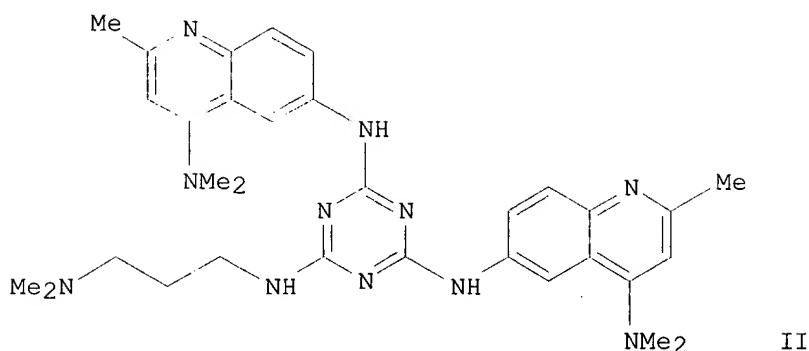
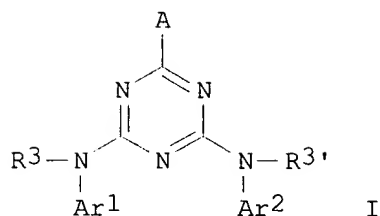
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076975	A1	20021003	WO 2002-FR1005	20020322
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
FR 2822468	A1	20020927	FR 2001-3916	20010323
PRIORITY APPLN. INFO.:			FR 2001-3916	A 20010323
			FR 2001-10370	A 20010802

OTHER SOURCE(S): MARPAT 137:263071

GI



AB Title compds. I [A = XR₁R₂; X = N, O, S, alkyl radical; R₁-2 = H, alkyl, heterocyclyl, etc.; R₃-3' = H, alkyl, isoquinolinyl, quinolinyl, etc.; Ar₁-2 = (un)substituted Ph, etc., and derivs. thereof] were prepd. For instance, 2,4-bis[(4-(dimethylamino)-2-methylquinolin-6-yl)amino]-6-chloro[1,3,5]triazine (prior art) was reacted with N,N-dimethyl-1,3-propanediamine in DMF with K₂CO₃ for 15 h at 100.degree. to afford II. Examples include evaluation of all compds. of the invention for telomerase activity. I are anti-cancer agents.

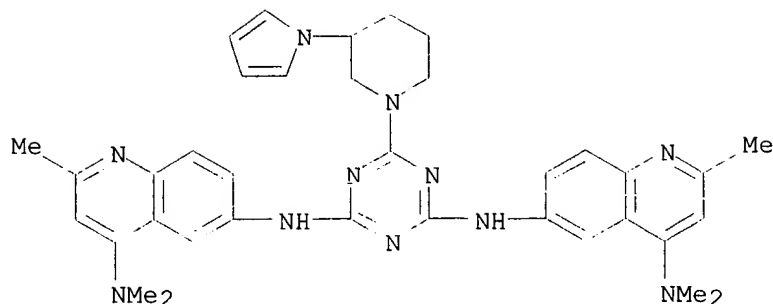
IT **462651-09-8P**, 2-[[4-Dimethylamino-2-methylquinolin-6-yl]amino]-4-[[4-dimethylamino-2-methylquinolin-6-yl]amino]-6-[3-(pyrrolyl)piperidinyl]triazine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of trisubstituted 2,4,6-triamino[1,3,5]triazines as anti-telomerase agents)

RN 462651-09-8 CAPLUS

CN 4,6-Quinolinediamine, N6,N6'-[6-[3-(1H-pyrrol-1-yl)-1-piperidinyl]-1,3,5-triazine-2,4-diyl]bis[N4,N4,2-trimethyl- (9CI) (CA INDEX NAME)

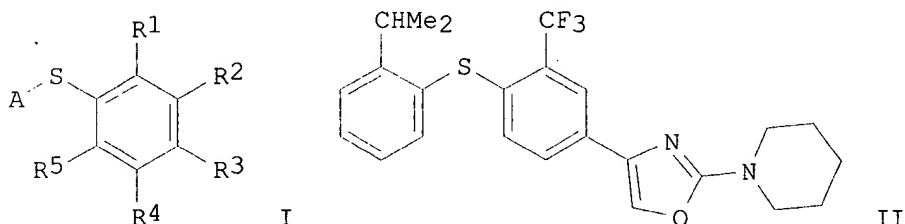


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

20 ANSWER 3 OF 58 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:717059 CAPLUS
DOCUMENT NUMBER: 137:247710
TITLE: Preparation of aryl phenylheterocyclyl sulfides as cell adhesion-inhibiting anti-inflammatory and immune-suppressive agents
INVENTOR(S): Wang, Gary T.; Wang, Sheldon; Gentles, Robert
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 44 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002132807	A1	20020919	US 2001-888840	20010625
PRIORITY APPLN. INFO.:			US 2000-214983P	P 20000629
OTHER SOURCE(S):	MARPAT 137:247710			

GI

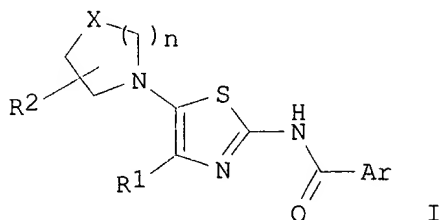


AB The title compds. [I; R1-R5 = H, halo, alkyl, etc. (with proviso that at least one of R1 or R3 = (un)substituted pyridyl, pyrimidyl, oxazolyl, etc.); A = (un)substituted aryl, heterocyclyl] were prepd. for treating inflammatory and immune diseases, such as arthritis, asthma, reperfusion injury, inflammatory bowel disease etc. The products I had IC50 <20 .mu.M for inhibition of ICAM-1 binding to LFA-1. 2-Me2CHC6H4SH was etherified with 4,3-F(F3C)C6H3COMe, followed by bromination, and reaction with 1-carbamoylpiperidine to give the sulfide II.

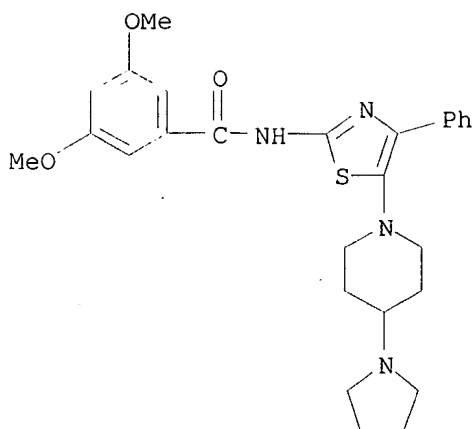
IT 388117-78-0P 388117-79-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

GT

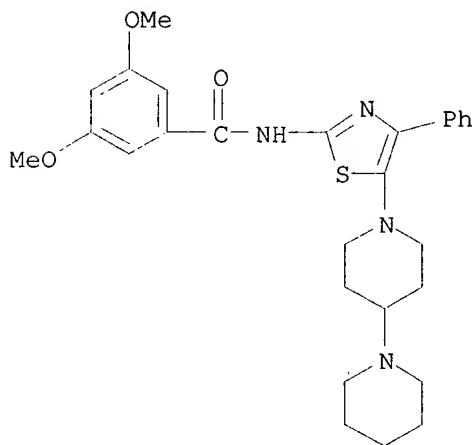


RN 446065-96-9 CAPLUS
CN Benzamide, 3,5-dimethoxy-N-[4-phenyl-5-[4-(1-pyrrolidinyl)-1-piperidinyl]-2-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 446065-97-0 CAPLUS
 CN Benzamide, N-(5-[1,4'-bipiperidin]-1'-yl-4-phenyl-2-thiazolyl)-3,5-dimethoxy-, monohydrochloride (9CI) (CA INDEX NAME)

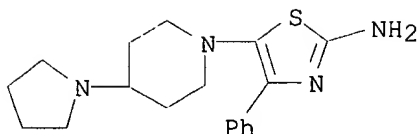


● HCl

IT 446065-39-0P, 2-Amino-5-[4-(pyrrolidin-1-yl)piperidin-1-yl]-4-phenylthiazole 446065-40-3P, 2-Amino-5-[4-(piperidin-1-yl)piperidin-1-yl]-4-phenylthiazole
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

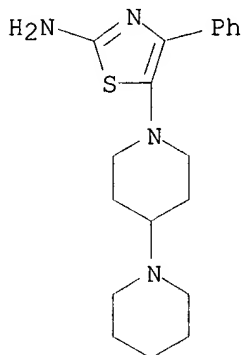
(prepn. of acylaminothiazole derivs. or salts as promoters of megalocaryogenesis)

446065-39-0 CAPLUS
 CN 2-Thiazolamine, 4-phenyl-5-[4-(1-pyrrolidinyl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 446065-40-3 CAPLUS

CN 2-Thiazolamine, 5-[1,4'-bipiperidin]-1'-yl-4-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:615577 CAPLUS

DOCUMENT NUMBER: 137:169536

TITLE: Preparation of aryl-substituted tetrahydropyrimidines and related compounds as melanocortin-4 receptor binding compounds

INVENTOR(S): Maguire, Martin P.; Dai, Mingshi; Vos, Tricia J.

PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 228 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062766	A2	20020815	WO 2002-US3566	20020207
WO 2002062766	A3	20021003		

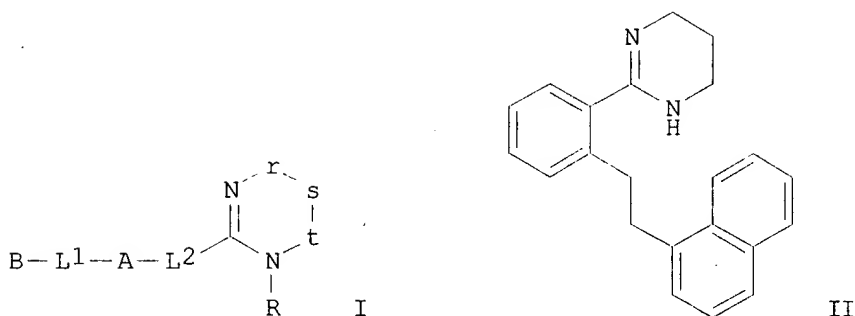
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-778468 A 20010207

OTHER SOURCE(S): MARPAT 137:169536

GI



AB Title compds. I [wherein A and B = independently (un)substituted biaryl, (hetero)aryl, Ph, (cyclo)alkyl, (cyclo)alkoxy, alkenyl, alkynyl, OH, acyl(oxy), carbamoyl, amino, thiol, amidino, imino, NO₂, N₃, etc.; L1 and L2 = covalent bond or (un)substituted alkyl optionally interrupted by O, S, or N; r = covalent bond, CH, CH₂, CHR₁, CR₁R₂, or H; t = CH, CH₂, CHR₃, CR₃R₄, or H; s = CHR₅, CR₅R₆, or absent; R = H, (un)substituted alkyl, arylalkyl, or heteroalkyl, and may optionally be linked to A, B, L1, or L2; R₁-R₆ = independently (un)substituted alkyl, halo, thiol, thioether, thioalkyl, alkoxy, and may be optionally linked to each other to form addnl. ring moieties, e.g., quinoxalinyll; or pharmaceutically acceptable salts thereof] were prepd. as melanocortin-4 receptor binding (MC4-R) compds. For example, stirring a soln. of .alpha.-tolunitrile with diisopropylamine and BuLi in hexanes at -78.degree. under nitrogen for 1 h, followed by addn. of HMPA and 1-chloromethylnaphthalene in THF, afforded 2-(2-naphthalen-1-ylethyl)benzonitrile. Heating the benzonitrile with 1,3-diaminopropane in the presence of H₂S at 80.degree. for 72 h gave the tetrahydropyrimidinyl cycloaddn. product II. The latter exhibited exemplary inhibition of MC4-R in a scintillation proximity assay. I are useful for the treatment of disorders assocd. with pigmentation, bones, or wt. loss (no data).

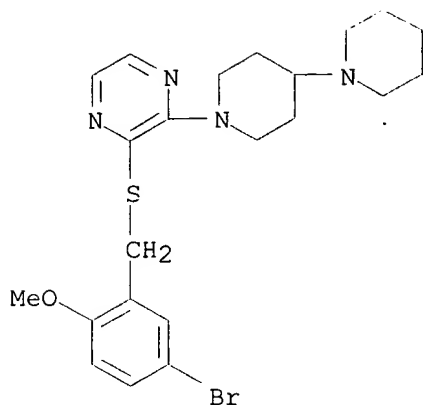
IT 326484-02-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(MC4-R binding compd.; prepn. of aryl-substituted tetrahydropyrimidines and related compds. as melanocortin-4 receptor binding compds. for treatment of pigmentation, bone, and wt. loss disorders)

RN 326484-02-0 CAPLUS

CN Pyrazine, 2-[1,4'-bipiperidin]-1'-yl-3-[[5-bromo-2-methoxyphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



120 ANSWER 6 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:487561 CAPLUS

DOCUMENT NUMBER: 137:63240

TITLE: Preparation of thiazolyl inhibitors of Tec family tyrosine kinases

INVENTOR(S): Barrish, Joel C.; Das, Jagabandhu; Kanner, Steven B.;
Liu, Chunjian; Spergel, Steven H.; Witayk, John;
Doweyko, Arthur M. P.; Furch, Joseph A.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 149 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

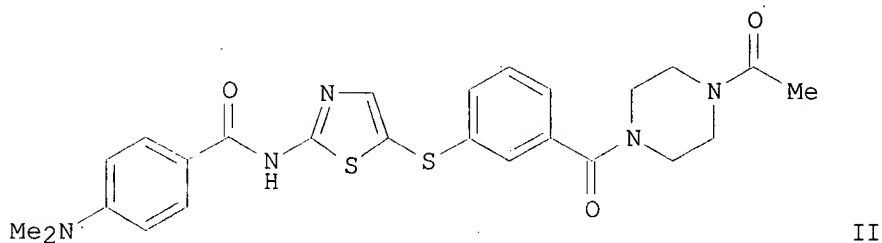
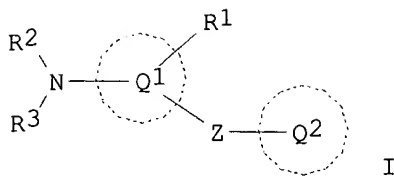
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050071	A1	20020627	WO 2001-US49430	20011219
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002031139	A5	20020701	AU 2002-31139	20011219
PRIORITY APPLN. INFO.:			US 2000-257830P	P 20001221
			WO 2001-US49430	W 20011219

OTHER SOURCE(S): MARPAT 137:63240

GI



AB The title compds. [I; Q1 = thiazolyl; Q2 = (un)substituted (hetero)aryl; Z = O, S, NR4, etc.; R1 = H, OH, SH, etc.; R2, R3 = H, (un)substituted (hetero)aryl, (hetero)arylcarbonyl, etc.; R4 = H, alkyl, aryl, etc.], useful in the treatment of Tec family tyrosine kinase-assocd. disorders such as cancer, immunol. disorders and allergic disorders, were prepd. E.g., a multi-step synthesis of the thiazole II, was given.

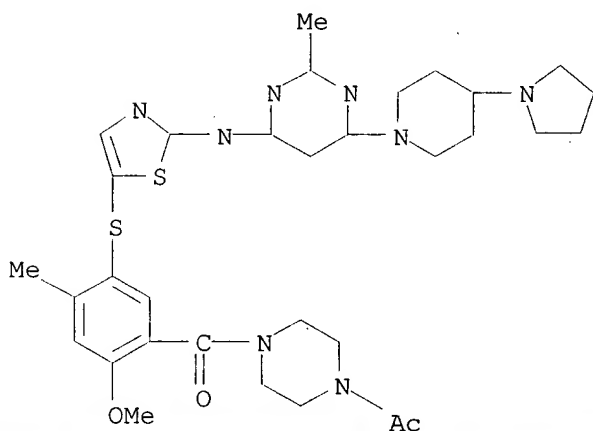
IT **439576-65-5P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of thiazolyl inhibitors of Tec family tyrosine kinases)

RN 439576-65-5 CAPLUS

CN Piperazine, 1-acetyl-4-[2-methoxy-4-methyl-5-[[2-[[2-methyl-6-[4-(1-pyrrolidinyl)-1-piperidinyl]-4-pyrimidinyl]amino]-5-thiazolyl]thio]benzoyl]- (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM TO FOLLOW ***

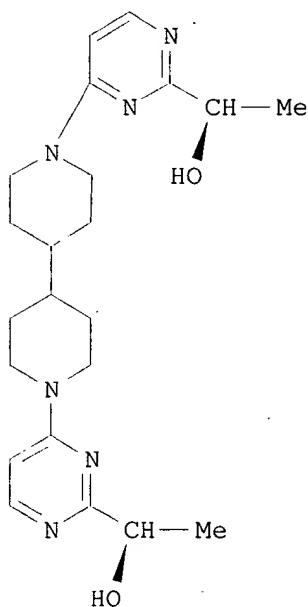
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20, ANSWER 7 OF 58 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:428741 CAPLUS
 DOCUMENT NUMBER: 137:10996

Searched by Barb O'Bryen, STIC 308-4291

TITLE: Combination of GABA agonists and sorbitol
dehydrogenase inhibitors
INVENTOR(S): Mylari, Banavara Lakshman
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002043762	A2	20020606	WO 2001-IB2213	20011119
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002015159	A5	20020611	AU 2002-15159	20011119
US 2002091128	A1	20020711	US 2001-997038	20011129
PRIORITY APPLN. INFO.:			US 2000-250069P P	20001130
			WO 2001-IB2213 W	20011119
OTHER SOURCE(S):	MARPAT 137:10996			
GI				



AB This invention relates to pharmaceutical compns. comprising combinations of a GABA agonist, a prodrug thereof or a pharmaceutically acceptable salt of said GABA agonist or said prodrug and a SDI, a prodrug thereof or a pharmaceutically acceptable salt of said SDI or said prodrug, kits contg. such combinations and methods of using such combinations to treat mammals,

including humans, suffering from diabetic complications such as diabetic neuropathy, diabetic nephropathy, diabetic cardiomyopathy, diabetic retinopathy, diabetic microangiopathy, diabetic macroangiopathy, cataracts or foot ulcers. An example GABA agonist is gabapentin and example SDI is I.

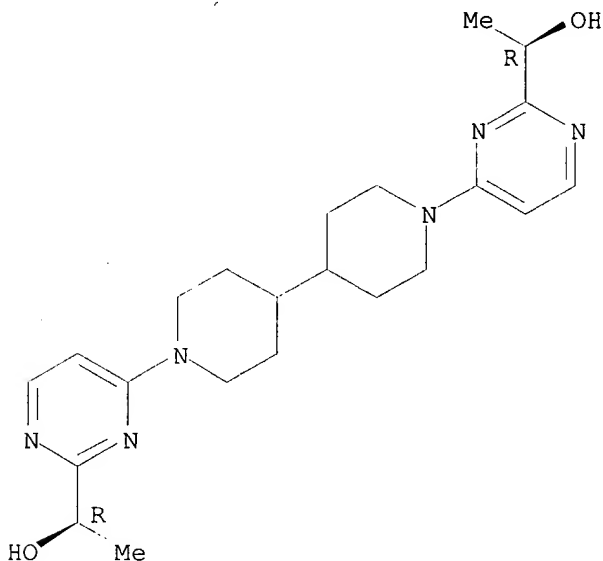
IT 300548-76-9

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of GABA agonists and sorbitol dehydrogenase inhibitors)

RN 300548-76-9 CAPLUS

CN 2-Pyrimidinemethanol, 4,4'-[4,4'-bipiperidine]-1,1'-diylbis[.alpha.-methyl-, (.alpha.R,.alpha.'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



20 ANSWER 8 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:314757 CAPLUS

DOCUMENT NUMBER: 136:345787

TITLE: Combination of statins and sorbitol dehydrogenase inhibitors

INVENTOR(S): Mylari, Banavara Lakshman

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032411	A2	20020425	WO 2001-IB1506	20010820
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GR, HU, IL, IN, JP, KE, KG, KP, KR, KZ, LA, MD, MG, MN, MW, MY, NZ, OM, PA, PE, PG, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

AU 2001076645 A5 20020429 AU 2001-76645 20010820
PRIORITY APPLN. INFO.: US 2000-241339P P 20001018
WO 2001-IB1506 W 20010820

AB This invention relates to pharmaceutical compns. comprising combinations of a statin or it salt, a prodrug or the prodrug and a sorbitol dehydrogenase inhibitor, a prodrug or a salt of the sorbitol dehydrogenase inhibitor or the prodrug. Kits contg. such combinations and methods of using such combinations to treat mammals, including humans, suffering from arteriosclerosis and/or diabetic complications such as diabetic neuropathy, diabetic nephropathy, diabetic cardiomyopathy, diabetic retinopathy, diabetic microangiopathy, diabetic macroangiopathy, cataracts or foot ulcers are disclosed. The statins are administered in the following dosage amts.: e.g., atorvastatin 10-80 mg; simvastatin 10-40 mg;.

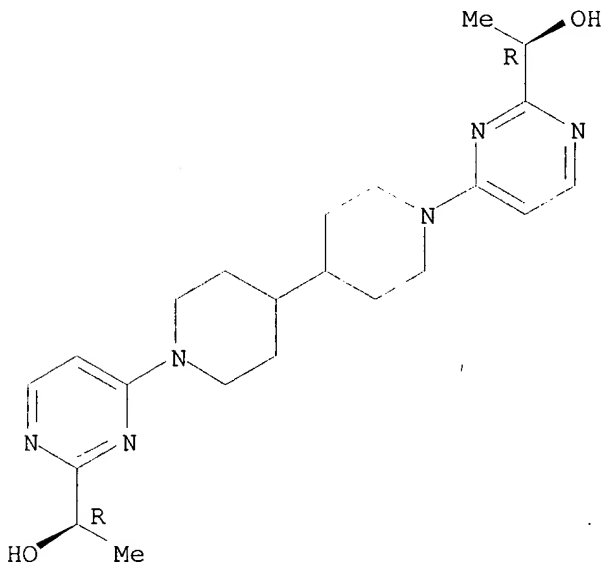
IT 300548-76-9

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of statins and sorbitol dehydrogenase inhibitors)

RN 300548-76-9 CAPLUS

CN 2-Pyrimidinemethanol, 4,4'-[4,4'-bipiperidine]-1,1'-diylbis[.alpha.-methyl-, (.alpha.R,.alpha.'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



120 ANSWER 9 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:220574 CAPLUS

DOCUMENT NUMBER: 136:263158

TITLE: Benzimidazolyl-substituted quinolinone derivatives and analogs, with inhibitory action against vascular endothelial growth factor receptor tyrosine kinase, and useful as anticancer agents

INVENTOR(S): Renhowe, Paul; Pecchi, Sabina; Machajewski, Tim; Shafer, Cynthia; Taylor, Clarke; McCrea, Bill; McBride, Chris; Jazan, Elisa; Wernette-Hammond, Mary-Ellen; Harris, Alex

PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: PCT Int. Appl., 207 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022598	A1	20020321	WO 2001-US42131	20010911
WO 2002022598	C1	20021121		

AU 2001093275	A5	20020326	AU 2001-93275	20010911
US 2002107392	A1	20020808	US 2001-951265	20010911

US	2000-232159P	P	20000911
WO	2001-US42131	W	20010911

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. of formulas I and II are provided [for I: Z = O, S, (un)substituted NH; Y = certain OH derivs., CHO, esters and amides of CO₂H, certain NH₂ derivs.; R₁-R₄ = H, halo, cyano, NO₂, OH or derivs., NH₂ or derivs., (un)substituted amidinyl, guanidinyl, alk(en/yn)yl, aryl, heterocyclyl, CHO, CO₂H and esters and amides; R₅-R₈ = H, halo, NO₂, OH or derivs., NH₂ or derivs., SH or derivs., cyano, etc.; R₉ = H, OH, (un)substituted alkoxy or aryloxy, NH₂ or derivs., (un)substituted alkyl or aryl, CHO, alkanoyl, aroyl; for II: A, B, D, E = C or N, with at least one being N; Y = H, OH or derivs., SH or derivs., NH₂ or derivs., cyano, various acyl groups, (un)substituted alk(en/yn)yl, aralkyl, heterocycloalkyl, aryl, etc.; R₁-R₈ = H, halo, NO₂, cyano, OH or derivs., NH₂ or derivs., acyl, SH or derivs., etc.; R₉ = H, OH, (un)substituted alkoxy, aryloxy, NH₂ or derivs., aryl, CHO, alkanoyl, aroyl]. Also provided are pharmaceutical formulations including the compds. or their pharmaceutically acceptable salts and a pharmaceutically acceptable carrier, which may be prepd. by mixing the compds. or salts with a carrier and water. A disclosed method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient. Claims include tautomers of the compds., pharmaceutically acceptable salts, and pharmaceutically acceptable salts of the tautomers. I and II are inhibitors of receptor tyrosine kinases, and particularly of vascular endothelial growth factor receptor (VEGFR) tyrosine kinase. As such, they are inhibitors of angiogenesis, and thereby act as anticancer agents. Approx 270 invention compds. are listed, with detailed preps. given for about 50 compds. Several general preparatory methods are discussed in detail. For instance, cyclocondensation of Et 2-(benzimidazol-2-yl)acetate with the corresponding ortho-amino nitrile (preps. given), carried out in refluxing ClCH₂CH₂Cl in the presence of SnCl₄, gave the invention quinolinone III. Many compounds are also given as pharmaceutically acceptable salts.

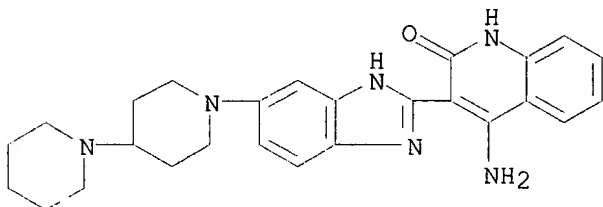
IT 405168-77-6P, 4-Amino-3-[6-(1,4'-bipiperidin-1'-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(drug candidate; prepn. of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)

RN 405168-77-6 CAPLUS

CN 2(1H)-Quinolinone, 4-amino-3-(5-[1,4'-bipiperidin]-1'-yl)-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LSO ANSWER 10 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:116954 CAPLUS

DOCUMENT NUMBER: 137:134461

TITLE: Synthesis and Anti-Angiogenic Activity of 6-(1,2,4-Thiadiazol-5-yl)-3-amino pyridazine Derivatives

AUTHOR(S): Bongartz, Jean-Pierre; Stokbroekx, Raymond; Van der Aa, Marcel; Luyckx, Marcel; Willems, Marc; Ceusters, Marc; Meerpoel, Lieven; Smets, Gerda; Jansen, Tine; Wouters, Walter; Bowden, Charlie; Valletta, Lisa; Herb, Mark; Tominovich, Rose; Tuman, Robert

CORPORATE SOURCE: Janssen Research Foundation, Beerse, B-2340, Belg.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(4), 589-591

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB General screening for inhibitors of microvessel growth in vitro in the rat aortic ring assay led to the discovery of a novel series of thiadiazole pyridazine compds. with potential anti-angiogenic activity. Chem. optimization produced orally active compds. with potent in vitro and in vivo anti-angiogenesis and anti-tumor and anti-metastatic activities.

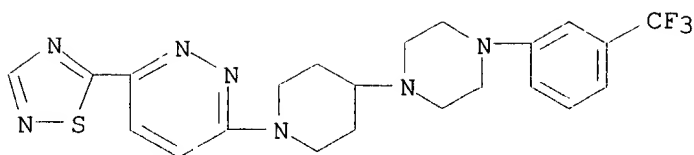
IT 193957-14-1P 445018-39-3P

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and anti-angiogenic activity of (thiadiazolyl)amino pyridazine derivs. in relation to antitumor activity and ocular toxicity)

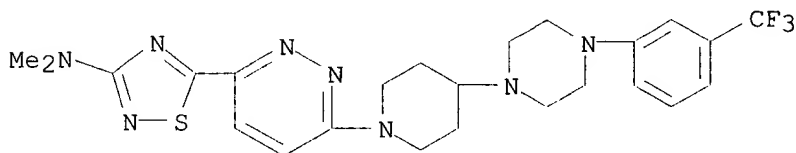
RN 193957-14-1 CAPLUS

CN Pyridazine, 3-(1,2,4-thiadiazol-5-yl)-6-[4-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 445018-39-3 CAPLUS

CN 1,2,4-Thiadiazol-3-amine, N,N-dimethyl-5-[6-[4-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]-1-piperidinyl]-3-pyridazinyl]-
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

120 ANSWER 11 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:31429 CAPLUS

DOCUMENT NUMBER: 136:102394

TITLE: Aryl phenylheterocyclyl sulfide derivatives and their use as cell adhesion-inhibiting anti-inflammatory and immune-suppressive agents

INVENTOR(S): Wang, Gary T.; Wang, Sheldon; Gentles, Robert

PATENT ASSIGNEE(S): Abbott Lab., USA

SOURCE: PCT Int. Appl., 135 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

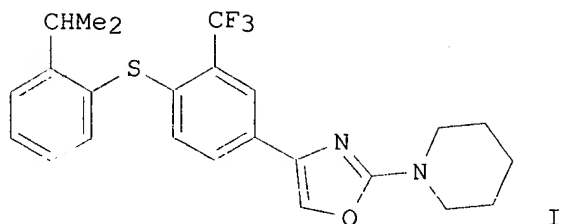
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002539	A1	20020110	WO 2001-US20128	20010622
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 2001068718	A5	20020114	AU 2001-68718	20010622

PRIORITY APPLN. INFO.:

US 2000-606717 A 20000629

WO 2001-US20128

OTHER SOURCE(S):



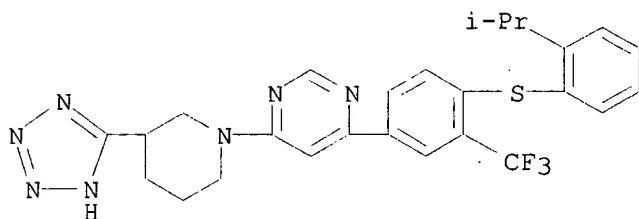
AB Title compds. were prepd. for treating inflammatory and immune diseases, such as arthritis, asthma, reperfusion injury, inflammatory bowel disease etc. The products had IC50 <20 mM for inhibition of ICAM-1 binding to LFA-1. 2-Me2CHC6H4SH was etherified with 4,3-F(F3C)C6H3COMe, followed by bromination, and reaction with 1-carbamoylpiperidine to give the sulfide I.

IT 388117-78-0P 388117-79-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aryl phenylheterocyclyl sulfides as cell adhesion-inhibiting antiinflammatory and immunosuppressive agents)

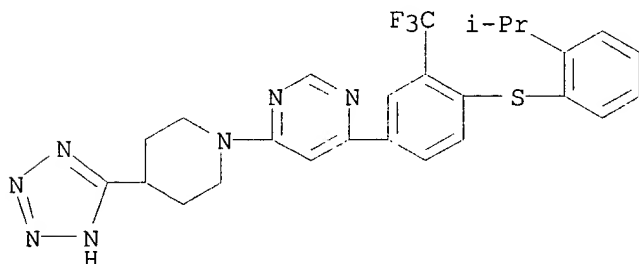
RN 388117-78-0 CAPLUS

CN Pyrimidine, 4-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-6-[3-(1H-tetrazol-5-yl)-1-piperidinyl]- (9CI)
(CA INDEX NAME)



RN 388117-79-1 CAPLUS

CN Pyrimidine, 4-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-6-[4-(1H-tetrazol-5-yl)-1-piperidinyl]- (9CI)
(CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 12 OF 58 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:936092 CAPLUS
DOCUMENT NUMBER: 136:53752

TITLE: Synthesis and use of mono-, di- and triethanolamine salts of zopolrestat alone and in combination with (e.g.) NHE-1 inhibitors

INVENTOR(S): Mylari, Banavara L.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 41 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2001056095	A1	20011227	US 2001-782798	20010213
WO 2002098429	A1	20021212	WO 2001-IB1031	20010607

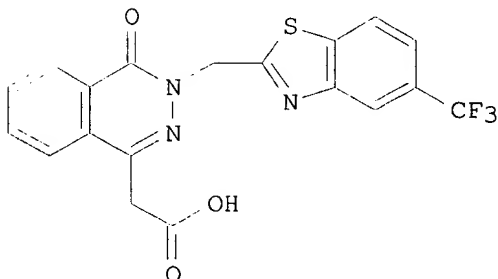
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

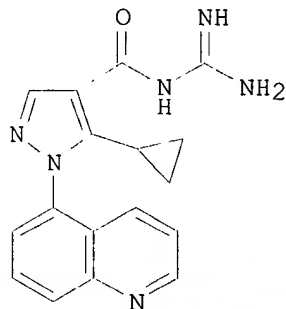
PRIORITY APPLN. INFO.:

US 2000-183004P P 20000216
US 2001-782798 A 20010213

GI



I



II

Synthesis and use of mono-, di- and triethanolamine salts of [4-Oxo-(5-trifluoromethylbenzothiazol-2-ylmethyl)-3,4-dihydrophthalazin-1-yl]acetic acid (zopolrestat; I) were prepd. E.g., a soln. of I in acetone was added to ethanolamine (10 mol equiv, room temp., 1 h) which afforded, after purifn., the ethanolamine salt in 95% yield, m.p. 119 - 121.degree.C.

Ethanolamine salts of I are used alone or with NHE-1 inhibitors (e.g. II), selective serotonin reuptake inhibitors (SSRIs, e.g. fluoxetine), glycogen phosphorylase inhibitors (GPIs), sorbitol dehydrogenase inhibitors (SDIs) and antihypertensive agents for treating diabetic complications.

IT 300548-76-9, 1(R)-[4-[1'-[2-(1(R)-Hydroxyethyl)pyrimidin-4-yl]-[4,4']bipiperidiny-1-yl]pyrimidin-2-yl]ethanol

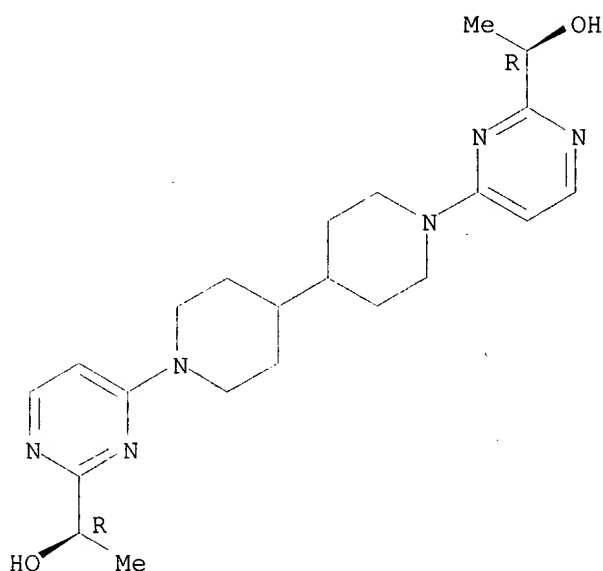
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination pharmaceutical; synthesis and use of mono-, di- and triethanolamine salts of zopolrestat alone and in combination with (e.g.) NHE-1 inhibitors)

RN 300548-76-9 CAPLUS

CN 2-Pyrimidinemethanol, 4,4'-[4,4'-bipiperidine]-1,1'-diylbis[.alpha.-methyl-, (.alpha.R,.alpha.'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



~~L20~~ ANSWER 13 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:816647 CAPLUS

DOCUMENT NUMBER: 135:357948

TITLE: Preparation of heterocyclic compounds as phosphodiesterase V (PDE V) inhibitors

INVENTOR(S): Yamada, Koichiro; Matsuki, Kenji; Omori, Kenji; Kikkawa, Kohei

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 207 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083460	A1	20011108	WO 2001-JP2034	20010315

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,

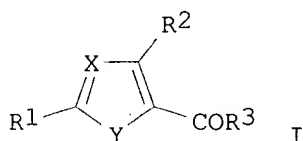
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2001041142 A5 20011112 AU 2001-41142 20010315
PRIORITY APPLN. INFO.: JP 2000-130371 A 20000428

WO 2001-JP2034 W 20010315

OTHER SOURCE(S): MARPAT 135:357948

GI



AB Compds. of the general formula (I) or pharmacol. acceptable salts thereof [wherein X is :CH or N; Y is NH, NR4, S, O, CH:N, N:CH, N:N, CH:CH, or the like; R1 is lower alkoxy, amino, a nitrogenous heterocyclic group, or a hydroxyl group substituted with a heterocyclic group (wherein each group may be substituted); R2 is either a lower alkylamino or lower alkoxy group which may be substituted with aryl, or a lower alkoxy group substituted with a nitrogenous arom. heterocyclic group; and R3 is aryl, a nitrogenous heterocyclic group, lower alkyl, lower alkoxy, lower cycloalkoxy, a hydroxyl group substituted with a nitrogenous heterocyclic group, or amino (wherein each group may be substituted), or alternatively, R3 and the substituent of Y may be united to form a lactone ring] or pharmacol. acceptable salts thereof are prepd. These compds. exhibit excellent PDE V inhibitory activity and are useful as preventive or therapeutic agents for various diseases due to dysfunction of the signal transduction through cGMP, in particular impotence, pulmonary hypertension, and diabetic renal failure paralysis (no data). Thus, 2-(hydroxymethyl)pyridine was treated with NaH in THF at room temp. for 30 min and then condensed with 2-chloro-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine (prepn. given) in THF at room temp. for 1 h to give 2-(2-pyridylmethoxy)-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine.

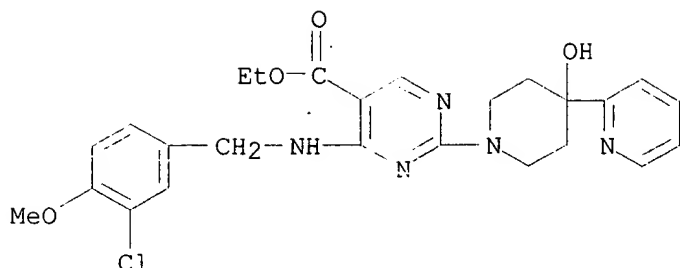
IT 372115-10-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclic compds. as phosphodiesterase V inhibitors preventive or therapeutic agents for various diseases due to dysfunction of signal transduction through cGMP)

RN 372115-10-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-[4-hydroxy-4-(2-pyridinyl)-1-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~120~~ ANSWER 14 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:791912 CAPLUS

DOCUMENT NUMBER: 135:344503

TITLE: Preparation of imidazopyrimidines and triazolopyrimidines as inhibitors of Syk tyrosine kinase

INVENTOR(S): Yura, Takeshi; Conception, Arnel B.; Hahn, Kyun Hee; Hiraoka, Makiko; Katsumada, Hiroko; Kawamura, Norihiro; Kokubo, Toshio; Komura, Hiroshi; Lee, Young Ho; Lowinger, Timothy B.; Motegi, Munehito; Yamamoto, Tomoyuki; Yoshida, Osahiro

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Jpn. Kokai Tokkyo Koho, 212 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001302667	A2	20011031	JP 2000-128870	20000428
WO 2001083485	A1	20011108	WO 2001-EP4357	20010417

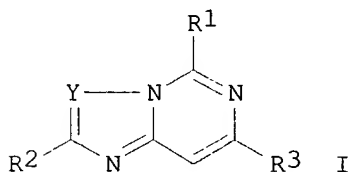
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-128870 A 20000428

OTHER SOURCE(S): MARPAT 135:344503

GI



AB The title compds. [I; R1 = X-R4, (un)substituted 4- to 5-membered (un)satd. heterocyclyl contg. .ltoreq.4 heteroatoms selected from O, N,

and S, 4 to 7-membered (un)satd. carbocyclyl, 7 to 10-membered (un)satd. condensed ring moiety optionally contg. .ltoreq.4 heteroatoms selected from O, N, and S [wherein X = (un)substituted CH₂, O, S, SO, SO₂, (un)substituted NH; R₄ = (un)substituted C₇-10 aroyl, C₇-10 aralkyl, C₁-10 alkyl, C₂-10 alkenyl, C₃-7 (un)satd. carbocyclyl, 4 to 7-membered (un)satd. heterocyclyl contg. .ltoreq.4 heteroatoms selected from O, N, and S, 7 to 10-membered (un)satd. condensed ring moiety optionally contg. .ltoreq.4 heteroatoms selected from O, N, and S]; Y = CH, N; R₂ = H, (un)substituted C₁-10 alkyl, NR₈COR₉, NR₈CO₂R₉, COR₈, CO₂R₉, CONR₈R₉ [wherein R₈, R₉ = H, (un)substituted C₁-6 alkyl]; R₃ = (un)substituted aryl or heteroaryl] or salts thereof are prepd. These compds. are useful as antiallergic agent for the prevention or treatment of asthma, allergic rhinitis, atopic dermatitis, food allergy, contact allergy, hives, conjunctivitis, and vernal (spring) catarrh, or as immunosuppressants, anticoagulants, or antitumor agents. Thus, 5-chloro-7-(3,4-dimethoxyphenyl)imidazo[1,2-c]pyrimidine, 1-(4-fluorophenyl)piperazine dihydrochloride, diisopropylethylamine, and 2-propanol were heated at 90.degree. with stirring to give 64.6% 7-(3,4-dimethoxyphenyl)-5-[4-(4-fluorophenyl)piperazin-1-yl]imidazo[1,2-c]pyrimidine which showed IC₅₀ of .ltoreq.0.5 .mu.M against Syk tyrosine kinase.

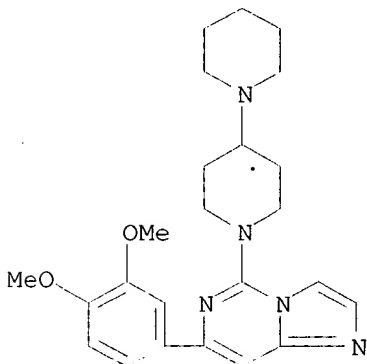
IT 371168-27-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of imidazopyrimidines and triazolopyrimidines as inhibitors of Syk tyrosine kinase, immunosuppressants, anticoagulants, antitumor agents, or antiallergic agents)

RN 371168-27-3 CAPLUS

CN Imidazo[1,2-c]pyrimidine, 5-[1,4'-bipiperidin]-1'-yl-7-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



X L20 ANSWER 15 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:730744 CAPLUS

DOCUMENT NUMBER: 135:288790

TITLE: Pyrrolopyrimidines as tyrosine kinase inhibitors

INVENTOR(S): Hirst, Gavin C.; Calderwood, David; Munschauer, Rainer; Arnold, Lee D.; Johnston, David N.; Rafferty, Paul

PATENT ASSIGNEE(S): Basf Aktiengesellschaft

SOURCE:

LANGUAGE: Patent
English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072751	A1	20011004	WO 2000-US8593	20000329
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			WO 2000-US8593	20000329
OTHER SOURCE(S):			MARPAT 135:288790	
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Chem. compds. having structural formula I and physiol. acceptable salts and metabolites thereof, are inhibitors of serine/threonine and tyrosine kinase activity. Several of the kinases, whose activity is inhibited by these chem. compds., are involved in immunol., hyperproliferative, or angiogenic processes. Thus, these chem. compds. can ameliorate disease states where angiogenesis or endothelial cell hyperproliferation is a factor. These compds. can be used to treat cancer and hyperproliferative disorders, rheumatoid arthritis, disorders of the immune system, transplant rejections and inflammatory disorders. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at .ltoreq.50 .mu.M, and some significantly inhibited cdc2 at .ltoreq.50 .mu.M. In I, ring A is a six membered arom. ring or a five or six membered heteroarom. ring which is optionally substituted. L is -O-, -S-, -S(O)-, -S(O)2-, -N(R)-, -N[C(O)OR]-, -N[C(O)R]-, -N(SO2R)-, -CH2O-, -CH2S-, -CH2N(R)-, -C(NR)-, -CH2N[C(O)R]-, -CH2N[C(O)OR]-, -CH2N(SO2R)-, -CH(NHR)-, -CH[NHC(O)R]-, -CH(NHSO2R)-, -CH[NHC(O)OR]-, -CH[OC(O)R]-, -CH[OC(O)NHR]-, -CH:CH-, -C(:NOR)-, -C(O)-, -CH(OR)-, -C(O)N(R)-, -N(R)C(O)-, -N(R)S(O)-, -N(R)S(O)2-, -OC(O)N(R)-, -N(R)C(O)N(R)-, -NRC(O)O-, -S(O)N(R)-, -S(O)2N(R)-, -N[C(O)R]S(O)-, -N[C(O)R]S(O)2-, -N(R)S(O)N(R)-, -N(R)S(O)2N(R)-, -C(O)N(R)C(O)-, -S(O)N(R)C(O)-, -S(O)2N(R)C(O)-, -OS(O)N(R)-, -OS(O)2N(R)-, -N(R)S(O)O-, -N(R)S(O)2O-, -N(R)S(O)C(O)-, -N(R)S(O)2C(O)-, -SON[C(O)R]-, -SO2N[C(O)R]-, -N(R)SON(R)-, -N(R)SO2N(R)-, -C(O)O-, -N(R)P(OR')O-, -N(R)P(OR')-, -N(R)P(O)(OR')O-, -N(R)P(O)(OR')-, -N[C(O)R]P(OR')O-, -N[C(O)R]P(OR')-, -N[C(O)R]P(O)(OR')O-, -N[C(O)R]P(OR')-, -CH(R)S(O)-, or -CH(R)S(O)2-. L is also -CH(R)N[C(O)OR]-, -CH(R)N[C(O)R]-, -CH(R)N(SO2R)-, -CH(R)O-, -CH(R)S-, -CH(R)N(R)-, -CH(R)N[C(O)R]-, -CH(R)N[C(O)OR]-, -CH(R)N(SO2R)-, -CH(R)C(:NOR)-, -CH(R)C(O)-, -CH(R)CH(OR)-, -CH(R)C(O)N(R)-, -CH(R)N(R)C(O)-, -CH(R)N(R)S(O)-, -CH(R)N(R)S(O)2-, -CH(R)OC(O)N(R)-, -CH(R)N(R)C(O)N(R)-, -CH(R)N(R)C(O)O-, -CH(R)S(O)N(R)-, -CH(R)S(O)2N(R)-, -CH(R)N[C(O)R]S(O)-, -CH(R)N[C(O)R]S(O)2-, -CH(R)N(R)S(O)N(R)-, -CH(R)N(R)S(O)2N(R)-, -CH(R)C(O)N(R)C(O)-, -CH(R)S(O)N(R)C(O)-, -CH(R)S(O)2N(R)C(O)-, -CH(R)OS(O)N(R)-, -CH(R)OS(O)2N(R)-, -CH(R)N(R)S(O)O-, -CH(R)N(R)S(O)2O-, -CH(R)N(R)S(O)C(O)-, -CH(R)N(R)S(O)2C(O)-, -CH(R)SON[C(O)R]-, -CH(R)S(O)2N[C(O)R]-, -CH(R)N(R)SON(R)-, -CH(R)N(R)S(O)2N(R)-; -CH(R)C(O)O-, -CH(R)N(R)P(OR')O-, -CH(R)N(R)P(OR')-, -CH(R)N(R)P(O)(OR')O-, -CH(R)N(R)P(O)(OR')-, -CH(R)N[C(O)R]P(OR')O-, -CH(R)N[C(O)R]P(OR')-, -CH(R)N[C(O)R]P(O)(OR')O- or -CH(R)N[C(O)R]P(OR')-. In L, each R and R' is, independently, -H, acyl, substituted or unsubstituted aliph., arom., arylalkyl, heteroarom., cycloalkyl or arylalkyl; or L is -RbN(R)S(O)2-, -RbN(R)P(O)-, or -RbN(R)P(O)O-, wherein Rb is an alkylene group which when taken together

with the sulfonamide, phosphinamide, or phosphonamide group to which it is bound forms a five or six membered ring fused to ring A; or L is II (X = O or nil; Y = O or nil) or III (Y = O, nil) wherein R85 taken together with the phosphinamide, or phosphonamide is a 5-, 6-, or 7-membered, arom., heteroarom. or heterocycloalkyl ring system. G is a direct bond, $-(CH_2)_j-$ ($j = 1-6$), C2-C6-alkenylene, C3-C8-cycloalkylene or C1-C6-oxaalkylene group. R1 is substituted or optionally substituted aliph., cycloalkyl, bicycloalkyl, cycloalkenyl, arom., heteroarom., heteroaralkyl, heterocycloalkyl, heterobicycloalkyl, alkylamido, arylamido, $-S(O)_2$ -alkyl, $-S(O)_2$ -cycloalkyl, $-C(O)$ alkyl, or $-B-E$, wherein B is substituted or unsubstituted cycloalkyl, heterocycloalkyl, arom., heteroarom., alkylene, aminoalkyl, alkylencarbonyl, or aminoalkylcarbonyl and E is substituted or unsubstituted azacycloalkyl, azacycloalkylcarbonyl, azacycloalkylsulfonyl, azacycloalkylalkyl, heteroaryl, heteroarylcarbonyl, heteroarylsulfonyl, heteroaralkyl, alkyl sulfonamido, aryl sulfonamido, bicycloalkyl, ureido, thioureido or aryl. R2 is $-H$ or substituted or unsubstituted aliph., cycloalkyl, halogen, $-OH$, cyano, arom., heteroarom., heterocycloalkyl, aralkyl, heteroaralkyl, $-(CH_2)_0-3NR_4R_5$, or $-(CH_2)_0-3C(O)NR_4R_5$. R3 is substituted or unsubstituted aliph., alkenyl, cycloalkyl, arom., heteroarom., or heterocycloalkyl with provisos. R4, R5 and the N atom together form a 3, 4, 5, 6 or 7-membered, substituted or unsubstituted heterocycloalkyl, heterobicycloalkyl or heteroarom.; or R4 and R5 are each, independently, $-H$, azabicycloalkyl, heterocycloalkyl, substituted or unsubstituted alkyl or Y-Z; Y is $-C(O)-$, $-(CH_2)_p-$, $-S(O)_2-$, $-C(O)O-$, $-SO_2NH-$, $-CONH-$, $-(CH_2)_pO-$, $-(CH_2)_pNH-$, $-(CH_2)_pS-$, $-(CH_2)_pS(O)-$, and $-(CH_2)_pS(O)_2-$; $p = 0-6$; and Z is $-H$, or substituted or unsubstituted alkyl, amino, aryl, heteroaryl or heterocycloalkyl. 546 Example preps. are included. For example, addn. of piperidine to 4-[4-amino-5-(4-phenoxyphenyl)-7H-pyrrolo[2,3-d]pyrimidin-7-yl]cyclohexanone in DCE and AcOH, followed by treatment with $Na[(AcO)_3BH]$, workup and chromatog., gave cis- and trans-IV.

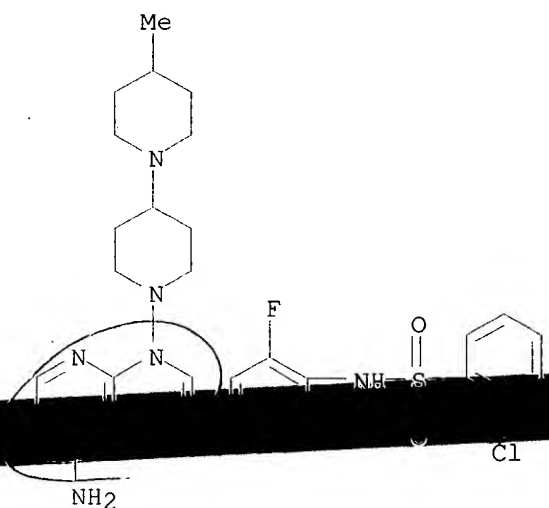
IT **364354-34-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrrolopyrimidinamines as protein kinase inhibitors)

RN 364354-34-7 CAPLUS

CN Benzenesulfonamide, N-[4-[4-amino-7-(4-methyl[1,4'-bipiperidin]-1'-yl)-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-2,3-dichloro- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS

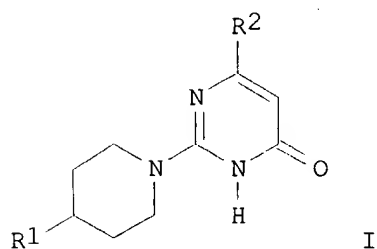
Searched by Barb O'Bryen, STIC 308-4291

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 16 OF 58 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:709746 CAPLUS
DOCUMENT NUMBER: 135:257261
TITLE: Preparation of 2-(piperidin-1-yl)pyrimidones for
preventive and/or therapeutic treatment of a
neurodegenerative disease caused by abnormal activity
of GSK3.beta.
INVENTOR(S): Almario-Garcia, Antonio; Frost, Jonathan Reid; Li-Tak,
Adrien
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo
Pharmaceuticals, Inc.
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1136489	A1	20010926	EP 2000-400802	20000323
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
WO 2001070728	A1	20010927	WO 2001-EP3639	20010322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			EP 2000-400801	A 20000323
			EP 2000-400802	A 20000323
			EP 2000-400803	A 20000323
OTHER SOURCE(S):			MARPAT 135:257261	
GI				

*not designated
to the U.S.*



AB The title compds. [I; R1 = (un)substituted aryl, heterocyclic ring having 1-4 hetero atoms selected from O, S, and N atoms, (un)substituted alkyl; R2 = pyridyl optionally substituted by alkyl, alkoxy or halo] and their salts, useful for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3.beta., such as Alzheimer's disease, Parkinson's disease, frontoparietal dementia, corticobasal degeneration, Pick's disease, cerebrovascular accidents, brain and spinal trauma, and peripheral neuropathy, were prepd. and formulated. E.g., a 3-step synthesis of I [R1 = Ph; R2 = 4-pyridyl] was

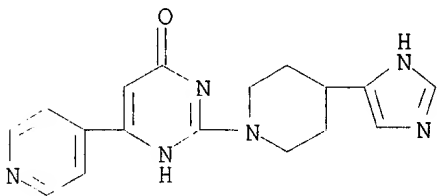
given. All exemplified compds. I showed IC50's of 0.5-10 .mu.M against GSK3.beta..

IT 362467-49-0P 362467-50-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-(piperidin-1-yl)pyrimidones for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3.beta.)

RN 362467-49-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-(4-pyridinyl)-
(9CI) (CA INDEX NAME)



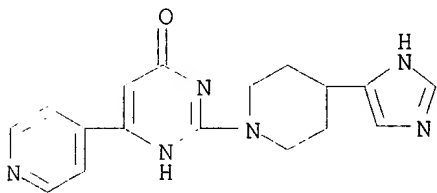
RN 362467-50-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-(4-pyridinyl)-
, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 362467-49-0

CMF C17 H18 N6 O

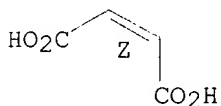


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COMPDS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

120 ANSWER 17 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:545485 CAPLUS

DOCUMENT NUMBER: 135:137503

TITLE: Preparation of 3-(phenylheterocyclyl)pyrazole DNA

gyrase inhibitors as antibacterial agents

INVENTOR(S): Charifson, Paul; Bellon, Steve; Stamos, Dean; Badia, Michael; Grillot, Anne-Laure; Ronkin, Steven; Murcko, Mark; Trudeau, Martin

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

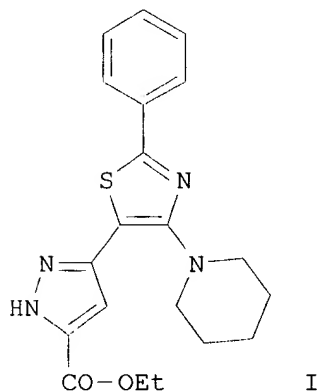
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001052846	A1	20010726	WO 2001-US1377	20010116
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ , CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1251849	A1	20021030	EP 2001-904871	20010116
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
PRIORITY APPLN. INFO.:			US 2000-176675P	P 20000118
			US 2000-254331P	P 20001208
			WO 2001-US1377	W 20010116

GI



AB Disclosed are compds. comprising the pharmacophore features HBA, HBD, Grp1, and at least 2 features selected from Grp2, Grp3, or Grp4 [wherein HBA (H bond acceptor) and HBD (H bond donor) together = (un)substituted pyrazole, 1,2,4-triazole, piperidine, piperazine, thiazole, imidazole, oxazole, etc.; Grp1 = (cyclo)alkyl, (un)substituted carboxy, CONR2, CONHOR, SO2R, SO2NR2, CH2(CH2)nNRCOR, CH2(CH2)nCONR2, CH2(CH2)nSO2NR2, CH:NOR, CH:NNRCOR, CH:NNR2, etc.; Grp2 = H, aliph. group, CONHR, CN, halo, CO2R, SO2R, COR, CONR2, SO2NR2, NRSO2R, NRSO2NR2, Q, COQ, SO2Q, CONHQ, NRSO2Q, or NRSO2NRQ; Grp3 = R, SR, SO2R, SO2NHR, CONHR, CONR2, COR, NHSO2R, NHR, (hetero)aryl, or heterocyclyl; Grp4 = R, SR, SO2R, SO2NHR, CONHR, CONR2, COR, NHSO2R, NHR, halo, (hetero)aryl, or heterocyclyl; R = H

or (un)substituted aliph. group; n = 0-1; Q = 3- to 5-membered heterocyclyl or 5- or 6-membered heteroaryl]. The compds. are inhibitors of bacterial DNA gyrase and are useful in treating bacterial infections. For example, condensation of triflic anhydride with 4-hydroxy-2-phenylthiazole-5-carboxylic acid Et ester in the presence of 2,6-lutidine (82%), substitution with piperidine (96%), amidation with N,O-dimethylhydroxylamine.bul.HCl in the presence of Me₂AlCl (98%), conversion to the ethanone using MeLi.bul.LiBr (72%), and sequential addn. of KOBu-t, di-Et oxalate, and H₂NNH₂.bul.H₂O gave the 3-(phenylthiazolyl)pyrazole I (59%). Selected compds. of the invention were assayed for ATP hydrolysis activity against E. coli DNA gyrase and exhibited K_i values in the ranges of < 500 nM, 500-1500 nM, and > 1500 nM.

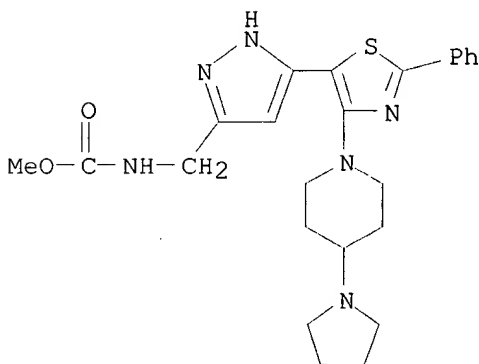
IT 351428-67-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of heterocyclylpyrazole DNA gyrase inhibitors by conversion of heterocyclylcarboxylic acid methoxy Me amides to ketones and cyclization with hydrazine)

RN 351428-67-6 CAPLUS

CN Carbamic acid, [[5-[2-phenyl-4-[4-(1-pyrrolidinyl)-1-piperidinyl]-5-thiazolyl]-1H-pyrazol-3-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~120~~ ANSWER 18 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:545484 CAPLUS

DOCUMENT NUMBER: 135:137502

TITLE: Preparation of 3-(phenylheterocyclyl)pyrazole DNA gyrase inhibitors as antibacterial agents

INVENTOR(S): Charifson, Paul; Stamos, Dean; Badia, Michael; Grillot, Anne-laure; Ronkin, Steven; Trudeau, Martin

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

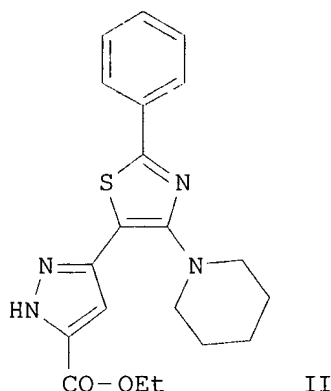
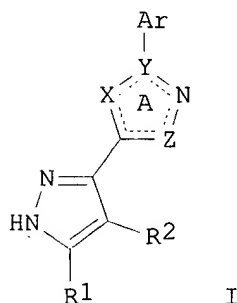
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

WO 2001052845 A1 20010726 WO 2001-US1374/ 20010116

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,

LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1251848 A1 20021030 EP 2001-903077 20010116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
BR 2001007713 A 20021119 BR 2001-7713 20010116
PRIORITY APPLN. INFO.: US 2000-176671P P 20000118
US 2000-254331P P 20001208
WO 2001-US1374 W 20010116
OTHER SOURCE(S): MARPAT 135:137502
GI



AB Title compds. (I) [wherein A = thiazole, oxazole, (5- to 7-membered fused ring) imidazole, or pyrazole; X = S, O, or NH; Y = C or N; Z = CR³ or NR³; R¹ = (un)substituted aliph. group, C(R₄)₂(CH₂)_nNRCOR, CR₄:NOR, CR₄:NOCOR₆, CR₄:NNRCO₂R₆, CR₄:NNRCOR, CR₄:NNR₂, C(R₄)₂(CH₂)_nNRCO₂R₆, CO₂R₆, CONR₂, C(R₄)₂(CH₂)_nCONR₂, C(R₄)₂(CH₂)_nSO₂NR₂, CONHOR, SO₂NR₂, or C(R₄)₂(CH₂)_nNRSO₂R₆; R₂ = H, halo, CN, aliph. group, 3- to 5-membered heterocyclyl, or 5-membered heteroaryl; R₃ = (CH₂)_pN(R₅)₂ or (un)substituted heterocyclylalkyl, (hetero)aryl, or (hetero)aralkyl; R₄ = independently H, (un)substituted aliph. group, or 2 R₄ taken together with the C to which they are attached may form a 3- to 6-membered ring; R₅ = independently H, (un)substituted aliph. group, or 2 R₅ taken together with the N to which they are attached may form a 5- or 6-membered heterocycle; R₆ = aliph. group; n = 0-2; p = 0-4; R = independently H or (un)substituted aliph. group; and pharmaceutically acceptable salts thereof] were prepd. I inhibit bacterial gyrase activity and therefore are useful for treating bacterial infections. For example, condensation of triflic anhydride with 4-hydroxy-2-phenylthiazole-5-carboxylic acid Et ester in the presence of 2,6-lutidine (82%), substitution with piperidine (96%), amidation with N,O-dimethylhydroxylamine.bul.HCl in the presence of Me₂AlCl (98%), conversion to the ethanone using MeLi.bul.LiBr (72%), and sequential addn. of KOBu-t, di-Et oxalate, and H₂NNH₂.bul.H₂O gave the 3-(phenylthiazolyl)pyrazole II (59%). Selected compds. of the invention were assayed for ATP hydrolysis activity against E. coli DNA gyrase and exhibited K_i values in the ranges of < 500 nM, 500-1500 nM, and > 1500 nM.

IT

351428-67-6P

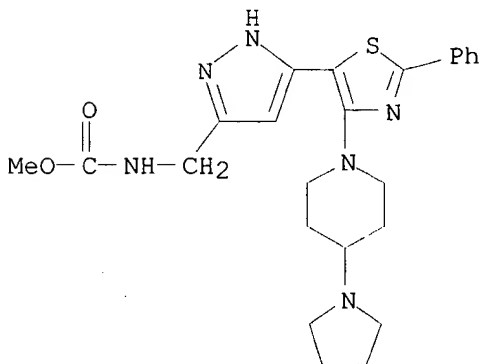
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclylpyrazole DNA gyrase inhibitors by conversion of heterocyclylcarboxylic acid methoxy Me amides to ketones and cyclization with hydrazine)

RN 351428-67-6 CAPLUS

CN Carbamic acid, [[5-[2-phenyl-4-[4-(1-pyrrolidinyl)-1-piperidinyl]-5-thiazolyl]-1H-pyrazol-3-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 19 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:435072 CAPLUS

DOCUMENT NUMBER: 135:46188

TITLE: Substituted pyridazines having cytokine inhibitory activity

INVENTOR(S): McIntyre, Charles J.; Liverton, Nigel J.; Claremon, David A.

PATENT ASSIGNEE(S): Merck + Co., Inc., USA

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

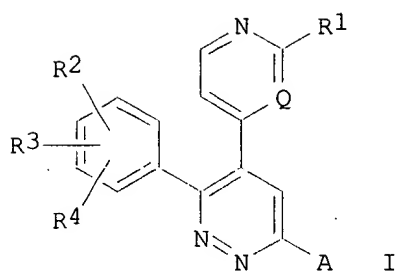
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001042241	A1	20010614	WO 2000-US33097	20001207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1240160	A1	20020918	EP 2000-986274	20001207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT				

WO 2000-US33097 W 20001207

OTHER SOURCE(S): MARPAT 135:46188
GI



AB Pyridazines I [A is halogen, Ph, PhS(:O)m (m = 0-2), or R⁵R⁶N; R¹ is H, alkylamino, or (un)substituted arylamino; R², R³, R⁴ are independently halogen, hydroxy, F3C, amino, nitro, (C1-C6)alkyl, (C1-C6)alkoxy, (C3-C8)cycloalkyl, Ph; R⁵ and R⁶ are independently hydrogen, alkoxy-, (un)substituted amino-, and (un)substituted phenyl-substituted (or unsubstituted) (C1-C6)alkyl or R⁵R⁶ = (C4-C10) (un)substituted (mono- or bicyclic)heterocycle; Q is CH or N] are prep'd. as inhibitors or antagonists of the formation and activity of cytokines such as interleukin-1.β. (IL-1.β.), IL-6, and IL-8 for the treatment of cytokine mediated diseases and conditions such as inflammation, arthritis, sepsis and septic shock, osteoporosis, bone resorption diseases, and Crohn's disease. E.g., the dihydrochloride of I [A = Me₂NCH₂CH₂NH; R¹ = (S)-PhCH(Me)NH; R² = 3-F3C; R³ = R⁴ = H] (II) was prep'd. by amidation of 3-trifluoromethylbenzoyl chloride with N-methoxymethylamine, displacement of the amide with 2-(methylthio)-4-pyrimidinylmethyllithium, alkylation of the ketone with Me bromoacetate, hydrolysis of the ester with hydrogen chloride in dioxane, addn. and cyclization of the acid and ketone moieties with hydrazine, oxidn. of the methylthio group to the pyrimidinyl Me sulfone with sodium tungstate and hydrogen peroxide, addn. of (S)-.α.-methylbenzylamine to the pyrimidinyl sulfone with substitution to give the pyrimidinamine, oxidn. of the cyclic hydrazone to the hydroxypyridazine with DDQ, and chlorination of the hydroxypyridazine with phosphorus oxychloride to give I [A = Cl; R¹ = (S)-PhCH(Me)NH; R² = 3-F3C; R³ = R⁴ = H], a key intermediate in the prepn. of the claimed pyridazines. E.g., treatment of I [A = Cl; R¹ = (S)-PhCH(Me)NH; R² = 3-F3C; R³ = R⁴ = H] with 2-(dimethylamino)ethylamine and heating at 100.degree. gave II as the free base which was converted to the hydrochloride by treatment with 1N HCl. No biol. data is provided.

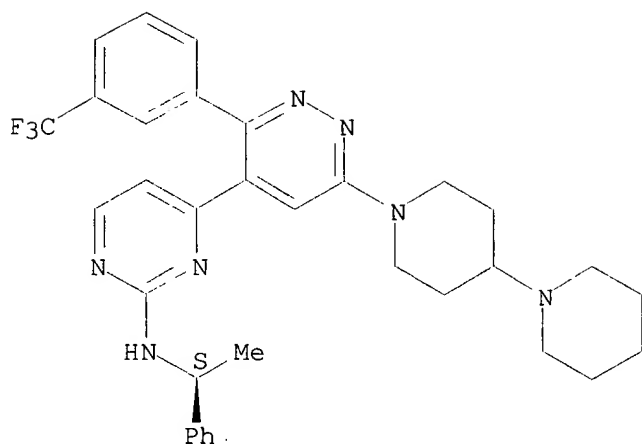
IT **344464-87-5P 344465-42-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridazine derivs. as inhibitors of cytokine formation and activity for the treatment of cytokine-mediated diseases such as arthritis)

RN 344464-87-5 CAPLUS

CN 2-Pyrimidinamine, 4-[6-[1,4'-bipiperidin]-1'-yl-3-[3-(trifluoromethyl)phenyl]-4-pyridazinyl]-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

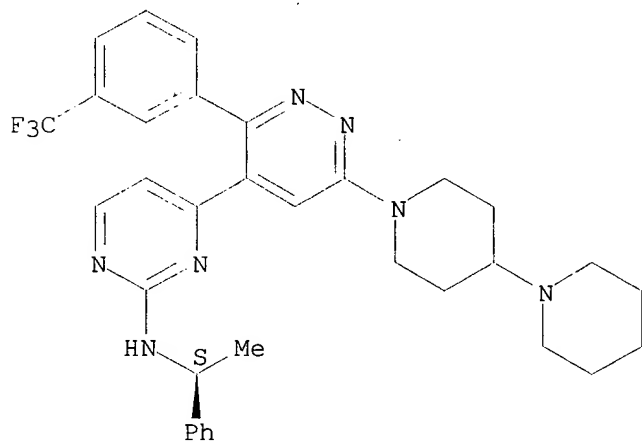


RN 344465-42-5 CAPLUS
CN 2-Pyrimidinamine, 4-[6-[1,4'-bipiperidin]-1'-yl-3-[3-(trifluoromethyl)phenyl]-4-pyridazinyl]-N-[(1S)-1-phenylethyl]-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

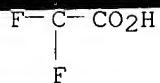
CRN 344464-87-5
CMF C33 H36 F3 N7

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~L20~~ ANSWER 20 OF 58 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:360023 CAPLUS
DOCUMENT NUMBER: 134:366805
TITLE: Aliphatic hydroxy substituted piperidyl diaryl pyrrole
derivatives as antiprotozoal agents
INVENTOR(S): Biftu, Tesfaye; Feng, Danqing D.; Liang, Gui-Bai;
Ponpipom, Mitree M.; Qian, Xiaoxia; Fisher, Michael
H.; Wyvratt, Matthew J.; Bugianesi, Robert L.
PATENT ASSIGNEE(S): Merck + Co., Inc., USA
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

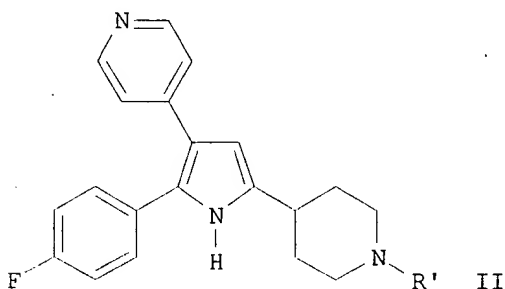
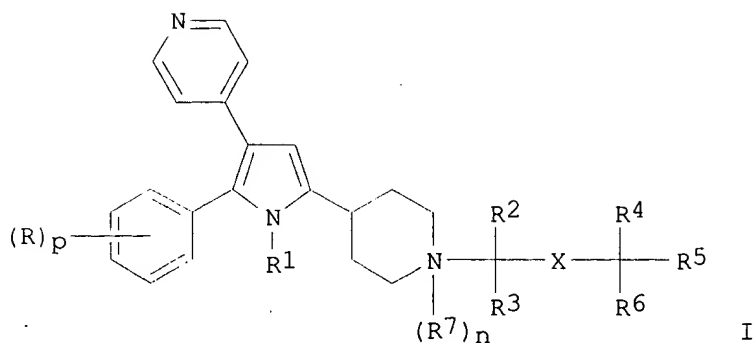
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001034632	A2	20010517	WO 2000-US30748	20001111
WO 2001034632	A3	20010927		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU,
LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: ' US 1999-165144P P 19991112

OTHER SOURCE(S): MARPAT 134:366805

GI



AB Trisubstituted pyrroles I are antiprotozoal agents (no data), useful in the treatment and prevention of protozoal diseases in human and animals, including the control of coccidiosis in poultry [wherein: $n = 0-1$; $p = 1-3$; $X = \text{bond}$, (un)substituted $(\text{CH}_2)_{1-3}$, cycloalkylene, cycloalkylidene; $R = \text{halo}$; $R_1 = \text{H}$ or alkyl; $R_2, R_3 = \text{H}$, (un)substituted alkyl, alkenyl, alkynyl, (un)substituted Ph or CH_2Ph , CO_2H or derivs.; or $R_2R_3 = \text{O}$; $R_4 = \text{OH}$ or SH or their derivs.; $R_5, R_6 = \text{H}$, alk(en/yn)yl, cycloalkyl(alkyl), (hetero)aryl(alkyl), heterocycl(alkyl), CO_2H or OH or derivs.; or R_4R_5 or R_5R_6 forms 3- to 7-membered hetero ring; or $R_4R_6 = \text{O}$; or R_2R_4 or R_2R_5 forms 4- to 7-membered carbo or hetero ring; $R_7 = \text{O}$, Me; and physiol. acceptable salts]. Approx. 200 compds. were prepd. For instance, 4-picoline was lithiated and condensed with 4-FC₆H₄CONMeOMe, and the resulting ketone was deprotonated and coupled with 4-(2-iodoacetyl)-1-(benzyloxycarbonyl)piperidine to give a 1,4-diketone. Cyclization of this with ammonium acetate and deprotection gave pyrrole intermediate II [$R' = \text{H}$], which was N-alkylated by (R)-glycidyl Me ether to give title compd. II [$R' = (\text{R})-\text{CH}_2\text{CH}(\text{OH})\text{CH}_2\text{OMe}$].

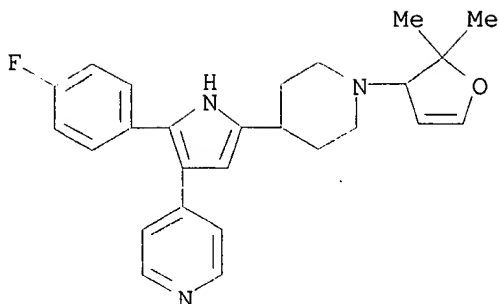
IT **340183-60-0P 340184-34-1P**

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FFD (Food or feed use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

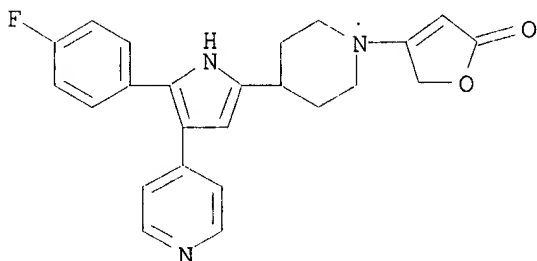
(drug candidate; prepn. of diarylpiperidylpyrrole derivs. as antiprotozoal agents)

RN 340183-60-0 CAPLUS

CN Pyridine, 4-[5-[1-(2,3-dihydro-2,2-dimethyl-3-furanyl)-4-piperidinyl]-2-(4-fluorophenyl)-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)



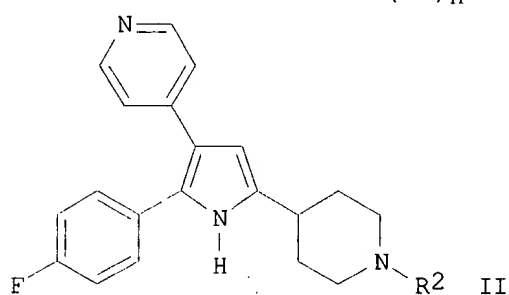
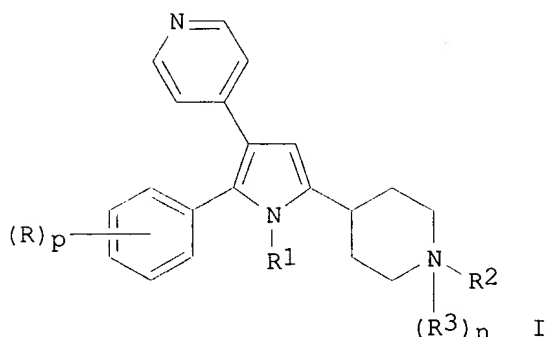
RN 340184-34-1 CAPLUS
CN 2(5H)-Furanone, 4-[4-[5-(4-fluorophenyl)-4-(4-pyridinyl)-1H-pyrrol-2-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



~~LSO~~ ANSWER 21 OF 58 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:359798 CAPLUS
DOCUMENT NUMBER: 134:366802
TITLE: Diaryl piperidyl pyrrole derivatives useful as antiprotzoal agents
INVENTOR(S): Biftu, Tesfaye; Feng, Danqing D.; Liang, Gui-Bai; Ponpipom, Mitree M.; Qian, Xiaoxia; Fisher, Michael H.; Wyvratt, Matthew J.
PATENT ASSIGNEE(S): Merck + Co., Inc., USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001034149	A1	20010517	WO 2000-US30747	20001109
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6291480	B1	20010918	US 2000-710147	20001110
US 6384052	B1	20020507	US 2000-709959	20001110
PRIORITY APPLN. INFO.:			US 1999-165142P	19991112
OTHER SOURCE(S):		MARPAT 134:366802		

GI

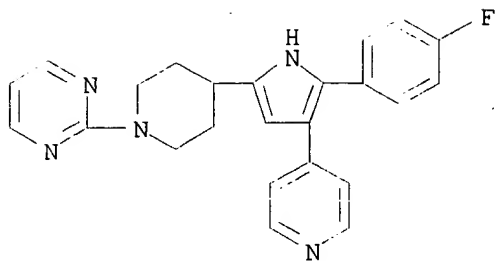


AB Trisubstituted pyrroles I are antiprotozoal agents (no data), useful in the treatment and prevention of protozoal diseases in human and animals, including the control of coccidiosis in poultry [wherein: $n = 0-1$; $p = 1-3$; $R = \text{halo}$; $R_1 = \text{H or alkyl}$; $R_2 = (\text{un})\text{substituted alk(en/yn)yl, cycloalkyl(alkyl), (hetero)aryl(alkyl)}$; $R_3 = \text{O or CH}_3$; with 3 specific exclusions]. Approx. 100 compds. were prepd. For instance, 4-picoline was lithiated and condensed with 4-FC₆H₄CONMeOMe, and the resulting ketone was deprotonated and coupled with 4-(2-iodoacetyl)-1-(benzyloxycarbonyl)piperidine to give a 1,4-diketone. Cyclization of this with ammonium acetate and deprotection gave pyrrole intermediate II [$R_2 = \text{H}$], which was reductively N-alkylated by acetaldehyde and NaBH(OAc)₃ to give title compd. II [$R_2 = \text{Et}$].

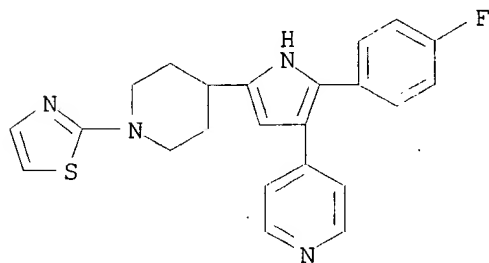
IT **339988-61-3P**, 2-(4-Fluorophenyl)-5-[N-(2-pyrimidinyl)piperidin-4-yl]-3-(4-pyridinyl)pyrrole **339988-63-5P**, 2-(4-Fluorophenyl)-5-[N-(2-thiazolyl)piperidin-4-yl]-3-(4-pyridinyl)pyrrole
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FFD (Food or feed use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; prepn. of diarylpiperidylpyrrole derivs. as antiprotozoal agents)

RN 339988-61-3 CAPLUS

CN Pyrimidine, 2-[4-[5-(4-fluorophenyl)-4-(4-pyridinyl)-1H-pyrrol-2-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 339988-63-5 CAPLUS
CN Pyridine, 4-[2-(4-fluorophenyl)-5-[1-(2-thiazolyl)-4-piperidinyl]-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~LSO~~ ANSWER 22 OF 58 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:283949 CAPLUS
DOCUMENT NUMBER: 134:311218
TITLE: Synthesis and use of heterocyclic sodium/proton exchange inhibitors
INVENTOR(S): Ahmad, Saleem; Wu, Shung C.; O'Neil, Steven V.; Ngu, Khehyong; Atwal, Karnail S.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 221 pp. *applicant*
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027107	A2	20010419	WO 2000-US27461	20001002
WO 2001027107	A3	20020124		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1224183	A2	20020724	EP 2000-968723	20001002
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
NO 2002001717	A	20020610	NO 2002-1717	20020411

PRIORITY APPLN. INFO.:

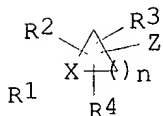
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WO 2000-US27461 W 20001002

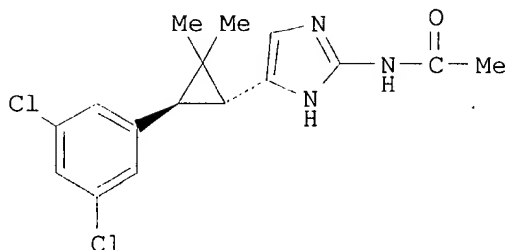
OTHER SOURCE(S):

MARPAT 134:311218

GI



I



II

AB Compds. of formula I [wherein; n is 1-5; X is N or CR⁵, where R⁵ is H, halo, alkenyl, alkynyl, alkoxy, alkyl, aryl or heteroaryl; Z is a heteroaryl group; R¹ is H, alk(en)(yn)yl, alk(enyl)(ynyl)oxy, (aryl or alkyl)₃Si, cycloalk(en)yl, (aryl)amino, aryl(alkyl), cycloheteroaryl, etc.; R², R³ and R⁴ are any of the groups set out for R¹ and optionally substituted with 1 to 5 substituents which may be the same or different and when X is N, R¹ is preferably aryl or heteroaryl] are claimed. Several hundred examples are disclosed. Synthesis of II proceeds via cyclopropanation of the cinnamate derived from the olefination between 3,5-dichlorobenzaldehyde and t-butyl-diethylphosphonoacetate. The intermediate tert-Bu ester is converted to the corresponding .alpha.-chloro ketone and reacted with acetyl guanidine to provide II in a total of 5 steps. Compds. I are said to be sodium/proton exchange inhibitors (NHE). Pharmaceutical combinations are claimed using I and certain antihypertensive agents, .beta.-adrenergic agonists, hypolipidemic agents, antidiabetic agents, antiobesity agents, etc. Compds. I are useful as antianginal and cardioprotective agents and provide a method for preventing or treating angina pectoris, cardiac dysfunction, myocardial necrosis, and arrhythmia.

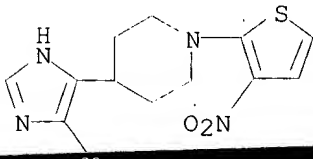
IT 335062-12-9P 335062-43-6P 335062-57-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(synthesis and use of heterocyclic sodium/proton exchange inhibitors)

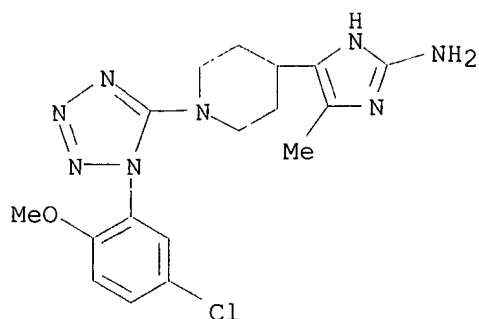
RN 335062-12-9 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-(3-nitro-2-thienyl)- (9CI)
(CA INDEX NAME)



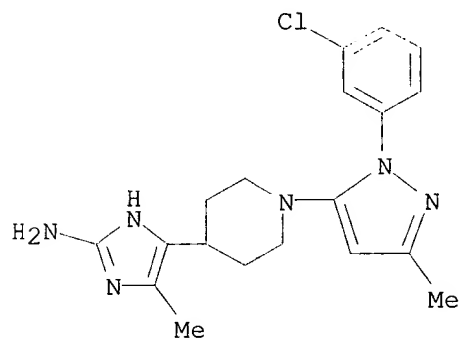
RN 335062-43-6 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 335062-57-2 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



IT 335062-07-2P 335062-09-4P 335062-10-7P
335062-11-8P 335062-13-0P 335062-26-5P
335062-27-6P 335062-28-7P 335062-29-8P
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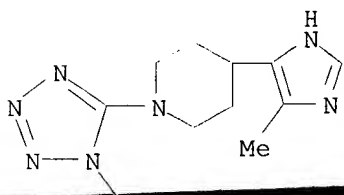
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and use of heterocyclic sodium/proton exchange inhibitors)

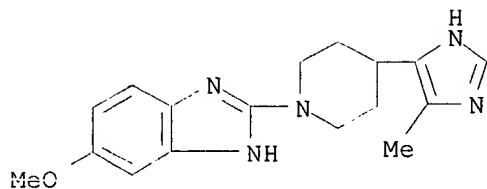
RN 335062-07-2 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-(1-phenyl-1H-tetrazol-5-yl)-(9CI) (CA INDEX NAME)

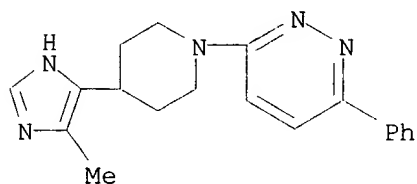


RN 335062-09-4 CAPLUS

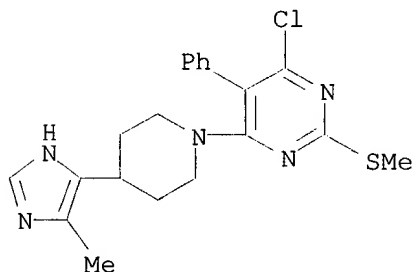
CN 1H-Benzimidazole, 5-methoxy-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-(9CI) (CA INDEX NAME)



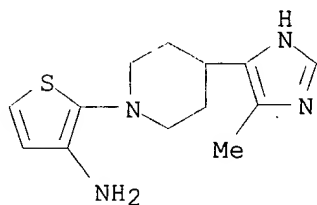
RN 335062-10-7 CAPLUS
CN Pyridazine, 3-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-6-phenyl-
(9CI) (CA INDEX NAME)



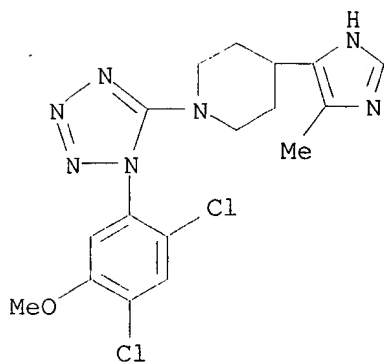
RN 335062-11-8 CAPLUS
CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-
(methylthio)-5-phenyl- (9CI) (CA INDEX NAME)



RN 335062-13-0 CAPLUS
CN 3-Thiophenamine, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI)
(CA INDEX NAME)



RN 335062-26-5 CAPLUS
CN Piperidine, 1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-
methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



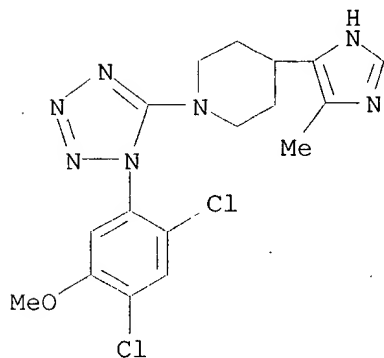
RN 335062-27-6 CAPLUS

CN Piperidine, 1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 335062-26-5

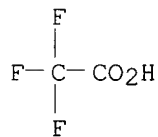
CMF C17 H19 Cl2 N7 O



CM 2

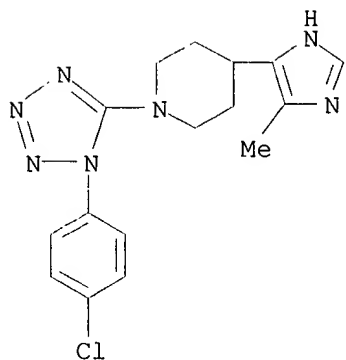
CRN 76-05-1

CMF C2 H F3 O2



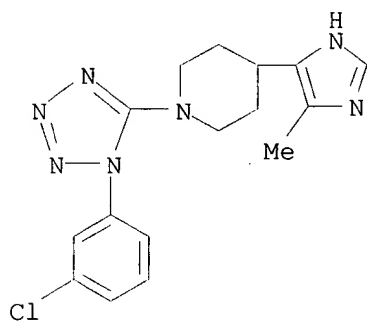
RN 335062-28-7 CAPLUS

CN Piperidine, 1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)



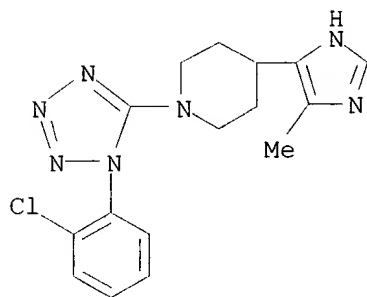
RN 335062-29-8 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



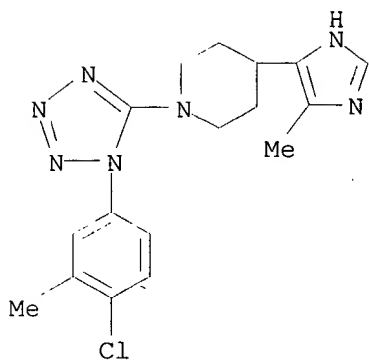
RN 335062-30-1 CAPLUS

CN Piperidine, 1-[1-(2-chlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



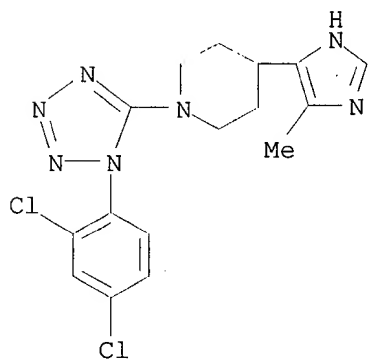
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CN Piperidine, 1-[1-(4-chloro-3-methylphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



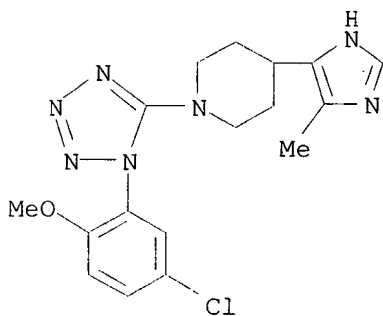
RN 335062-32-3 CAPLUS

CN Piperidine, 1-[1-(2,4-dichlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



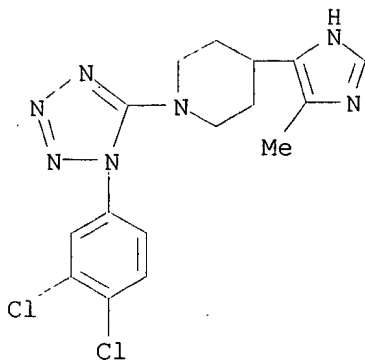
RN 335062-33-4 CAPLUS

CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



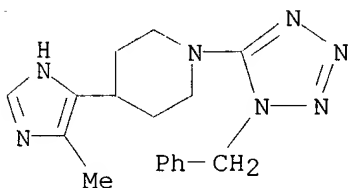
RN 335062-34-5 CAPLUS

CN Piperidine, 1-[1-(3,4-dichlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



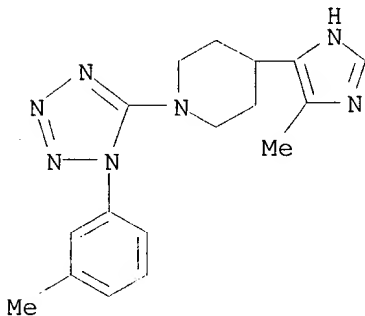
RN 335062-35-6 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[1-(phenylmethyl)-1H-tetrazol-5-yl]- (9CI) (CA INDEX NAME)



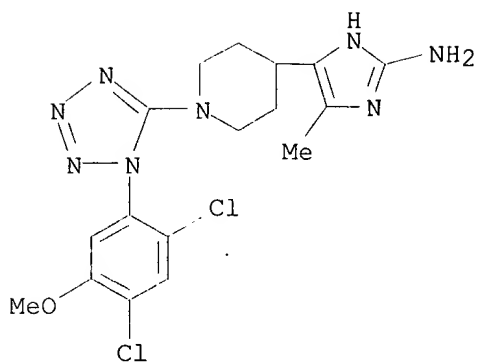
RN 335062-36-7 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[1-(3-methylphenyl)-1H-tetrazol-5-yl]- (9CI) (CA INDEX NAME)



RN 335062-37-8 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



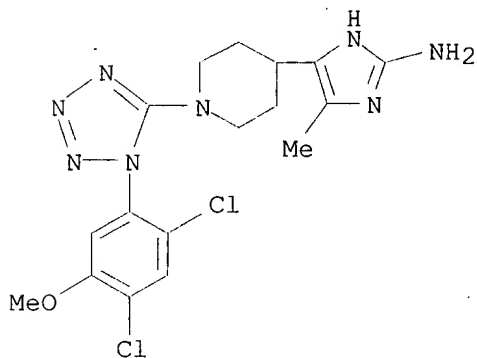
RN 335062-38-9 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 335062-37-8

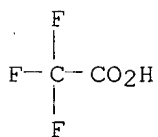
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CM 2

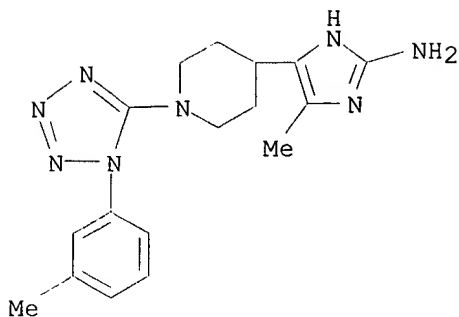
CRN 76-05-1

CMF C2 H F3 O2

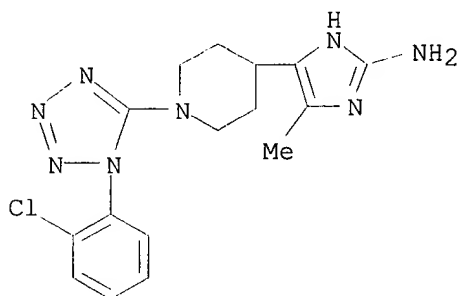


RN 335062-39-0 CAPLUS

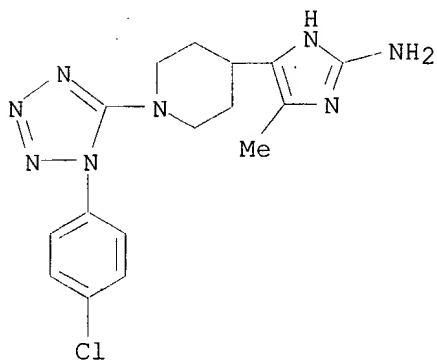
(CN) 1H-Imidazol-2-amine, 4-[1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-, bis(trifluoroacetate) (9CI)



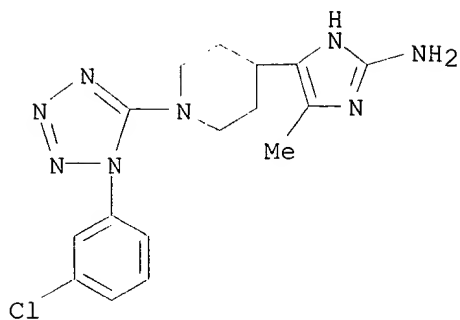
RN 335062-40-3 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(2-chlorophenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 335062-41-4 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(4-chlorophenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

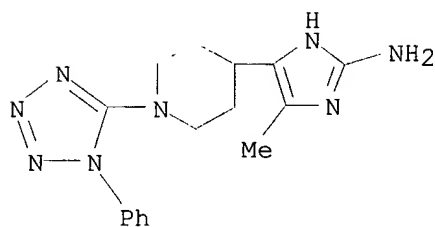


RN 335062-42-5 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



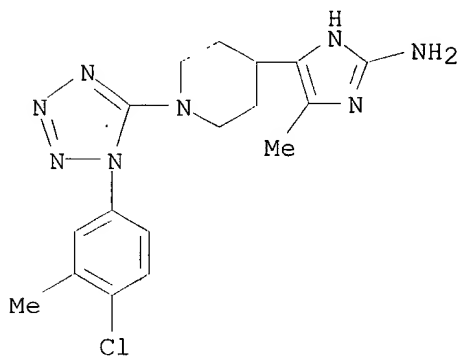
RN 335062-44-7 CAPLUS

CN 1H-Imidazol-2-amine, 4-methyl-5-[1-(1-phenyl-1H-tetrazol-5-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



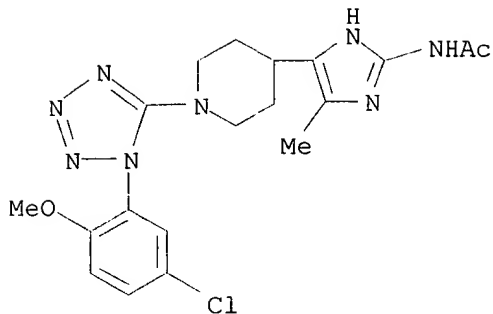
RN 335062-46-9 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(4-chloro-3-methylphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 335062-47-0 CAPLUS

CN Acetamide, N-[4-[1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

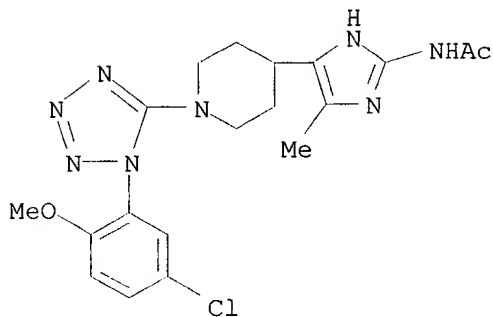


RN 335062-48-1 CAPLUS
 CN Acetamide, N-[4-[1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 335062-47-0

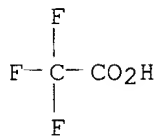
CMF C19 H23 Cl N8 O2



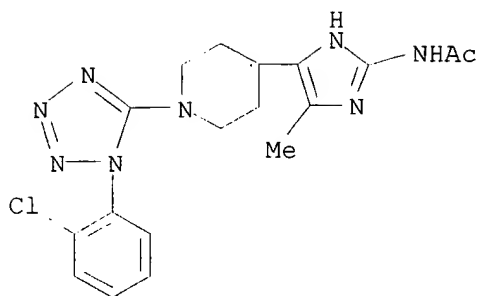
CM 2

CRN 76-05-1

CMF C2 H F3 O2

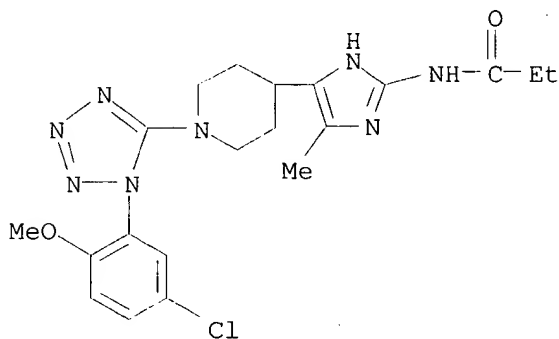


RN 335062-49-2 CAPLUS
 CN Acetamide, N-[4-[1-[1-(2-chlorophenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



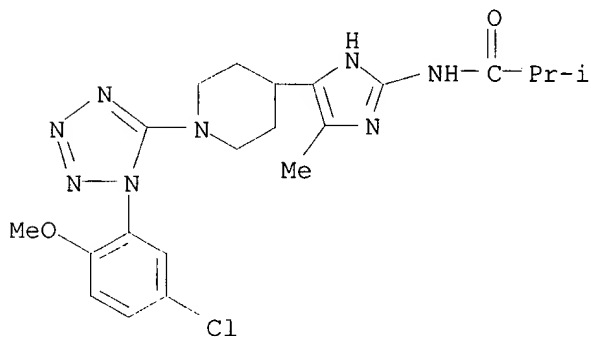
RN 335062-50-5 CAPLUS

CN Propanamide, N-[4-[1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidiny]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 335062-51-6 CAPLUS

CN Propanamide, N-[4-[1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidiny]-5-methyl-1H-imidazol-2-yl]-2-methyl- (9CI) (CA INDEX NAME)

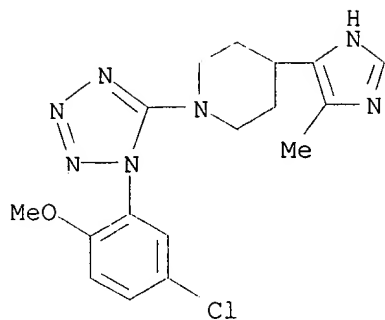


RN 335062-52-7 CAPLUS

CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CRN 335062-33-4

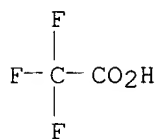
CMF C17 H20 Cl N7 O



CM 2

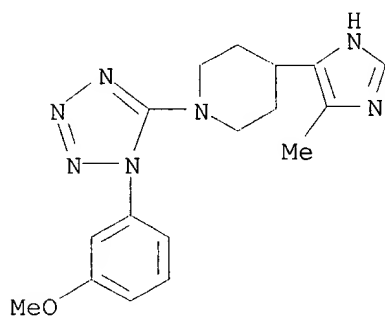
CRN 76-05-1

CMF C2 H F3 O2



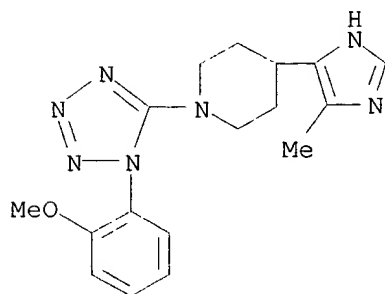
RN 335062-53-8 CAPLUS

CN Piperidine, 1-[1-(3-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



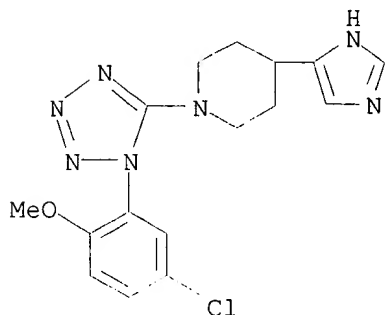
RN 335062-54-9 CAPLUS

CN Piperidine, 1-[1-(2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



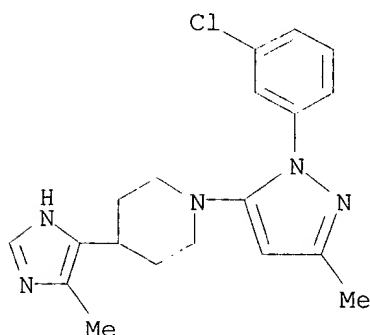
RN 335062-55-0 CAPLUS

CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



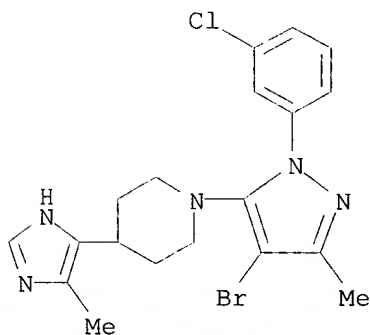
RN 335062-56-1 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

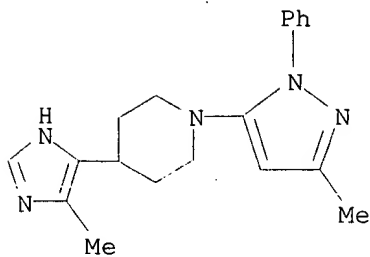


RN 335062-58-3 CAPLUS

CN Piperidine, 1-[4-bromo-1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

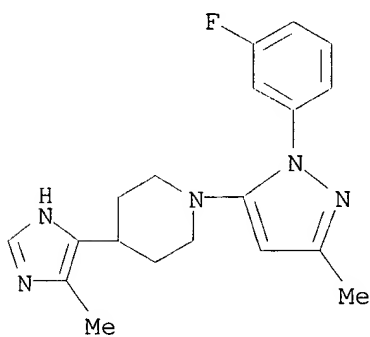


CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-(3-methyl-1-phenyl-1H-pyrazol-5-yl)- (9CI) (CA INDEX NAME)



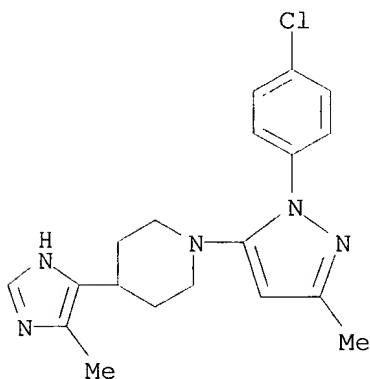
RN 335062-60-7 CAPLUS

CN Piperidine, 1-[1-(3-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



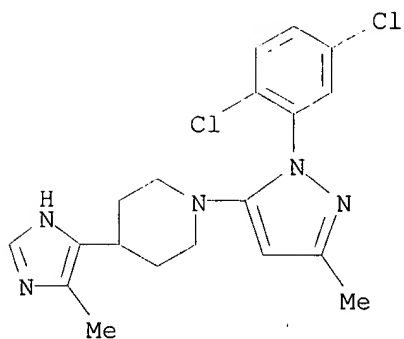
RN 335062-61-8 CAPLUS

CN Piperidine, 1-[1-(4-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



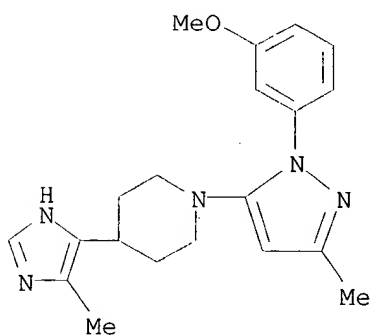
RN 335062-62-9 CAPLUS

CN Piperidine, 1-[1-(2,5-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



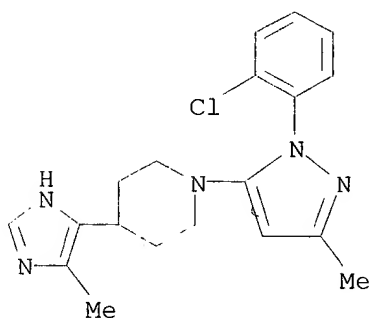
RN 335062-63-0 CAPLUS

CN Piperidine, 1-[1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



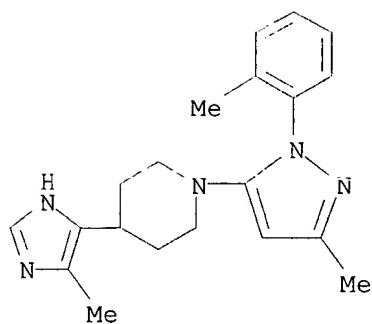
RN 335062-64-1 CAPLUS

CN Piperidine, 1-[1-(2-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



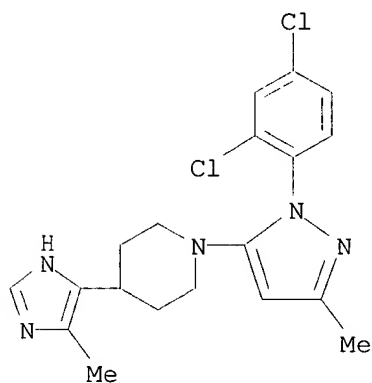
RN 335062-65-2 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-(2-methylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



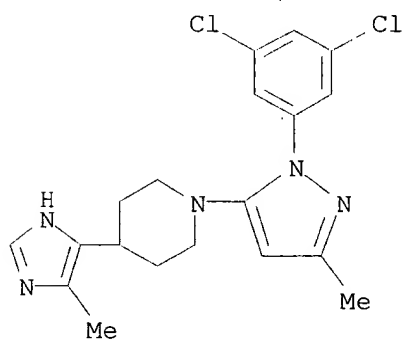
RN 335062-66-3 CAPLUS

CN Piperidine, 1-[1-(2,4-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



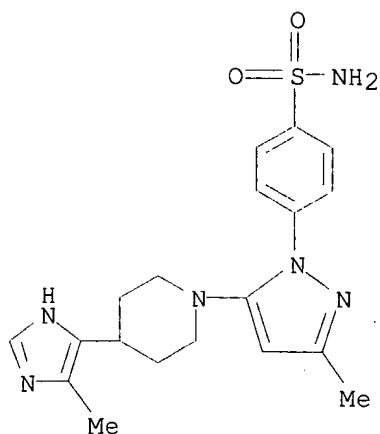
RN 335062-67-4 CAPLUS

CN Piperidine, 1-[1-(3,5-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



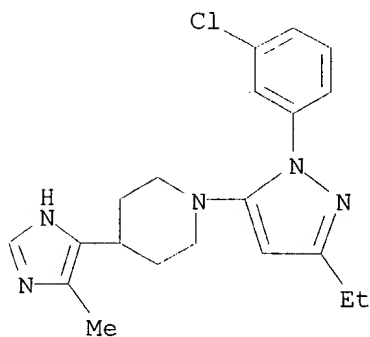
RN 335062-68-5 CAPLUS

CN Benzenesulfonamide, 4-[3-methyl-5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



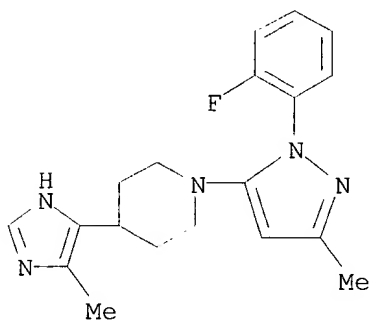
RN 335062-69-6 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-ethyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



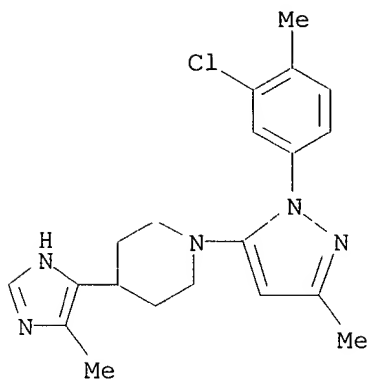
RN 335062-71-0 CAPLUS

CN Piperidine, 1-[1-(2-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



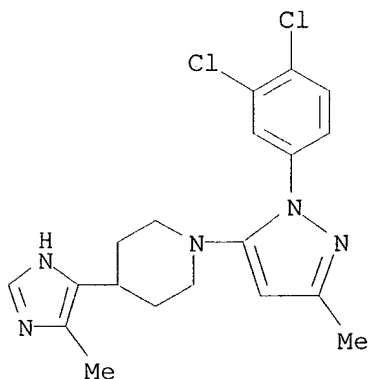
RN 335062-72-1 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-ethyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



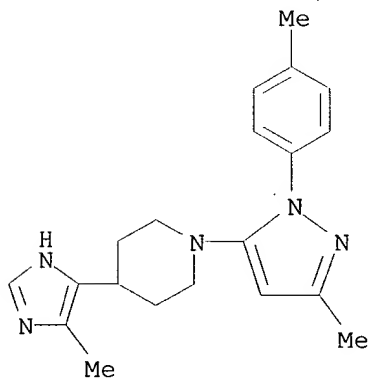
RN 335062-73-2 CAPLUS

CN Piperidine, 1-[1-(3,4-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



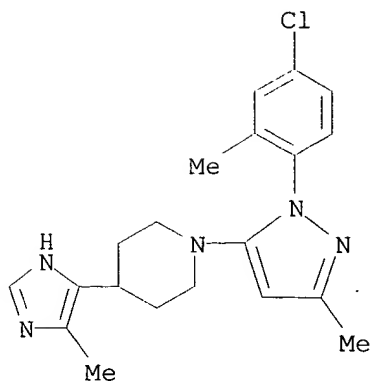
RN 335062-74-3 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-(4-methylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

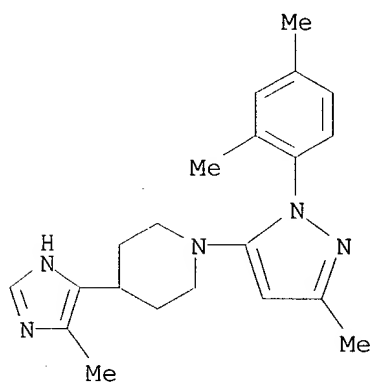


RN 335062-75-4 CAPLUS

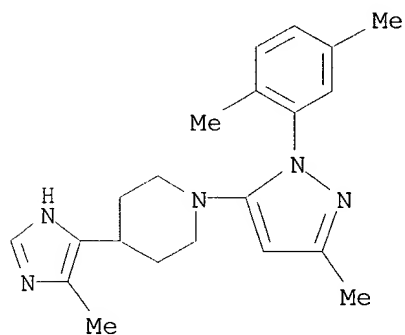
CN Piperidine, 1-[1-(4-chloro-2-methylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



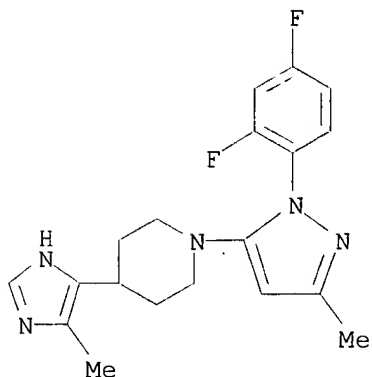
RN 335062-76-5 CAPLUS
CN Piperidine, 1-[1-(2,4-dimethylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



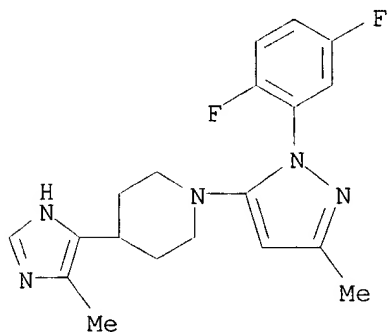
RN 335062-77-6 CAPLUS
CN Piperidine, 1-[1-(2,5-dimethylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



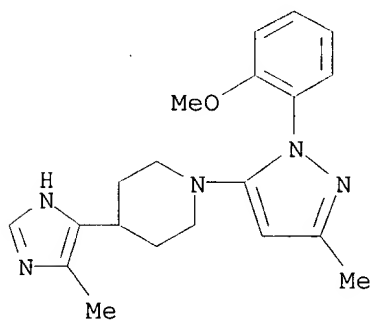
RN 335062-78-7 CAPLUS



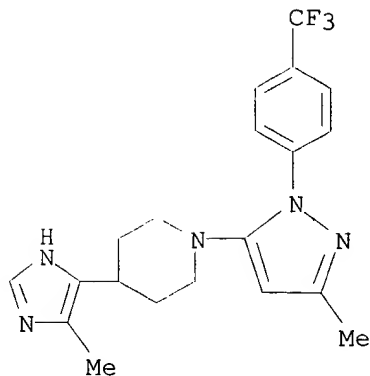
RN 335062-79-8 CAPLUS
CN Piperidine, 1-[1-(2,5-difluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 335062-80-1 CAPLUS
CN Piperidine, 1-[1-(2-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

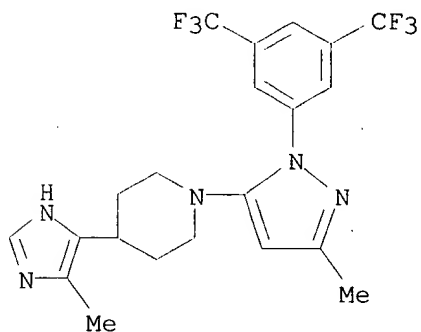


RN 335062-81-2 CAPLUS
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



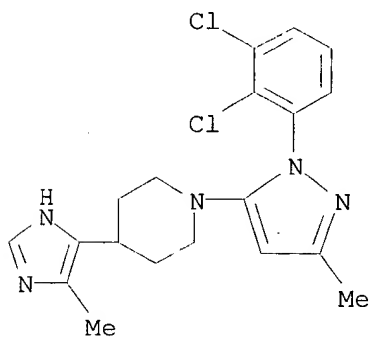
RN 335062-82-3 CAPLUS

CN Piperidine, 1-[1-[3,5-bis(trifluoromethyl)phenyl]-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



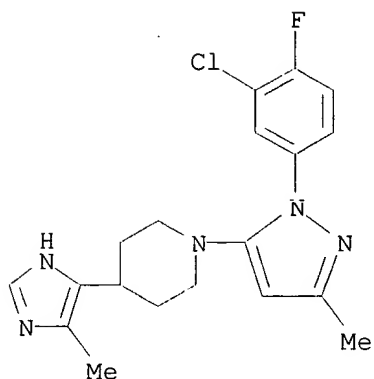
RN 335062-83-4 CAPLUS

CN Piperidine, 1-[1-(2,3-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



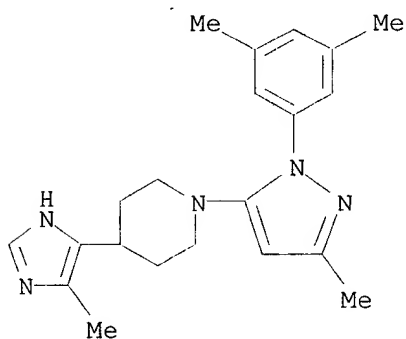
RN 335062-84-5 CAPLUS

CN Piperidine, 1-[1-(3-chloro-4-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



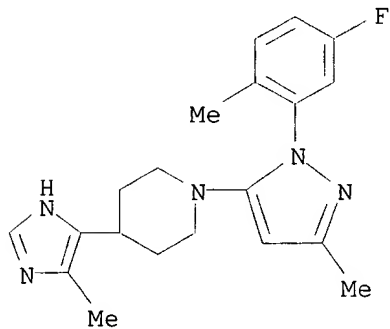
RN 335062-85-6 CAPLUS

CN Piperidine, 1-[1-(3,5-dimethylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



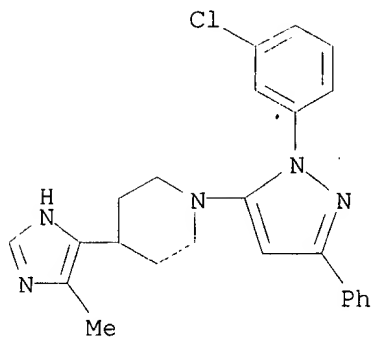
RN 335062-86-7 CAPLUS

CN Piperidine, 1-[1-(5-fluoro-2-methylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



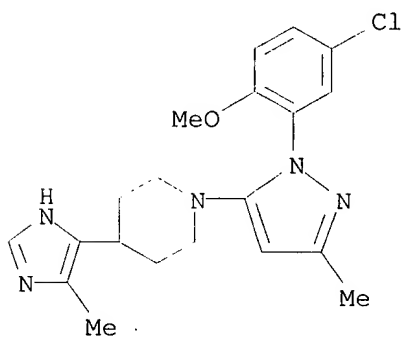
RN 335062-87-8 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-phenyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



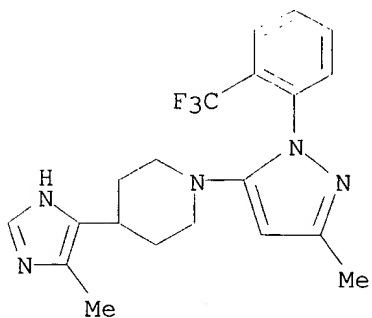
RN 335062-88-9 CAPLUS

CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



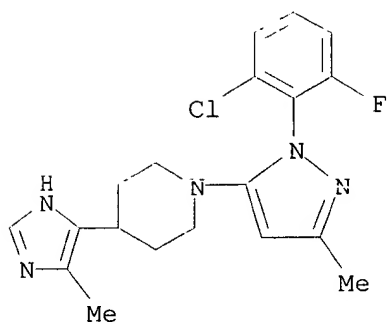
RN 335062-89-0 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-[2-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



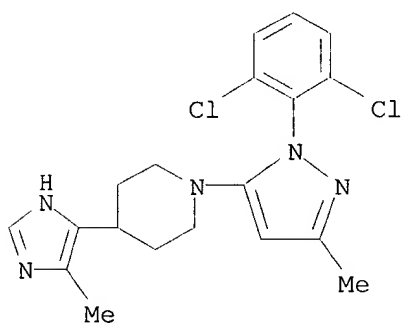
RN 335062-90-3 CAPLUS

CN Piperidine, 1-[1-(2-chloro-6-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



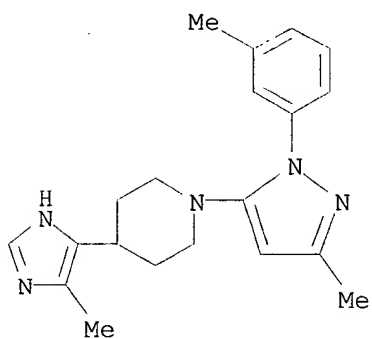
RN 335062-91-4 CAPLUS

CN Piperidine, 1-[1-(2,6-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



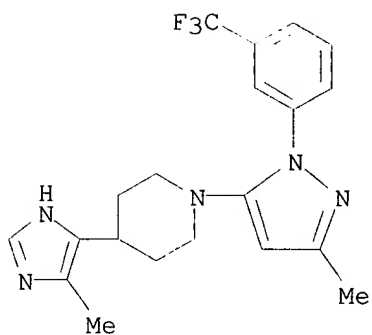
RN 335062-92-5 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



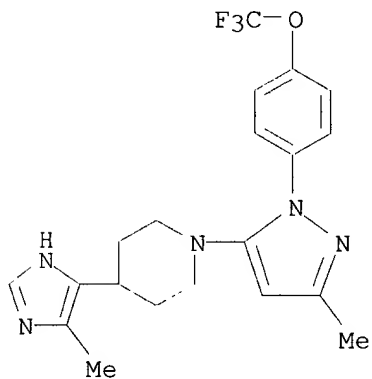
RN 335062-93-6 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-[3-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



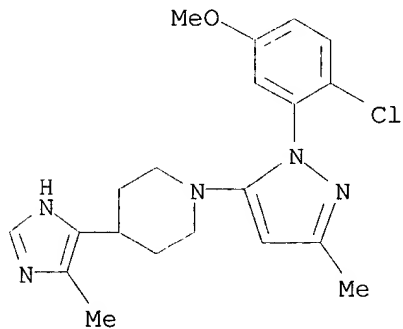
RN 335062-94-7 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



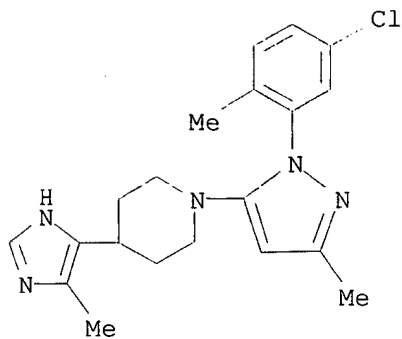
RN 335062-95-8 CAPLUS

CN Piperidine, 1-[1-(2-chloro-5-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



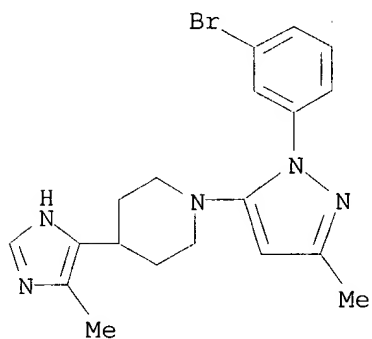
RN 335062-96-9 CAPLUS

CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



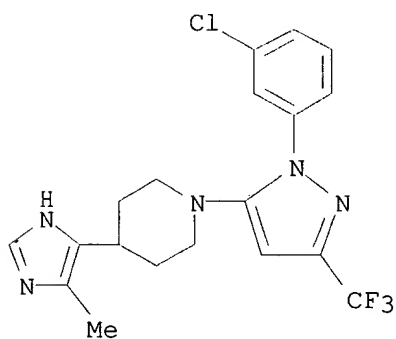
RN 335062-97-0 CAPLUS

CN Piperidine, 1-[1-(3-bromophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



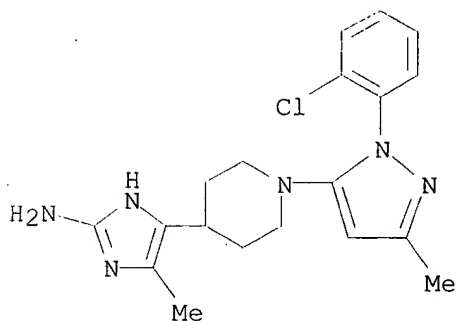
RN 335062-98-1 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

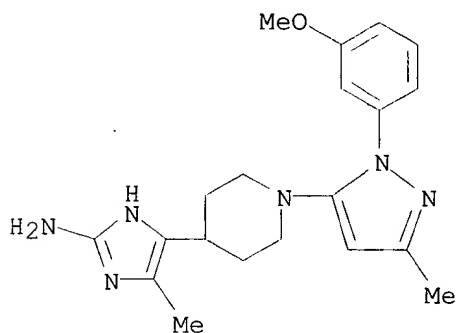


RN 335062-99-2 CAPLUS

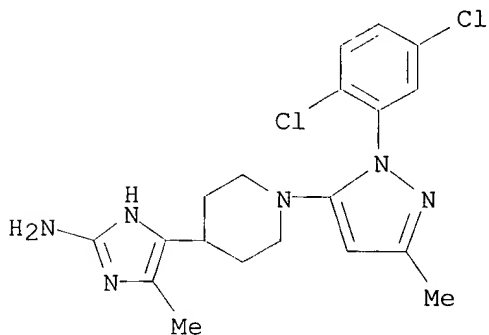
CN 1H-Imidazol-2-amine, 4-[1-[1-(2-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



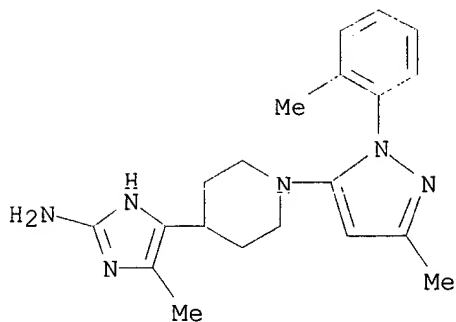
RN 335063-00-8 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 335063-01-9 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(2,5-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

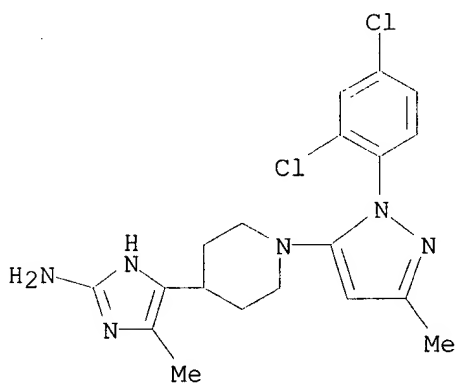


RN 335063-02-0 CAPLUS
CN 1H-Imidazol-2-amine, 4-methyl-5-[1-[3-methyl-1-(2-methylphenyl)-1H-pyrazol-5-yl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



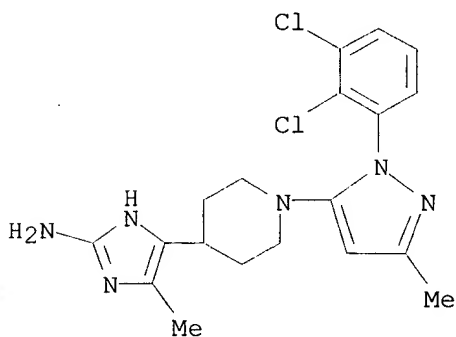
RN 335063-03-1 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(2,4-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



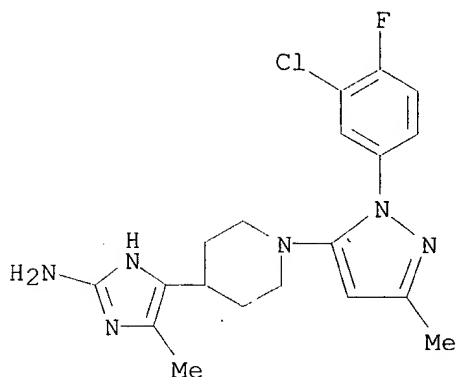
RN 335063-04-2 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(2,3-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



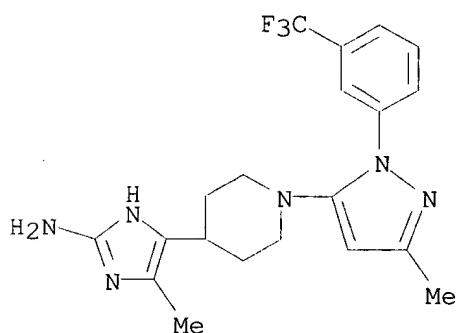
RN 335063-05-3 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chloro-4-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



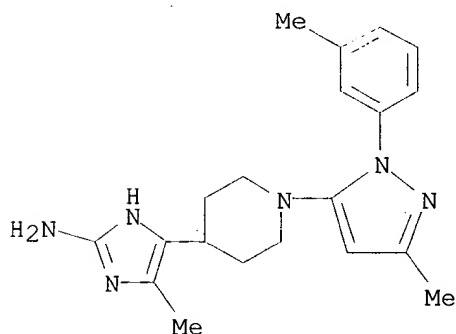
RN 335063-06-4 CAPLUS

CN 1H-Imidazol-2-amine, 4-methyl-5-[1-[3-methyl-1-[3-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



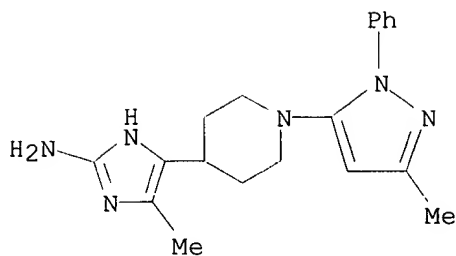
RN 335063-07-5 CAPLUS

CN 1H-Imidazol-2-amine, 4-methyl-5-[1-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



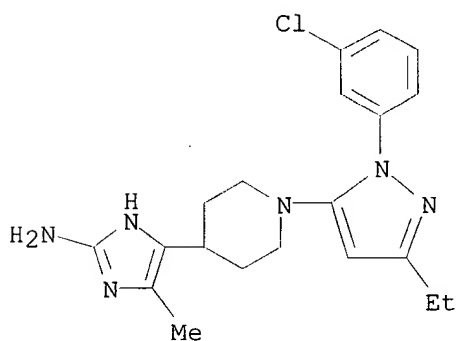
RN 335063-08-6 CAPLUS

CN 1H-Imidazol-2-amine, 4-methyl-5-[1-[3-methyl-1-(4-methylphenyl)-1H-pyrazol-5-yl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



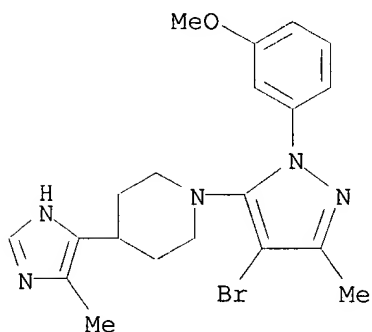
RN 335063-09-7 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-ethyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



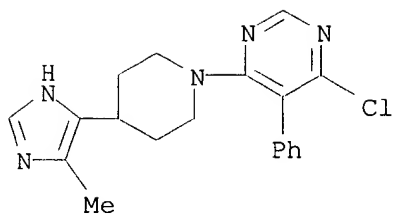
RN 335063-10-0 CAPLUS

CN Piperidine, 1-[4-bromo-1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 335063-11-1 CAPLUS

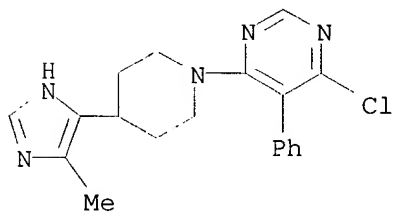
CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl- (9CI) (CA INDEX NAME)



RN 335063-12-2 CAPLUS
 CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

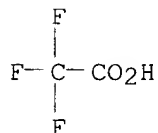
CM 1

CRN 335063-11-1
 CMF C19 H20 Cl N5

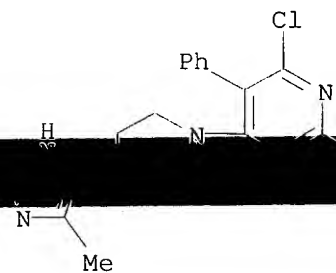


CM 2

CRN 76-05-1
 CMF C2 H F3 O2

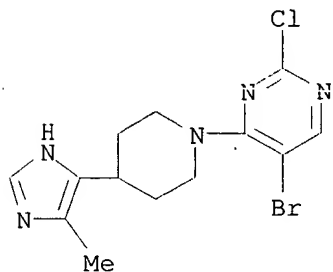


RN 335063-13-3 CAPLUS
 CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2,5-diphenyl- (9CI) (CA INDEX NAME)



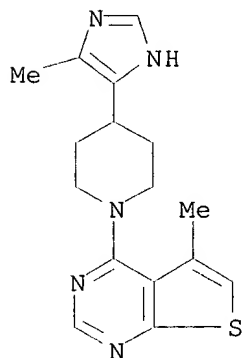
RN 335063-14-4 CAPLUS

CN Pyrimidine, 5-bromo-2-chloro-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



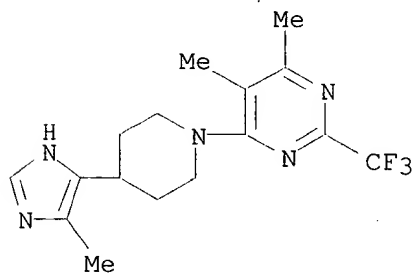
RN 335063-15-5 CAPLUS

CN Thieno[2,3-d]pyrimidine, 5-methyl-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



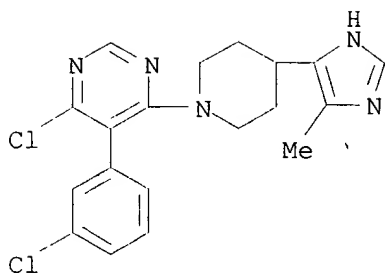
RN 335063-16-6 CAPLUS

CN Pyrimidine, 4,5-dimethyl-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



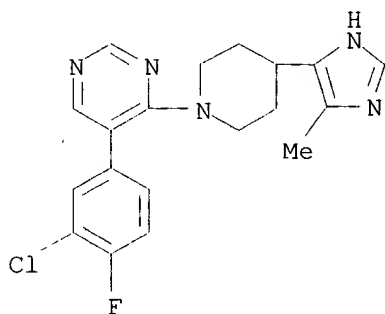
RN 335063-17-7 CAPLUS

CN Pyrimidine, 4-chloro-5-(3-chlorophenyl)-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335063-18-8 CAPLUS

CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



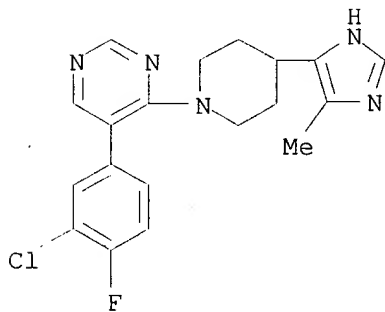
RN 335063-19-9 CAPLUS

CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

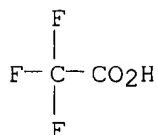
CRN 335063-18-8

CMF C19 H19 Cl F N5



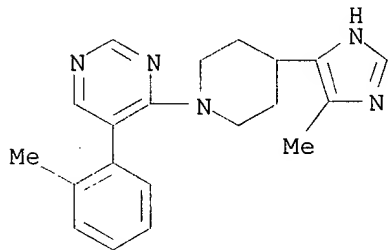
CM 2

CMF C2 H F3 O2



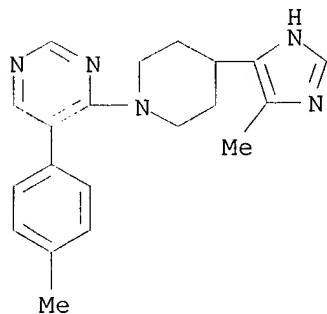
RN 335063-20-2 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(2-methylphenyl)- (9CI) (CA INDEX NAME)



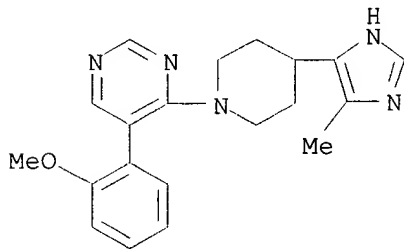
RN 335063-21-3 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)



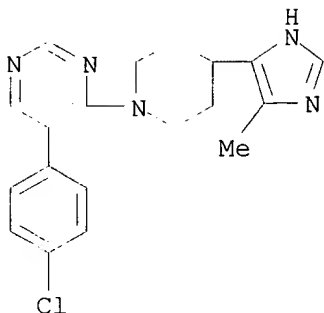
RN 335063-22-4 CAPLUS

CN Pyrimidine, 5-(2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



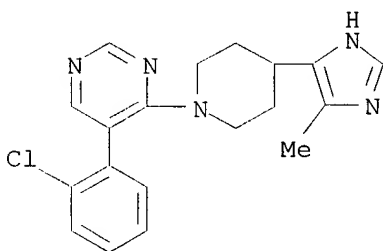
RN 335063-23-5 CAPLUS

CN Pyrimidine, 5-(4-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



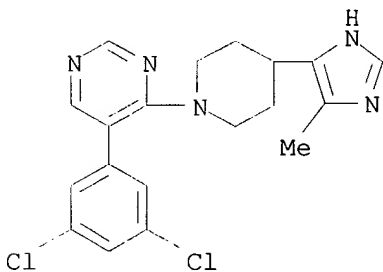
RN 335063-24-6 CAPLUS

CN Pyrimidine, 5-(2-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



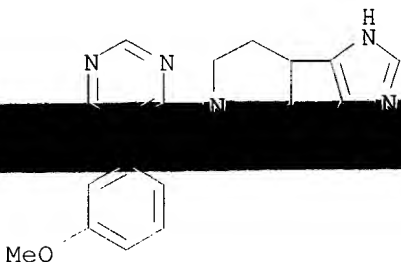
RN 335063-25-7 CAPLUS

CN Pyrimidine, 5-(3,5-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

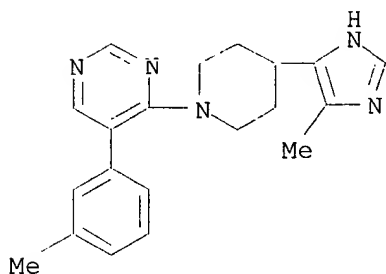


RN 335063-26-8 CAPLUS

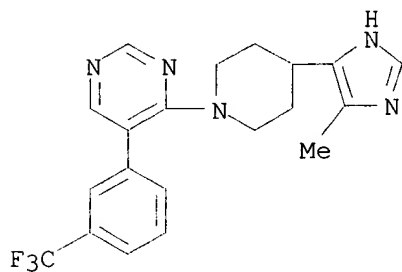
CN Pyrimidine, 5-(3-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



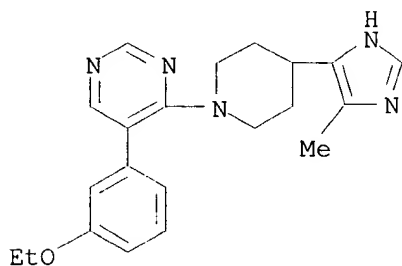
RN 335063-27-9 CAPLUS
CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methylphenyl)- (9CI) (CA INDEX NAME)



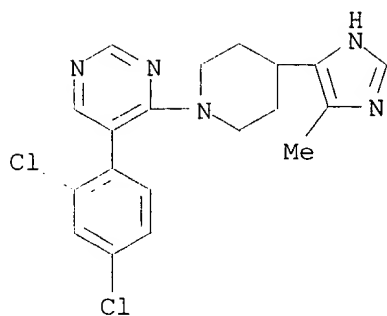
RN 335063-28-0 CAPLUS
CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



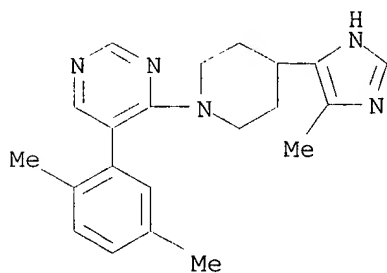
RN 335063-29-1 CAPLUS
CN Pyrimidine, 5-(3-ethoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



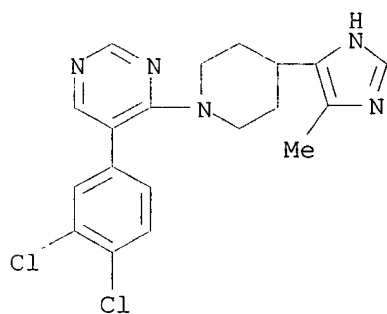
RN 335063-30-4 CAPLUS
CN Pyrimidine, 5-(2,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



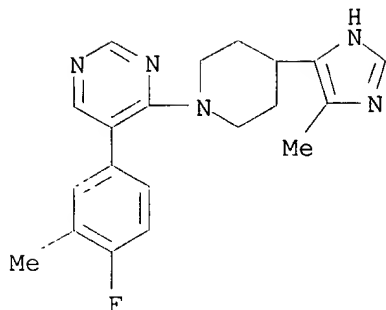
RN 335063-31-5 CAPLUS
CN Pyrimidine, 5-(2,5-dimethylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335063-32-6 CAPLUS
CN Pyrimidine, 5-(3,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

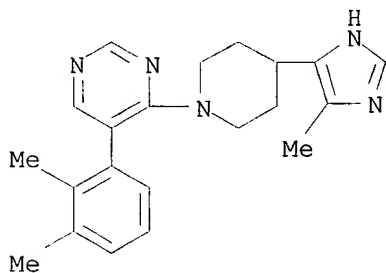


RN 335063-33-7 CAPLUS
CN Pyrimidine, 5-(4-fluoro-3-methylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



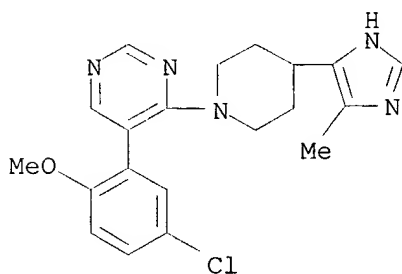
RN 335063-34-8 CAPLUS

CN Pyrimidine, 5-(2,3-dimethylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



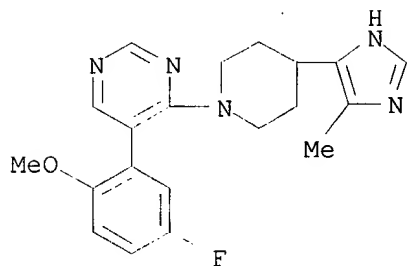
RN 335063-35-9 CAPLUS

CN Pyrimidine, 5-(5-chloro-2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



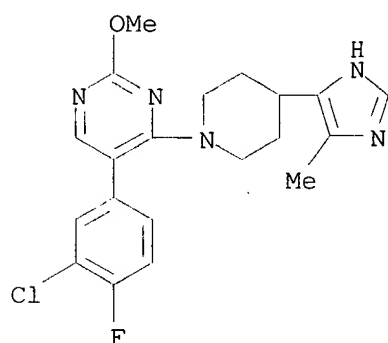
RN 335063-36-0 CAPLUS

CN Pyrimidine, 5-(5-fluoro-2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



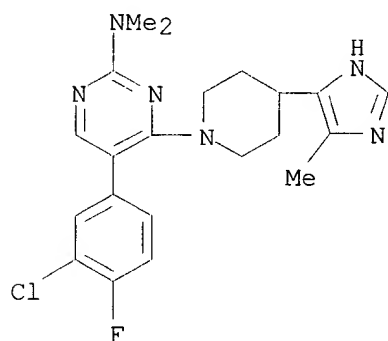
RN 335063-37-1 CAPLUS

CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



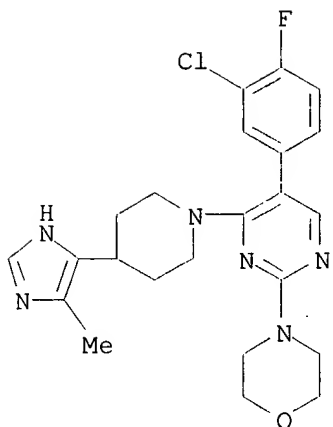
RN 335063-38-2 CAPLUS

CN 2-Pyrimidinamine, 5-(3-chloro-4-fluorophenyl)-N,N-dimethyl-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

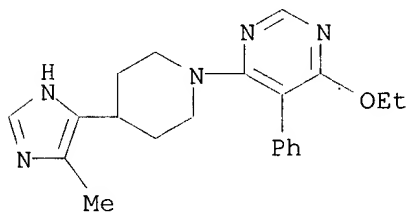


RN 335063-39-3 CAPLUS

CN Morpholine, 4-[5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



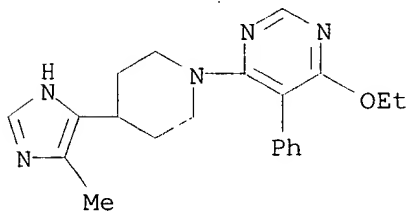
RN 335063-40-6 CAPLUS
CN Pyrimidine, 4-ethoxy-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl- (9CI) (CA INDEX NAME)



RN 335063-41-7 CAPLUS
CN Pyrimidine, 4-ethoxy-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

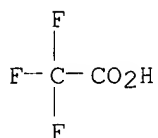
CM 1

CRN 335063-40-6
CMF C21 H25 N5 O



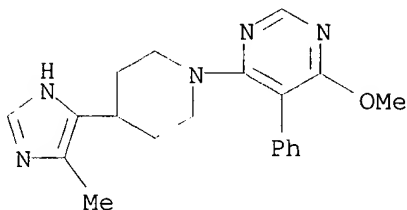
CM 2

CRN 76-05-1
CMF C2 H F3 O2



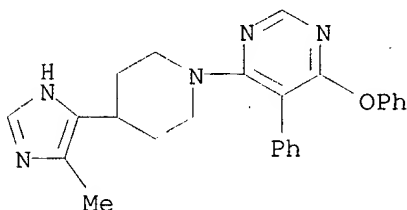
RN 335063-42-8 CAPLUS

CN Pyrimidine, 4-methoxy-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl- (9CI) (CA INDEX NAME)



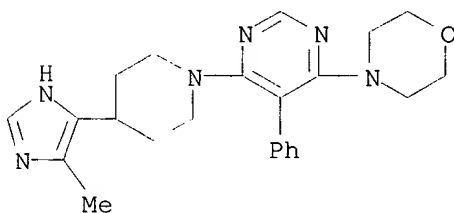
RN 335063-43-9 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-6-phenoxy-5-phenyl- (9CI) (CA INDEX NAME)



RN 335063-44-0 CAPLUS

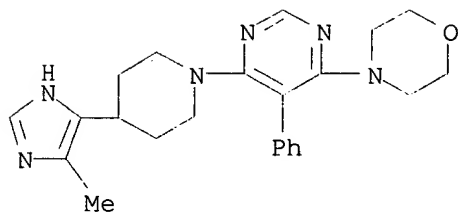
CN Morpholine, 4-[6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 335063-45-1 CAPLUS

CN Morpholine, 4-[6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

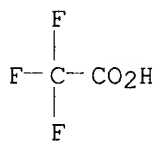
CRN 335063-44-0
CMF C23 H28 N6 O



CM 2

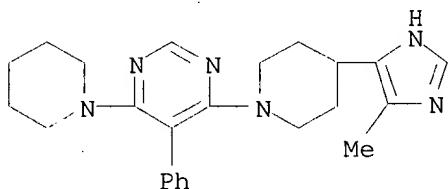
CRN 76-05-1

CMF C2 H F3 O2



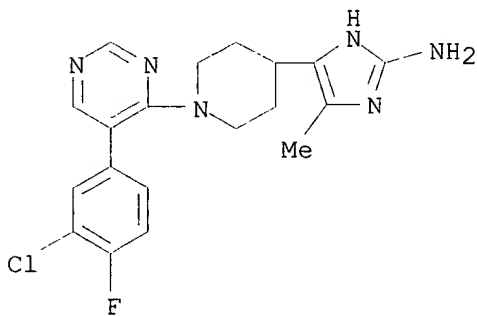
RN 335063-46-2 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-6-(1-piperidinyl)- (9CI) (CA INDEX NAME)



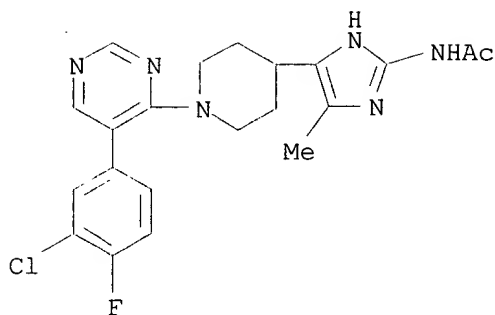
RN 335063-47-3 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[5-(3-chloro-4-fluorophenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

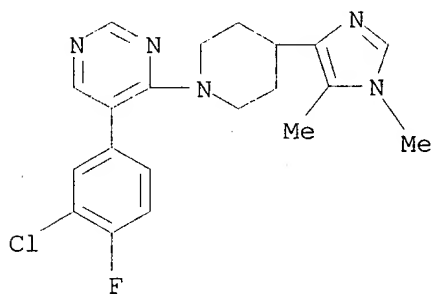


RN 335063-48-4 CAPLUS

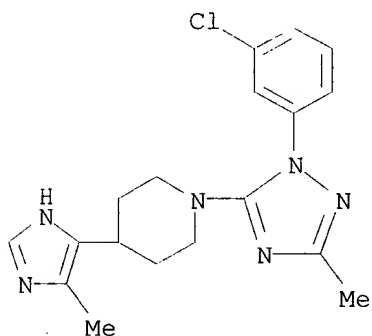
CN Acetamide, N-[4-[1-[5-(3-chloro-4-fluorophenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 335063-49-5 CAPLUS
CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-4-[4-(1,5-dimethyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



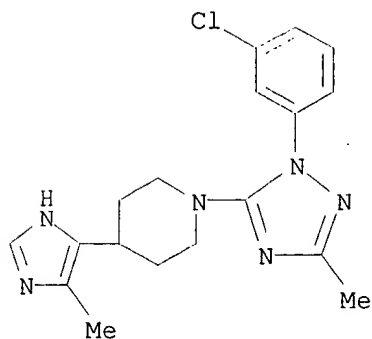
RN 335063-54-2 CAPLUS
CN Piperidine, 1-[1-(3-chlorophenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 335063-55-3 CAPLUS
CN Piperidine, 1-[1-(3-chlorophenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

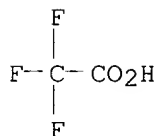
CMF C18 H21 Cl N6



CM 2

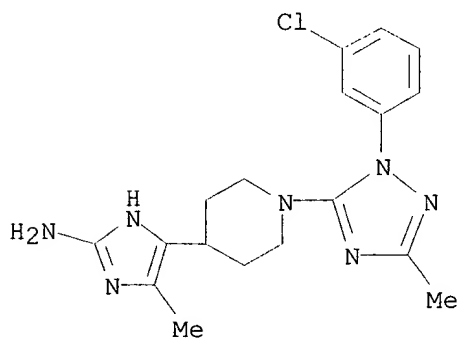
CRN 76-05-1

CMF C2 H F3 O2



RN 335063-56-4 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



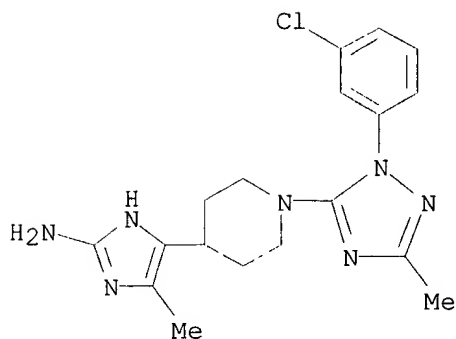
RN 335063-57-5 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-piperidinyl]-5-methyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335063-56-4

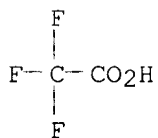
CMF C18 H22 Cl N7



CM 2

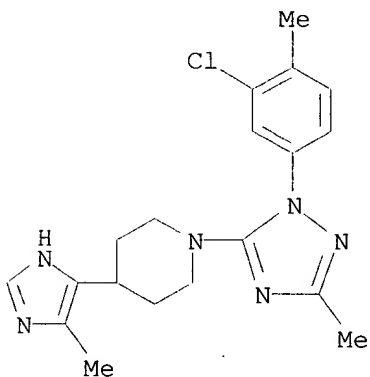
CRN 76-05-1

CMF C2 H F3 O2



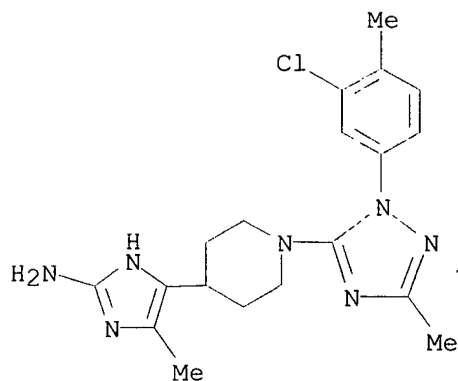
RN 335063-58-6 CAPLUS

CN Piperidine, 1-[1-(3-chloro-4-methylphenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 335063-59-7 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chloro-4-methylphenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

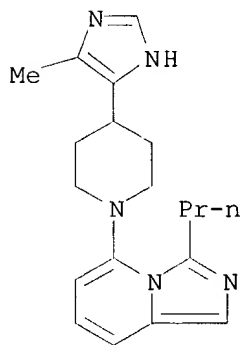


RN 335063-61-1 CAPLUS
 CN Imidazo[1,5-a]pyridine, 5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-3-propyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335063-60-0

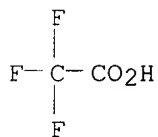
CMF C19 H25 N5



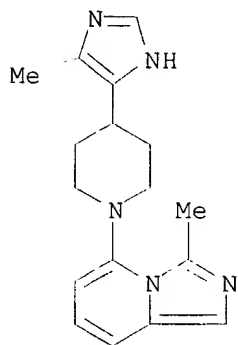
CM 2

CRN 76-05-1

CMF C2 H F3 O2

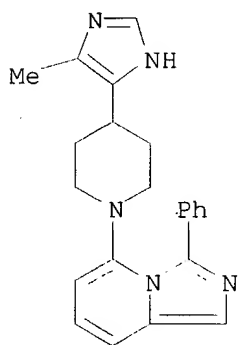


RN 335063-62-2 CAPLUS
 CN Imidazo[1,5-a]pyridine, 3-methyl-5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



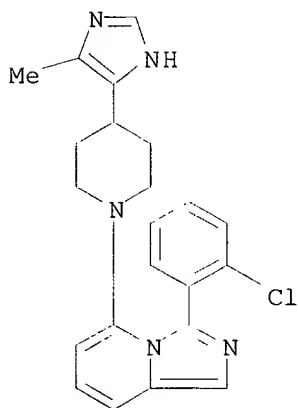
RN 335063-63-3 CAPLUS

CN Imidazo[1,5-a]pyridine, 5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-3-phenyl- (9CI) (CA INDEX NAME)

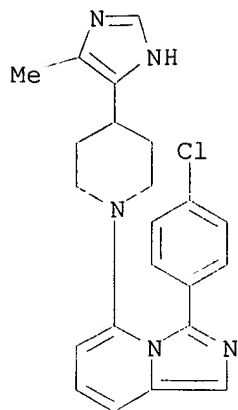


RN 335063-64-4 CAPLUS

CN Imidazo[1,5-a]pyridine, 3-(2-chlorophenyl)-5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

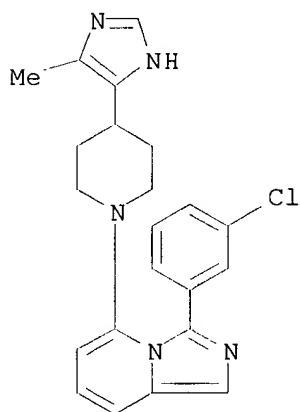


yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



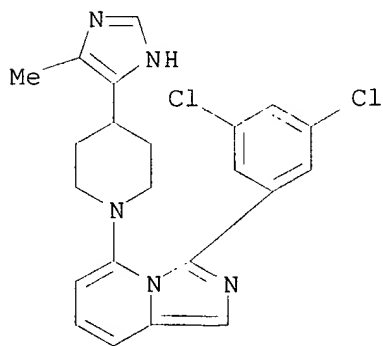
RN 335063-66-6 CAPLUS

CN Imidazo[1,5-a]pyridine, 3-(3-chlorophenyl)-5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



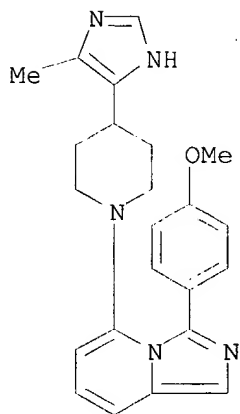
RN 335063-67-7 CAPLUS

CN Imidazo[1,5-a]pyridine, 3-(3,5-dichlorophenyl)-5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

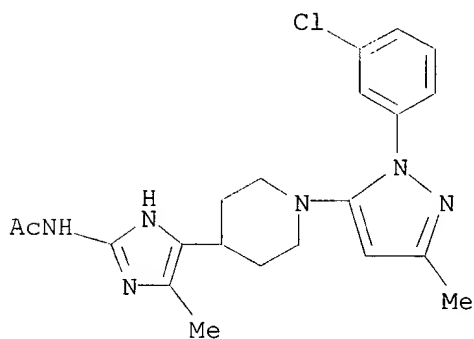


RN 335063-68-8 CAPLUS

CN Imidazo[1,5-a]pyridine, 3-(4-methoxyphenyl)-5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



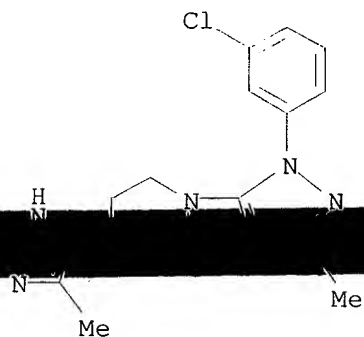
RN 335063-69-9 CAPLUS
 CN Acetamide, N-[4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidiny]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 335063-70-2 CAPLUS
 CN Acetamide, N-[4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidiny]-5-methyl-1H-imidazol-2-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

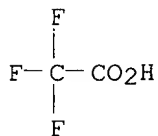
CRN 335063-69-9
 CMF C21 H25 Cl N6 O



CM 2

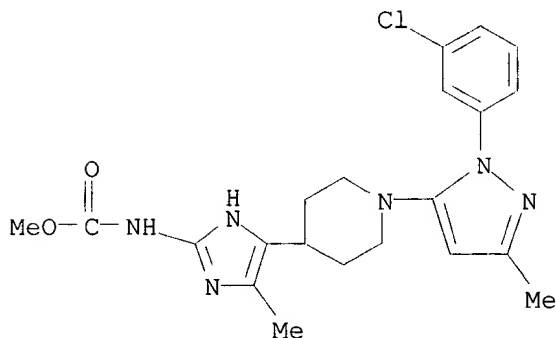
CRN 76-05-1

CMF C2 H F3 O2



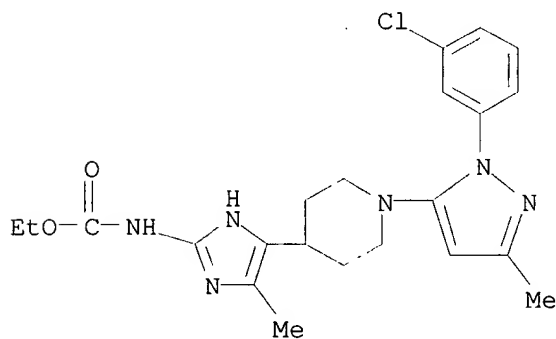
RN 335063-71-3 CAPLUS

CN Carbamic acid, [4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



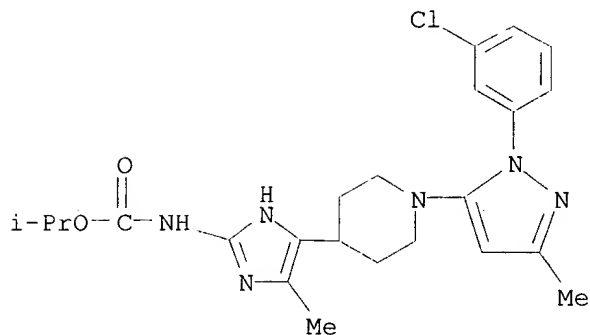
RN 335063-72-4 CAPLUS

CN Carbamic acid, [4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)



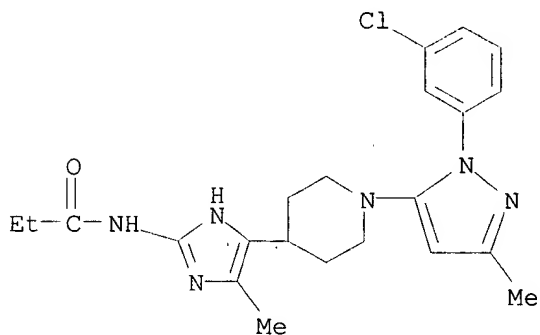
RN 335063-73-5 CAPLUS

CN Carbamic acid, [4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



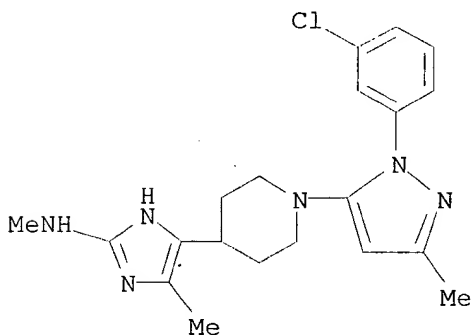
RN 335063-74-6 CAPLUS

CN Propanamide, N-[4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



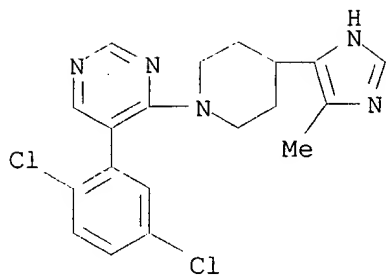
RN 335063-75-7 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-N,5-dimethyl- (9CI) (CA INDEX NAME)

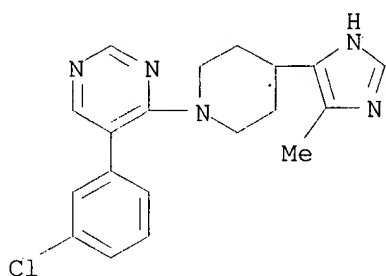


RN 335063-77-9 CAPLUS

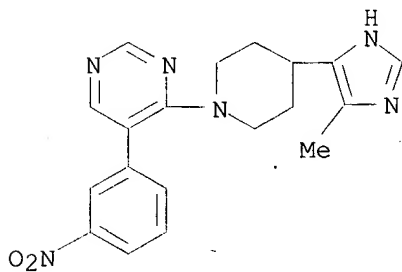
CN Pyrimidine, 5-(2,5-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



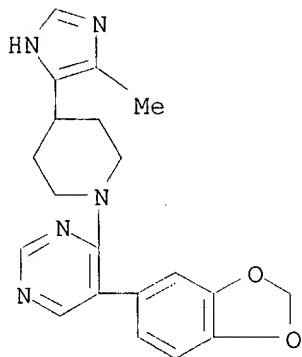
RN 335063-78-0 CAPLUS
CN Pyrimidine, 5-(3-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335063-79-1 CAPLUS
CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

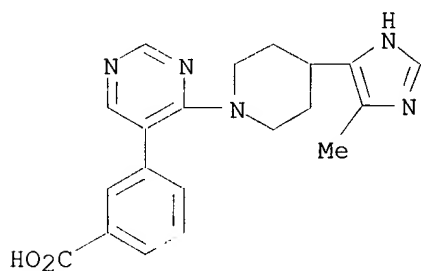


RN 335063-80-4 CAPLUS
CN Pyrimidine, 5-(1,3-benzodioxol-5-yl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



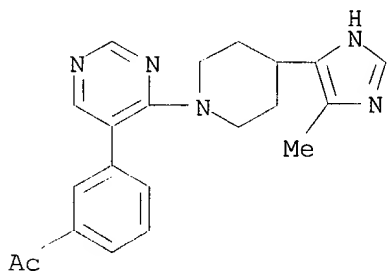
RN 335063-81-5 CAPLUS

CN Benzoic acid, 3-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



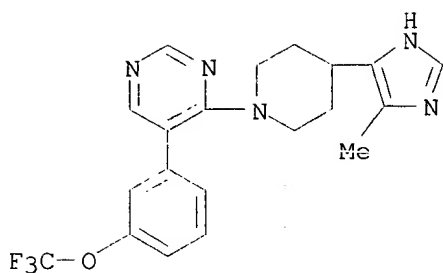
RN 335063-82-6 CAPLUS

CN Ethanone, 1-[3-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-pyrimidinyl]phenyl]- (9CI) (CA INDEX NAME)



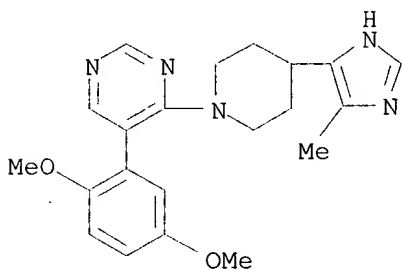
RN 335063-83-7 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



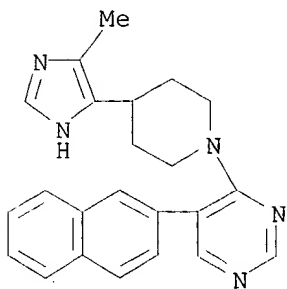
RN 335063-84-8 CAPLUS

CN Pyrimidine, 5-(2,5-dimethoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



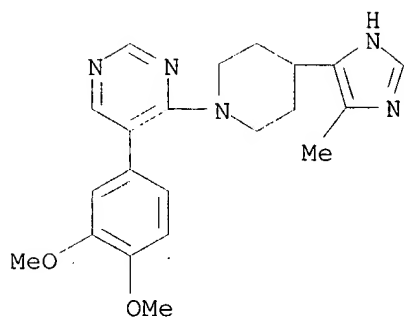
RN 335063-85-9 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(2-naphthalenyl)- (9CI) (CA INDEX NAME)



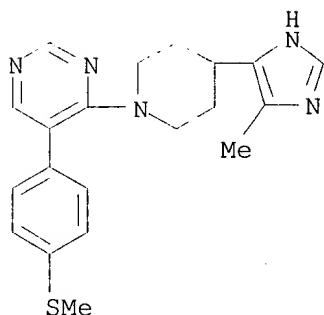
RN 335063-86-0 CAPLUS

CN Pyrimidine, 5-(3,4-dimethoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



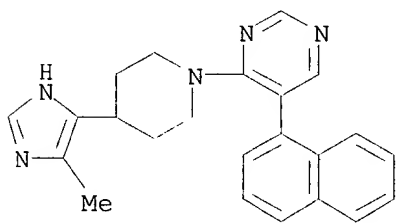
RN 335063-87-1 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



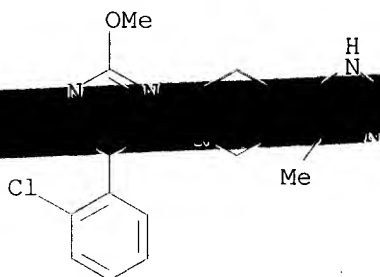
RN 335063-88-2 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(1-naphthalenyl)- (9CI) (CA INDEX NAME)



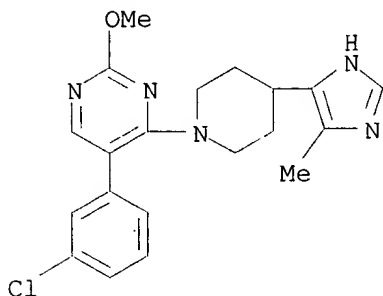
RN 335063-89-3 CAPLUS

CN Pyrimidine, 5-(2-chlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



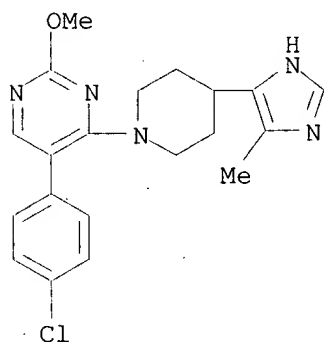
RN 335063-90-6 CAPLUS

CN Pyrimidine, 5-(3-chlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



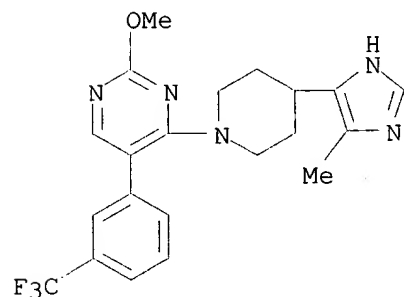
RN 335063-91-7 CAPLUS

CN Pyrimidine, 5-(4-chlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



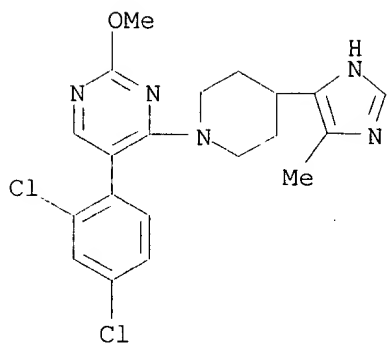
RN 335063-92-8 CAPLUS

CN Pyrimidine, 2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

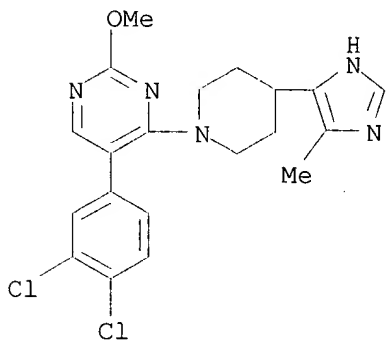


RN 335063-93-9 CAPLUS

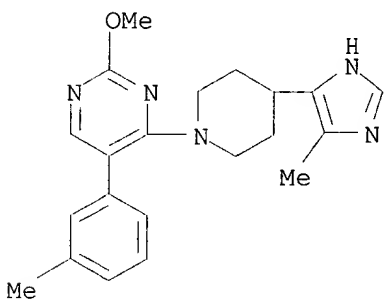
CN Pyrimidine, 5-(2,4-dichlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



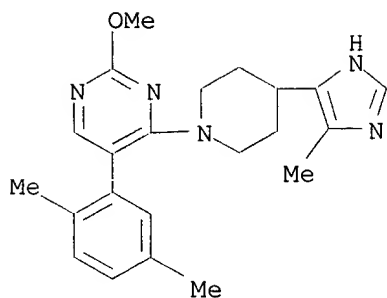
RN 335063-94-0 CAPLUS
CN Pyrimidine, 5-(3,4-dichlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



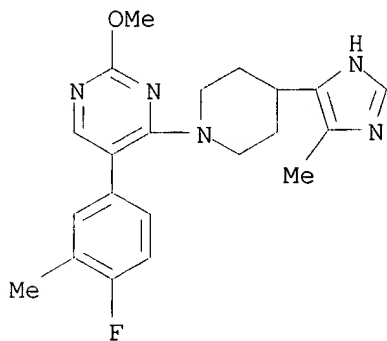
RN 335063-95-1 CAPLUS
CN Pyrimidine, 2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methylphenyl)- (9CI) (CA INDEX NAME)



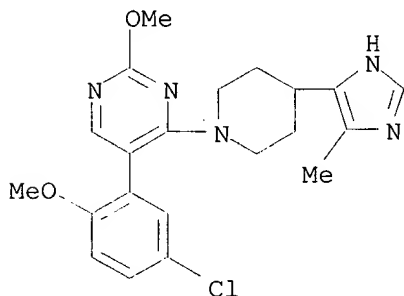
RN 335063-96-2 CAPLUS
CN Pyrimidine, 5-(2,5-dimethylphenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



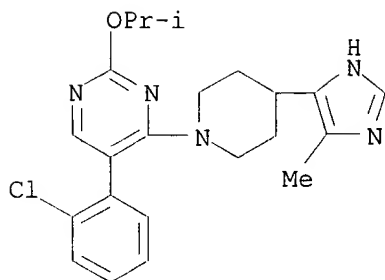
RN 335063-97-3 CAPLUS
CN Pyrimidine, 5-(4-fluoro-3-methylphenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335063-98-4 CAPLUS
CN Pyrimidine, 5-(5-chloro-2-methoxyphenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

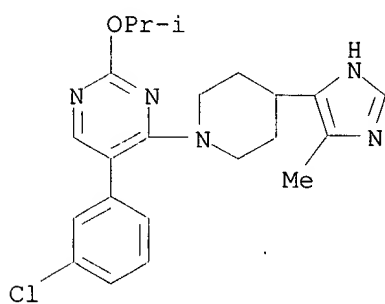


RN 335063-99-5 CAPLUS
CN Pyrimidine, 5-(2-chlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



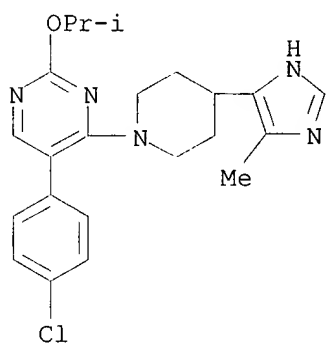
RN 335064-00-1 CAPLUS

CN Pyrimidine, 5-(3-chlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



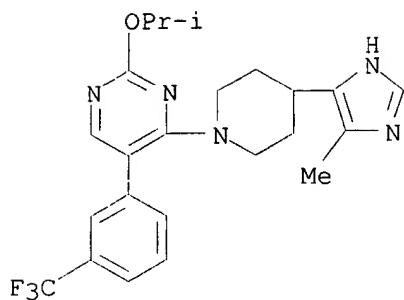
RN 335064-01-2 CAPLUS

CN Pyrimidine, 5-(4-chlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

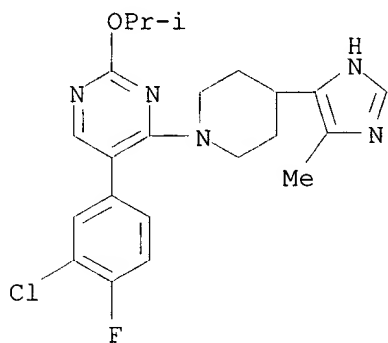


RN 335064-02-3 CAPLUS

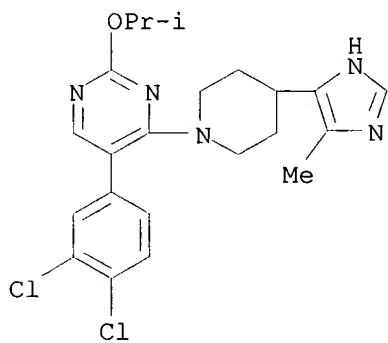
CN Pyrimidine, 2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



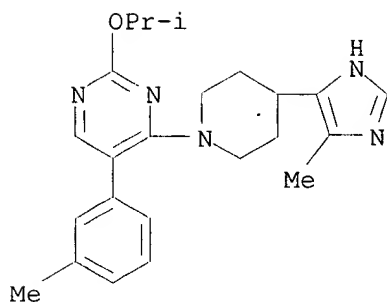
RN 335064-03-4 CAPLUS
CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335064-04-5 CAPLUS
CN Pyrimidine, 5-(3,4-dichlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

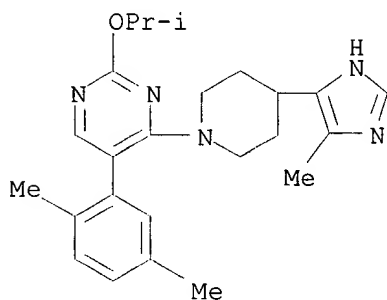


RN 335064-05-6 CAPLUS
CN Pyrimidine, 2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methylphenyl)- (9CI) (CA INDEX NAME)



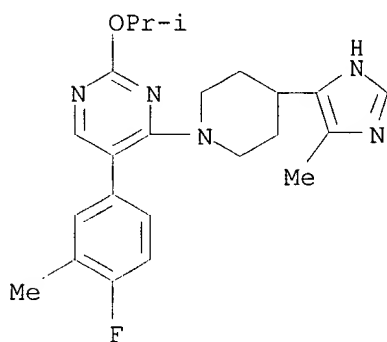
RN 335064-06-7 CAPLUS

CN Pyrimidine, 5-(2,5-dimethylphenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



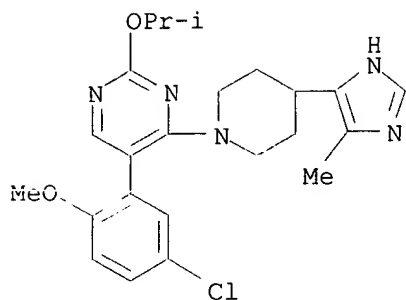
RN 335064-07-8 CAPLUS

CN Pyrimidine, 5-(4-fluoro-3-methylphenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

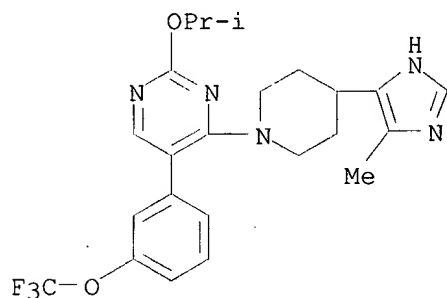


RN 335064-08-9 CAPLUS

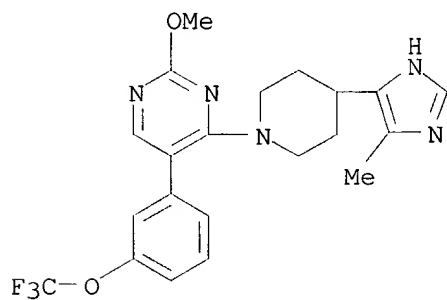
CN Pyrimidine, 5-(5-chloro-2-methoxyphenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



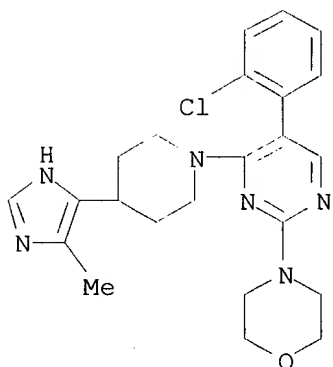
RN 335064-09-0 CAPLUS
CN Pyrimidine, 2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 335064-10-3 CAPLUS
CN Pyrimidine, 2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

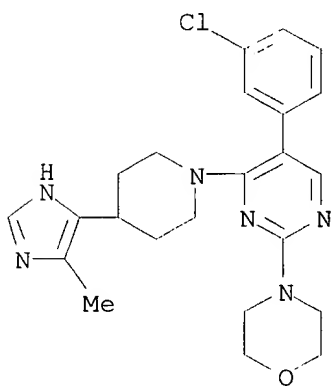


RN 335064-11-4 CAPLUS
CN Morpholine, 4-[5-(2-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



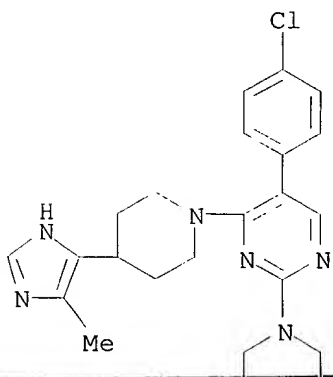
RN 335064-12-5 CAPLUS

CN Morpholine, 4-[5-(3-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



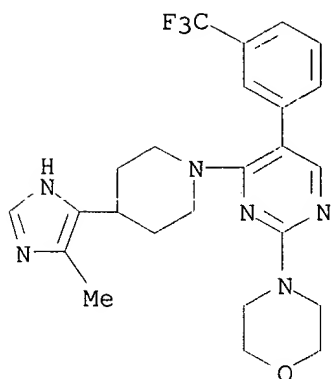
RN 335064-13-6 CAPLUS

CN Morpholine, 4-[5-(4-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



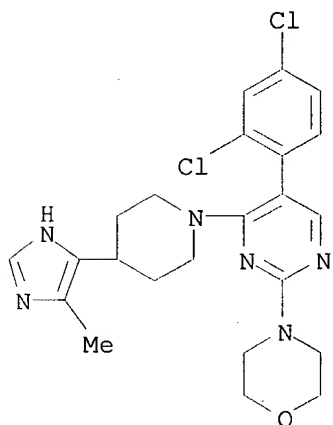
RN 335064-14-7 CAPLUS

CN Morpholine, 4-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethyl)phenyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



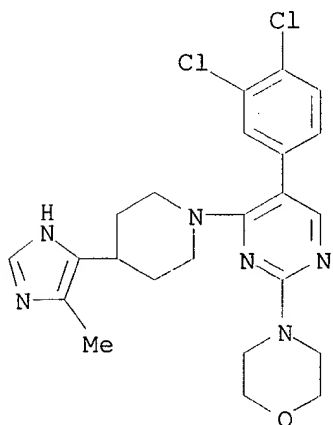
RN 335064-15-8 CAPLUS

CN Morpholine, 4-[5-(2,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 335064-16-9 CAPLUS

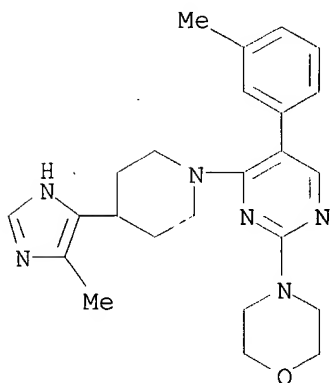
CN Morpholine, 4-[5-(3,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 335064-17-0 CAPLUS

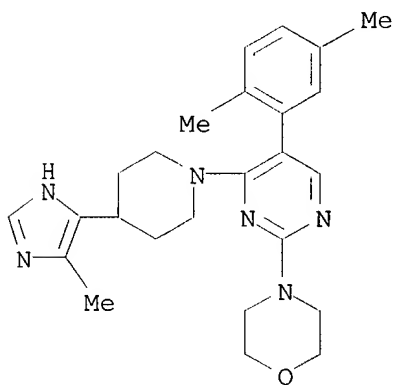
CN Morpholine, 4-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-

methylphenyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



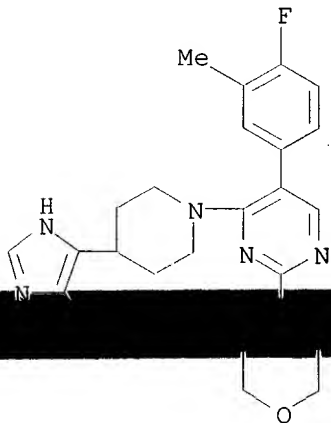
RN 335064-18-1 CAPLUS

CN Morpholine, 4-[5-(2,5-dimethylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



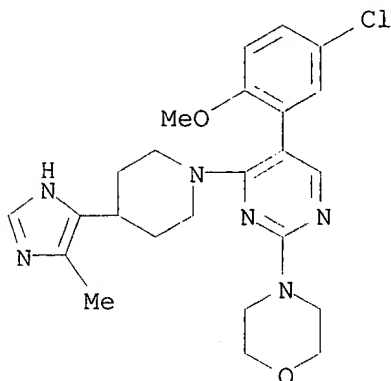
RN 335064-19-2 CAPLUS

CN Morpholine, 4-[5-(4-fluoro-3-methylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



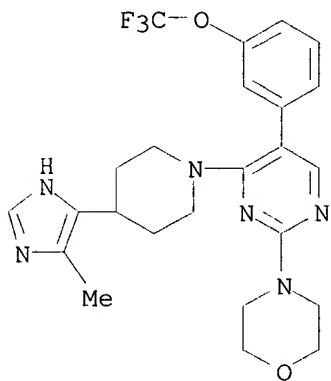
RN 335064-20-5 CAPLUS

CN Morpholine, 4-[5-(5-chloro-2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



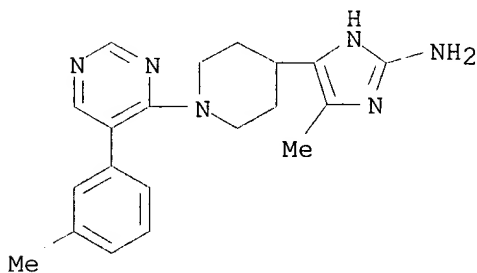
RN 335064-21-6 CAPLUS

CN Morpholine, 4-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethoxy)phenyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



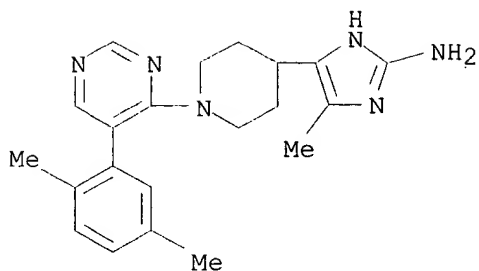
RN 335064-22-7 CAPLUS

CN 1H-Imidazol-2-amine, 4-methyl-5-[1-[5-(3-methylphenyl)-4-pyrimidinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

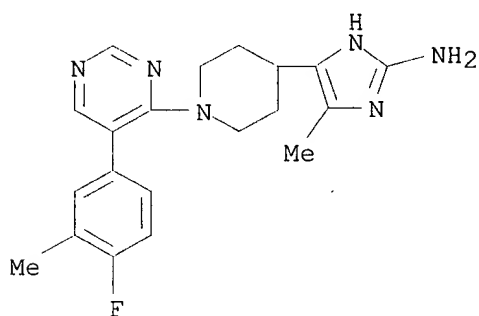


RN 335064-23-8 CAPLUS

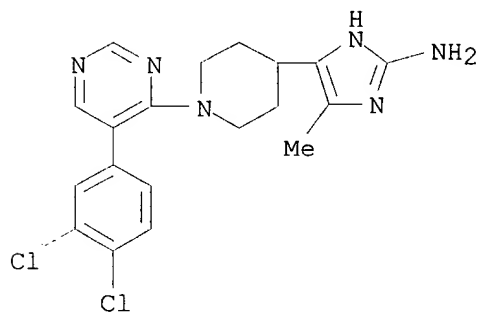
CN 1H-Imidazol-2-amine, 4-[1-[5-(2,5-dimethylphenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



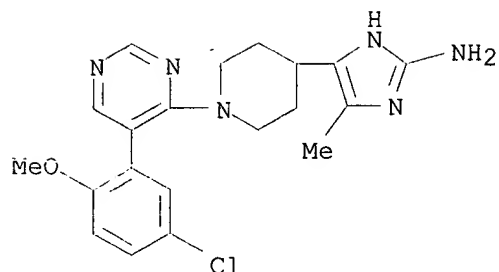
RN 335064-24-9 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[5-(4-fluoro-3-methylphenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 335064-25-0 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[5-(3,4-dichlorophenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

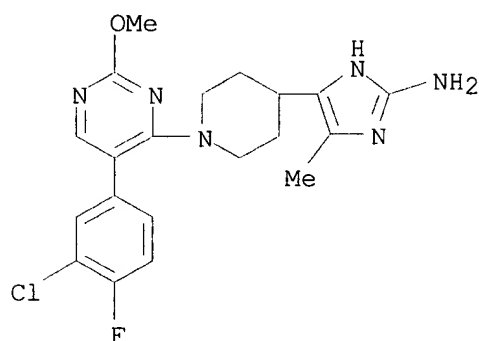


RN 335064-26-1 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[5-(5-chloro-2-methoxyphenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



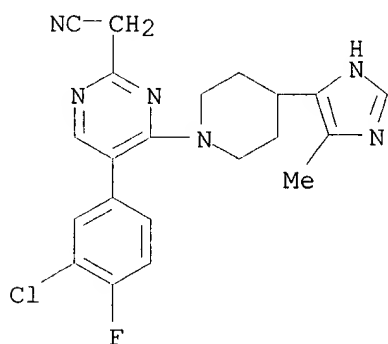
RN 335064-27-2 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[5-(3-chloro-4-fluorophenyl)-2-methoxy-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 335064-28-3 CAPLUS

CN 2-Pyrimidineacetonitrile, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



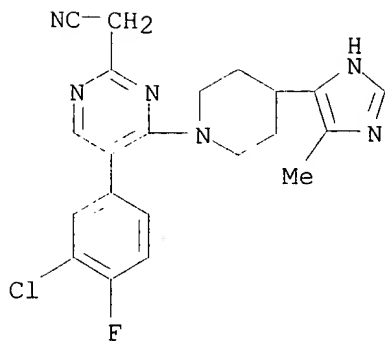
RN 335064-29-4 CAPLUS

CN 2-Pyrimidineacetonitrile, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335064-28-3

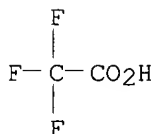
CMF C21 H20 Cl F N6



CM 2

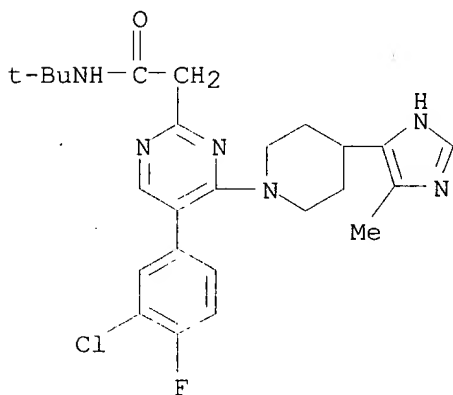
CRN 76-05-1

CMF C2 H F3 O2



RN 335064-30-7 CAPLUS

CN 2-Pyrimidineacetamide, 5-(3-chloro-4-fluorophenyl)-N-(1,1-dimethylethyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

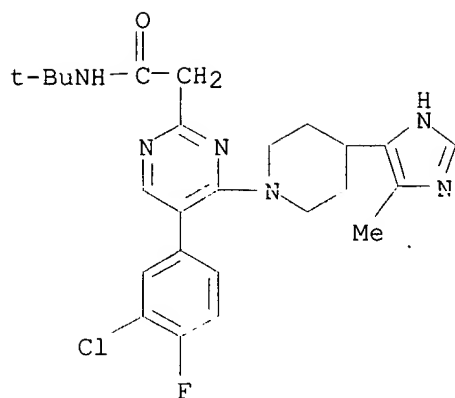


RN 335064-31-8 CAPLUS

CN 2-Pyrimidineacetamide, 5-(3-chloro-4-fluorophenyl)-N-(1,1-dimethylethyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

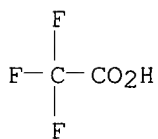
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CM 2

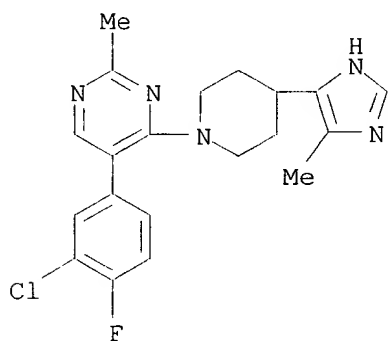
CRN 76-05-1

CMF C2 H F3 O2



RN 335064-32-9 CAPLUS

CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-methyl-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



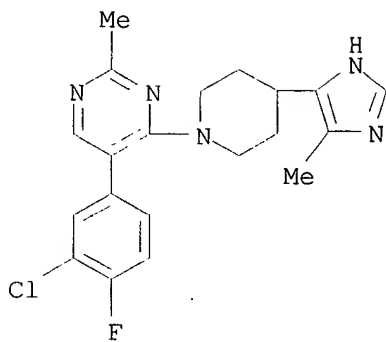
RN 335064-33-0 CAPLUS

CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-methyl-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335064-32-9

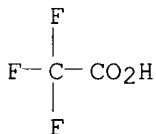
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CM 2

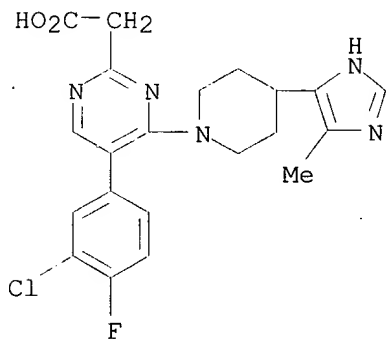
CRN 76-05-1

CMF C2 H F3 O2



RN 335064-34-1 CAPLUS

CN 2-Pyrimidineacetic acid, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



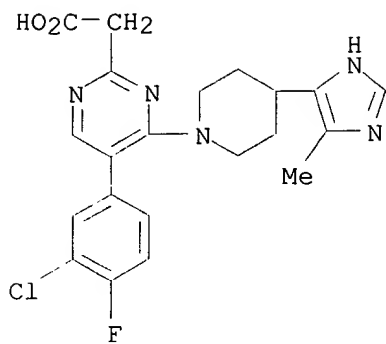
RN 335064-35-2 CAPLUS

CN 2-Pyrimidineacetic acid, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335064-34-1

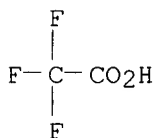
CMF C21 H21 Cl F3 N5 O2



CM 2

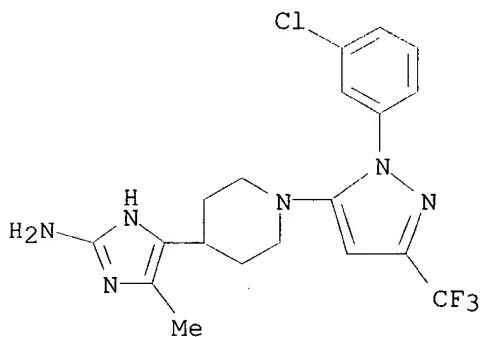
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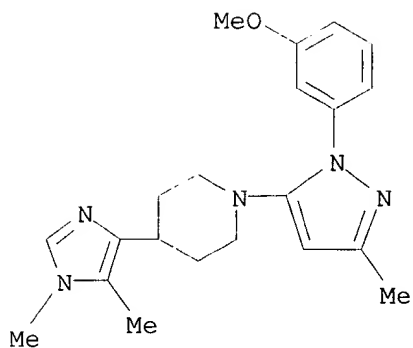
RN 335065-05-9 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

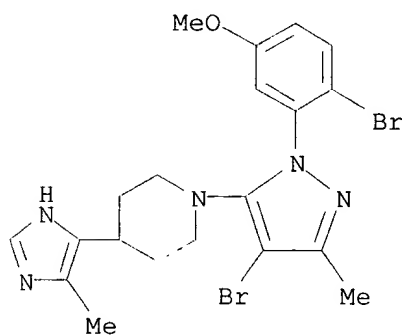


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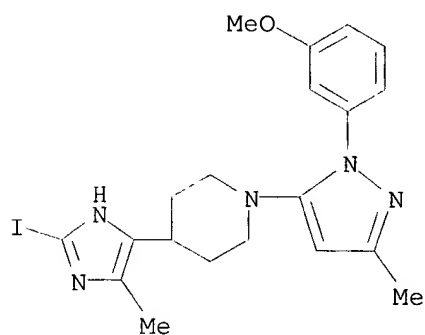
CN Piperidine, 4-(1,5-dimethyl-1H-imidazol-4-yl)-1-[1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



RN 335065-07-1 CAPLUS
 CN Piperidine, 1-[4-bromo-1-(2-bromo-5-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



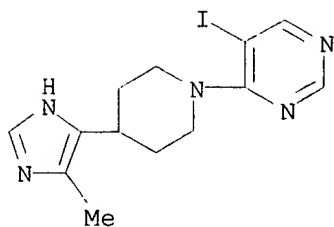
RN 335065-08-2 CAPLUS
 CN Piperidine, 4-(2-iodo-5-methyl-1H-imidazol-4-yl)-1-[1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



IT 335064-81-8P 335064-82-9P 335064-94-3P
 335064-95-4P 335064-96-5P

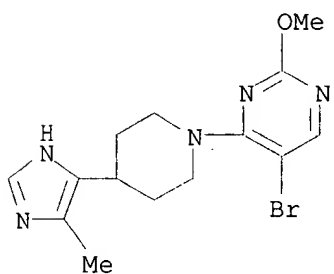
RL: RCT (Reactant): SPN (Synthetic product): 335064-81-8P

RN 335064-81-8 CAPLUS
 CN Pyrimidine, 5-iodo-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI)
 (CA INDEX NAME)



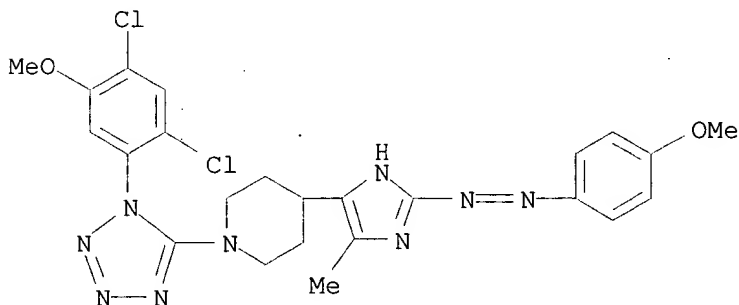
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CN Pyrimidine, 5-bromo-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



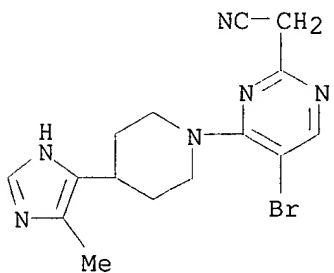
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CN Piperidine, 1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-[2-[(4-methoxyphenyl)azo]-5-methyl-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



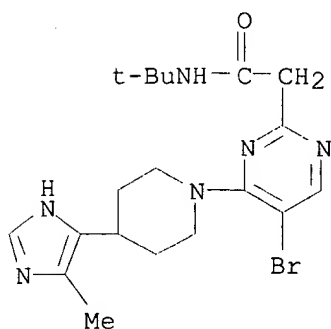
RN 335064-95-4 CAPLUS

CN 2-Pyrimidineacetonitrile, 5-bromo-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



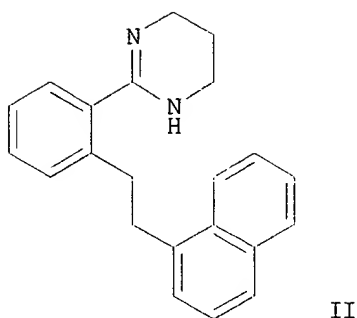
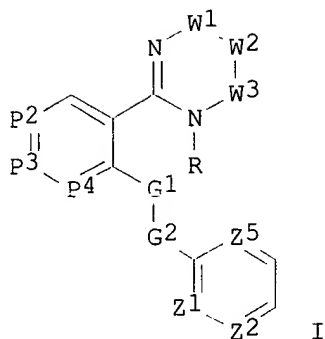
RN 335064-96-5 CAPLUS

CN 2-Pyrimidineacetamide, 5-bromo-N-(1,1-dimethylethyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



120 ANSWER 23 OF 58 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:115125 CAPLUS
DOCUMENT NUMBER: 134:178566
TITLE: Preparation of melanocortin-4 receptor binding compounds
INVENTOR(S): Maguire, Martin P.; Dai, Mingshi; Vos, Tricia J.
PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001010842	A2	20010215	WO 2000-US21327	20000804
WO 2001010842	A3	20010816		
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BR 2000012984	A	20020716	BR 2000-12984	20000804
PRIORITY APPLN. INFO.:				
US 1999-147288P P 19990804				
US 2000-223277P P 20000803				
WO 2000-US21327 W 20000804				
OTHER SOURCE(S): MARPAT 134:178566				
GI				



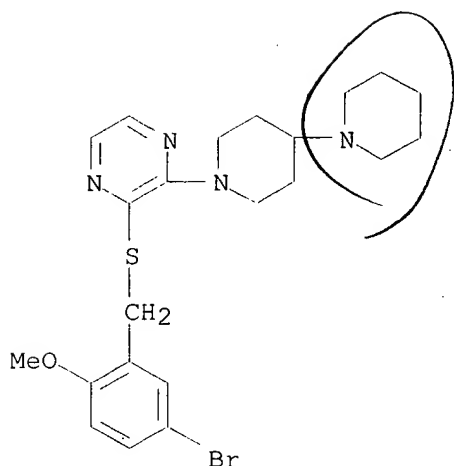
AB The title compds. of formula B-Z-E [wherein B = an anchor moiety; Z = a central moiety; E = an MC4-R interacting moiety], e.g. I [wherein P2, P3, and P4 = independently CH, CF, CCl, CBr, C(alkyl), C(alkoxy), C(CN), C(OH), or CI; W1 = covalent bond or CH2; W2 = CH2, CHR3, or CR3R4; W3 = CH2, CHR5, or CR5R6; R = H or alkyl; Z1 = CH or covalently linked to Z2 to form a naphthyl ring; Z2 = CH, C(C.tplbond.CH), CCl, CBr, CI, CF, or covalently linked to Z1 to form a naphthyl ring; Z5 = CH or C(OMe); R3-R6 = independently Me or Et], were prep'd. and tested as melanocortin-4 receptor (MC4-R) binding agonists and antagonists. For example, .alpha.-tolunitrile in THF was added to a soln. of diisopropylamine in THF, which had been cooled to -78.degree.C and treated with BuLi. HMPA and 1-chloromethylnaphthalene in THF were added, the reaction cooled and stirred for 1 h, and the reaction quenched with H2O to give 2-(2-naphthalen-1-ylethyl)benzonitrile. Treatment with H2S and 1,3-diaminopropane, followed by heating to 80.degree.C for 72 h and work up, gave II. In a scintillation proximity assay (SPA) using high-throughput receptor binding screening, II showed exemplary inhibition of MC4-R. The invention compds., primarily 2-(2-arylalkylsulfanylphenyl)-4,5-dihydro-1H-imidazole and 1,4,5,6-tetrahydropyrimidine derivs., are useful in the treatment of disorders assocd. with wt. loss and pigmentation (no data).

IT **326484-02-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. and high throughput MC4-R receptor binding screening of arylalkylsulfanylphenyl-substituted imidazoles and pyrimidines and analogs)

RN 326484-02-0 CAPLUS

CN Pyrazine, 2-[1,4'-bipiperidin]-1'-yl-3-[[5-bromo-2-methoxyphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



LEO ANSWER 24 OF 58 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:725471 CAPLUS
DOCUMENT NUMBER: 133:281794
TITLE: Preparation of aminopyrimidines as sorbitol
dehydrogenase inhibitors
INVENTOR(S): Chu-moyer, Margaret Yuhua; Murry, Jerry Anthony;
Mylari, Banavara Lakshman; Zembrowski, William James
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 328 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059510	A1	20001012	WO 2000-IB296	20000316
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000009433	A	20020115	BR 2000-9433	20000316
EP 1185275	A1	20020313	EP 2000-909565	20000316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002541109	T2	20021203	JP 2000-609073	20000316
US 6414149	B1	20020702	US 2000-538039	20000329
NO 2001004642	A	20011128	NO 2001-4642	20010925
PRIORITY APPLN. INFO.:			US 1999-127437P	P 19990401
			WO 2000-IB296	W 20000316
OTHER SOURCE(S):		MARPAT 133:281794		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = CHO, COMe; COCH2Me, etc.; R2 = H, alkyl,

alkoxy; R3 = II-IV, etc.; R23 = CONR25R26, SO2NR25R26 (wherein R25 = H, alkyl, arylalkylenyl; R26 = arylalkylenyl); R24 = H, alkyl, alkoxy, carbonyl, etc.; R27 = H, alkyl; R28, R29 = H, OH, halo, etc.], sorbitol dehydrogenase inhibitors (no data) which are useful in treating or preventing diabetic complications, particularly diabetic neuropathy, diabetic nephropathy, diabetic microangiopathy, diabetic macroangiopathy and diabetic cardiomyopathy, were prepd. and formulated. E.g., a multi-step synthesis of the pyrimidine (R)-V, was given. This invention is also directed to pharmaceutical compns. comprising a combination of the compd. I with an aldose reductase inhibitor and to methods of treating or preventing diabetic complications therewith. This invention is also directed to pharmaceutical compns. comprising a combination of the compd. I with an NHE-1 inhibitor and to methods of treating cardiomyopathy and other heart-related problems therewith.

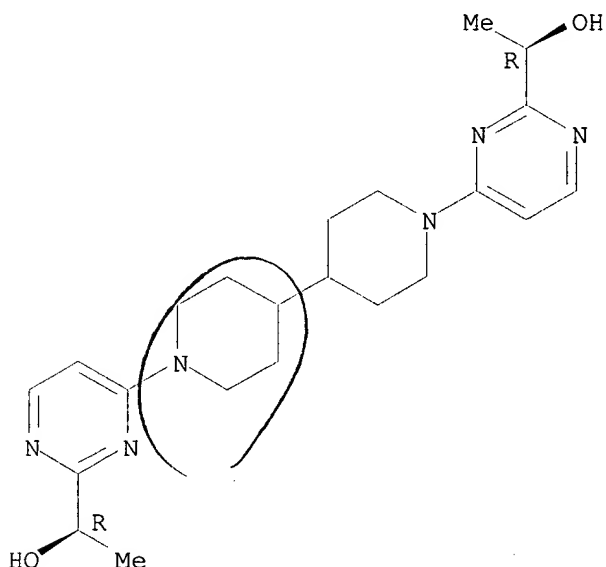
IT 300548-76-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminopyrimidines as sorbitol dehydrogenase inhibitors)

RN 300548-76-9 CAPLUS

CN 2-Pyrimidinemethanol, 4,4'-[4,4'-bipiperidine]-1,1'-diylbis[.alpha.-methyl-, (.alpha.R,.alpha.'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~120~~ ANSWER 25 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:725459 CAPLUS

DOCUMENT NUMBER: 133:296373

TITLE: Preparation of 3-phenyl-4-(heterocyclylmethyl)pyrrolidine modulators of chemokine receptor activity

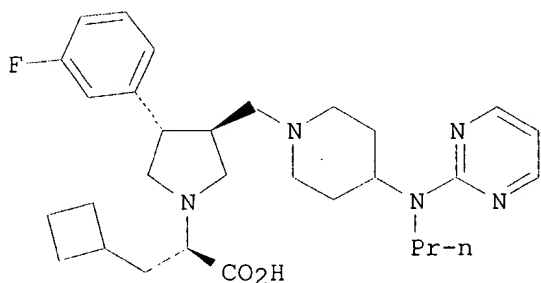
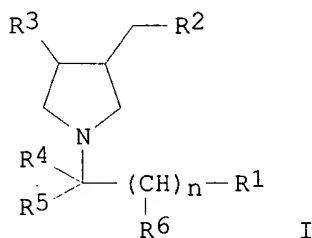
INVENTOR(S): Caldwell, Charles; Chapman, Kevin; Hale, Jeffrey; Kim, Dooseop; Lynch, Christopher; Maccoss, Malcolm; Mills, Sander G.; Willoughby, Christopher; Berk, Scott; Kim, Ronald M.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059498	A1	20001012	WO 2000-US9074	20000405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6498161	B1	20021224	US 2000-543019	20000404
PRIORITY APPLN. INFO.:			US 1999-128172P	P 19990406
OTHER SOURCE(S):			MARPAT 133:296373	
GI				



AB The title compds. (I) [wherein R1 = CO2H, NO2, tetrazolyl, hydroxyisoxazole, SO2NH(alkyl)R9, or PO3H2; R9 = H, (cyclo)alkyl, benzyl, or (un)substituted phenyl; R2 = (un)substituted piperidinyl, tetrahydropyridinyl, piperazinyl, or 1-oxa-8-azaspiro[4.5]decyl; R3 = (un)substituted Ph or heterocyclyl; R4 = H or (un)substituted alkyl, (alkyl)cycloalkyl, alkenyl, alkynyl, Ph, alkylphenyl, naphthyl, biphenyl, heterocyclyl, cyclohexenyl, etc.; R5 and R6 = independently H or (un)substituted alkyl; or R4 and R5 may be taken together to form a ring system]

Example: 2-(R)-((3-(R)-formyl)-4-(S)-3-fluorophenylpyrrolidinyl-1-yl)-3-cyclobutanepropionic acid benzyl ester (prepn. given) was treated with Pd/C and dissolved in ClCH2CH2Cl. 4-[N-(pyrimid-2-yl)-N-(prop-1-yl)amino]piperidine.bul.HCl (4-step prepn. given), NaBH(OAc)3, and TEA were added, followed by di-tert-butylidicarbonate, to give II. I showed

binding activity to the CCR-5 or the CCR-3 receptor, generally with IC50 values of < 1 .mu.M. The present invention is directed to compds. which inhibit the entry of human immunodeficiency virus (HIV) into target cells and are of value in the prevention and treatment of HIV infection and the resulting AIDS syndrome (no data). The invention is further directed to compds. which are useful in the prevention or treatment of certain inflammatory and immunoregulatory disorders, including asthma, allergic rhinitis, dermatitis, conjunctivitis, rheumatoid arthritis, and atherosclerosis (no data).

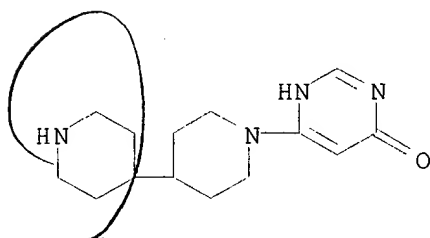
IT 301226-95-9, 6-(4,4'-Bipiperidin-1-yl)-3H-pyrimid-4-one hydrochloride

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of 3-phenyl-4-(heterocyclylmethyl)pyrrolidine chemokine receptor modulators by reaction of 3-phenyl-4-formylpyrrolidines with heterocycles)

RN 301226-95-9 CAPLUS

CN 4(1H)-Pyrimidinone, 6-[4,4'-bipiperidin]-1-yl-, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 26 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:631890 CAPLUS

DOCUMENT NUMBER: 133:222737

TITLE: Preparation of 4-phenyl-4-heteroaryl piperidines as ligands for opioid receptors

INVENTOR(S): Liras, Spiros; McHardy, Stanton Furst

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Jpn. Kokai Tokkyo Koho, 34 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

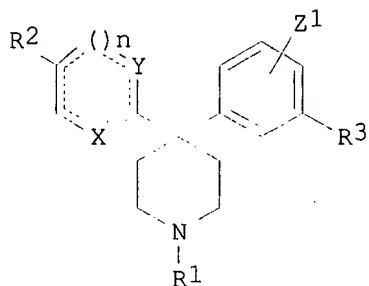
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000247969	A2	20000912	JP 2000-44911	20000222
EP 1038872	A1	20000927	EP 2000-300974	20000208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6444679	B1	20020903	US 2000-503679	20000214
BR 2000000901	A	20010821	BR 2000-901	20000222

PRIORITY APPLN. INFO.: US 1999-121156P P 19990222

OTHER SOURCE(S): MARPAT 133:222737

GI



I

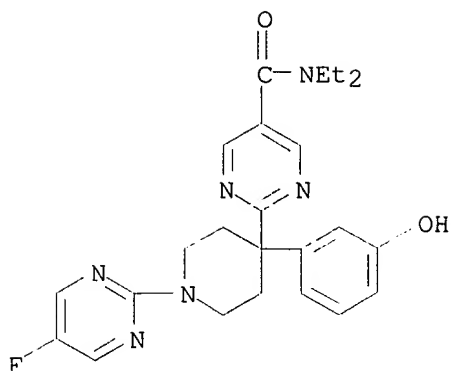
AB The title compds. [I; X, Y = O, N, S, CH; provided that the ring contg. X and Y is arom. and both X and Y are not simultaneously O or S; n = 0,1; R1 = H, C0-8 alkoxy-C0-8 alkyl (a total C atoms being .ltoreq.8), aryl, aryl-C1-8 alkyl, heteroaryl, heteroaryl-C1-8 alkyl, heterocyclyl, heterocyclyl-C1-8 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-8 alkyl, etc.; R2 = H, aryl, halo, heteroaryl, heterocyclyl, SO2R4, COR4, CONR5R6, CO2R4, C(OH)R5R6, etc.; wherein R4, R5, or R6 is selected from group defined in R1 or R5 and R6 together with bonded N or C atom form 3 to 7-membered ring contg. 0-3 heteroatoms selected from O, N, and S; R3 = HO, hydroxy-C1-6 alkyl, C1-6 alkyl-C1-6 alkoxy, NHSO2R7, C(OH)R7R8, halo, heteroaryl, CONHR7; R7, R8 = H, C1-4 alkyl, C1-4 alkoxy, or C1-4 alkoxy-C1-4 alkyl, wherein each alkyl is optionally substituted with 1-7 F atom(s); Z1 = H, halo, C1-5 alkyl; provided that two-adjacent ring oxygen or nitrogen atoms or ring O atom adjacent to ring S atom do not exist in heterocyclic or heteroaryl portion] are prepd. These compds. regulate bindings to opioid receptors and are useful for the improvement, prevention, or treatment of various disorders or conditions, e.g. (1) inflammatory diseases such as arthritis, psoriasis, and asthma, (2) disorders of respiratory function such as asthma, coughing, and apnea (breathlessness), (3) allergy, (4) gastrointestinal disorders such as gastritis, functional intestinal disorders, irritable bowel syndromes, functional diarrhea, functional dilation, functional pain, indigestion not forming peptic ulcer, gastrointestinal motility disorders, and vomiting, (5) stroke, (6) shock, (7) brain edema, (8) brain injury, (9) spinal cord injury, (10) brain ischemia, (11) brain failure suffered after heart bypass or transplant surgery, (12) urinary or reproductive tract disorders including incontinence, (13) chem. dependence or addiction, (14) chronic pain, (15) acute or neurol. pain, (16) systemic lupus erythematosus, (17) Hodgkin's disease, (18) Sjogren disease, (19) epilepsy, and (20) rejection of organ transplant or skin grafting (no data). Thus, oxidn. of N,N-diethyl-2-[4-(3-hydroxymethylphenyl)-1-(2-methylpentyl)piperidin-4-yl]pyrimidine-5-carboxamide by tetrapropylammonium perruthenate and N-methylmorpholine N-oxide in CH2Cl2 in the presence of 4.ANG. mol. sieve gave an aldehyde which underwent addn. reaction with methylmagnesium bromide in THF at -70.degree. to give N,N-diethyl-2-[4-[3-(1-hydroxyethyl)phenyl]-1-(2-methylpentyl)piperidin-4-yl]pyrimidine-5-carboxamide.

IT 291753-96-3P 291753-97-4P 291753-99-6P
291754-01-3P 291754-03-5P 291754-38-6P
291754-39-7P 291754-40-0P 291754-41-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

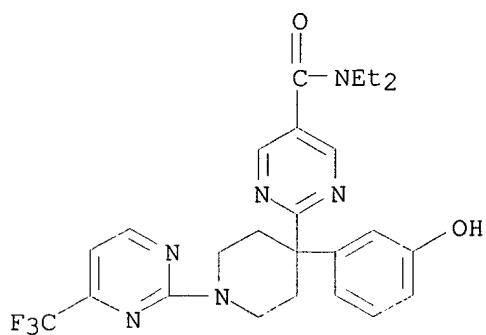
RN 291753-96-3 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[1-(5-fluoro-2-pyrimidinyl)-4-(3-hydroxyphenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



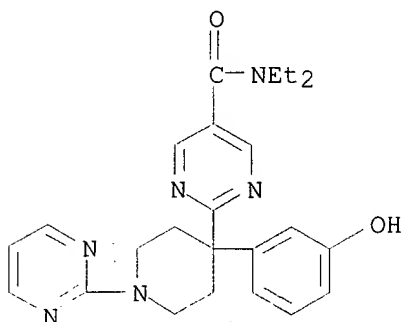
RN 291753-97-4 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-[4-(trifluoromethyl)-2-pyrimidinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



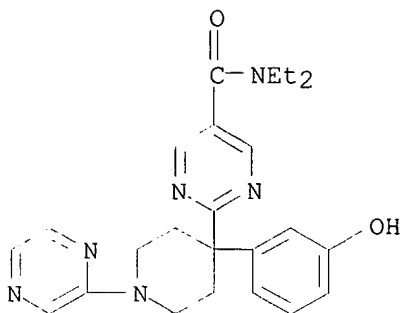
RN 291753-99-6 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



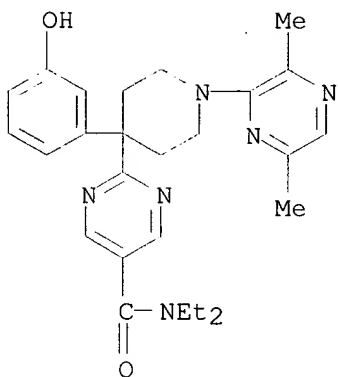
RN 291754-01-3 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-pyrazinyl-4-piperidinyl]- (9CI) (CA INDEX NAME)



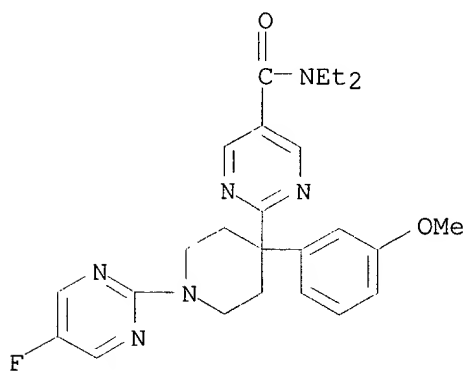
RN 291754-03-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[1-(3,6-dimethylpyrazinyl)-4-(3-hydroxyphenyl)-4-piperidinyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



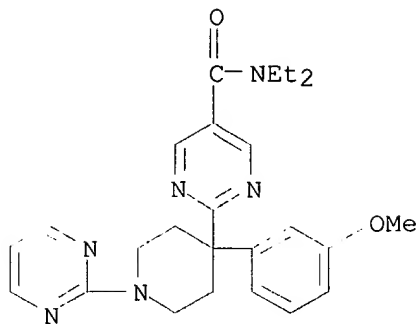
RN 291754-38-6 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[1-(5-fluoro-2-pyrimidinyl)-4-(3-methoxyphenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



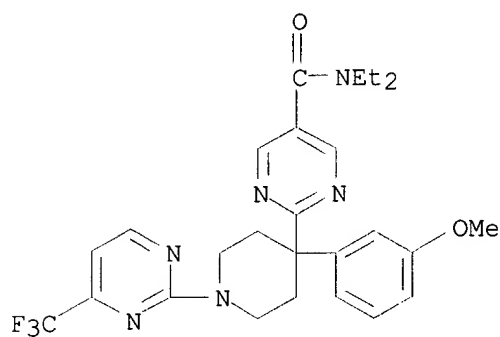
RN 291754-39-7 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[1-(3-methoxyphenyl)-4-(2-fluoropyrimidin-5-yl)-4-piperidinyl]- (2-)



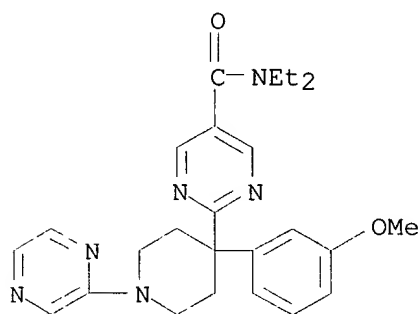
RN 291754-40-0 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-methoxyphenyl)-1-(4-(trifluoromethyl)-2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 291754-41-1 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-methoxyphenyl)-1-pyrazinyl-4-piperidinyl]- (9CI) (CA INDEX NAME)



~~120~~ ANSWER 27 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:534991 CAPLUS

DOCUMENT NUMBER: 133:135229

TITLE: Preparation of cyclic amino-substituted N-aryl or N-heteroaryl cyclic amines as antidepressants
INVENTOR(S): Poss, Michael A.; Tortolani, David R.; Mattson, Ronald J.; Yevich, Joseph P.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

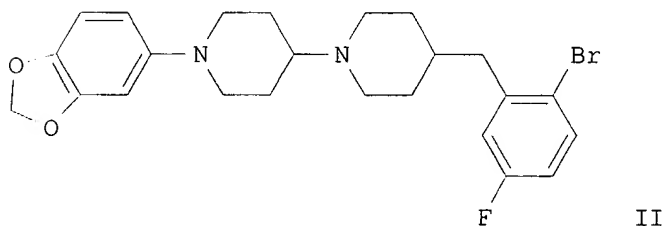
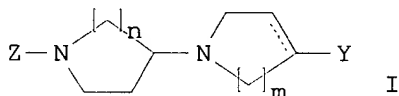
SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

Searched by Barb O'Bryen, STIC 308-4291

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044376	A1	20000803	WO 1999-US30501	19991221
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6225324	B1	20010501	US 1999-467957	19991221
BR 9916618	A	20011023	BR 1999-16618	19991221
EP 1146871	A1	20011024	EP 1999-968927	19991221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002535365	T2	20021022	JP 2000-595679	19991221
PRIORITY APPLN. INFO.:			US 1999-117651P	P 19990128
			WO 1999-US30501	W 19991221
OTHER SOURCE(S):		MARPAT 133:135229		
GI				



AB The title compds. [I; Z = (un)substituted Ph, benzodioxolone, pyridine, etc.; m, n = 1-3; Y = (un)substituted CH₂Ph, indol-3-yl], useful antidepressant agents demonstrating potent inhibition of 5-HT reuptake, were prepd. Thus, reacting 1-(benzodioxol-5-yl)-4-piperidone (prepn. given) with 4-(2-bromo-5-fluorobenzyl)piperidine and NaBH(OAc)₃ in THF and AcOH over 4.ÅNG. sieves afforded 37% II. Compds. I are effective at 5-20

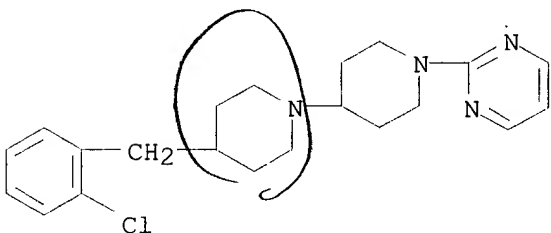
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 286468-51-7P 286468-53-9P 286468-55-1P

286468-57-3P 286468-59-5P 286468-60-8P
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286468-78-8P 286468-79-9P 286468-80-2P
286468-81-3P 286468-82-4P 286468-83-5P
286468-84-6P 286468-91-5P 286468-92-6P
286468-93-7P 286468-94-8P 286468-95-9P
286468-96-0P 286468-97-1P 286468-98-2P
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286469-65-6P 286469-66-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic amino-substituted N-aryl or N-heteroaryl cyclic amines as antidepressants)

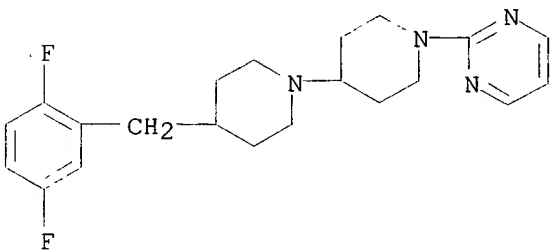
RN 286468-20-0 CAPLUS

CN Pyrimidine, 2-[4-[(2-chlorophenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI)
(CA INDEX NAME)



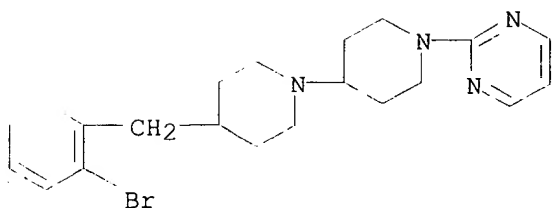
RN 286468-21-1 CAPLUS

CN Pyrimidine, 2-[4-[(2,5-difluorophenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI)
(CA INDEX NAME)



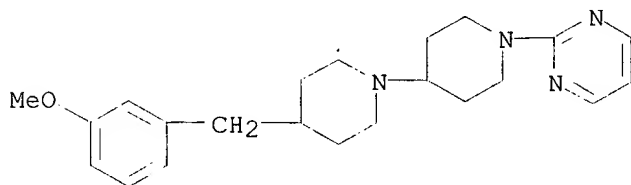
RN 286468-22-2 CAPLUS

CN Pyrimidine, 2-[4-[(2-bromophenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI)
(CA INDEX NAME)



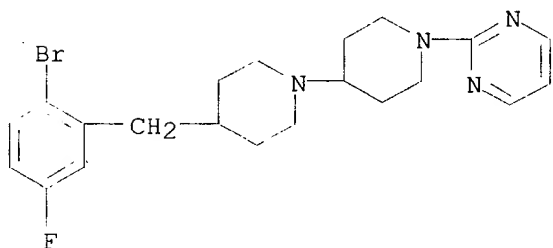
RN 286468-23-3 CAPLUS

CN Pyrimidine, 2-[4-[(3-methoxyphenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI)
(CA INDEX NAME)



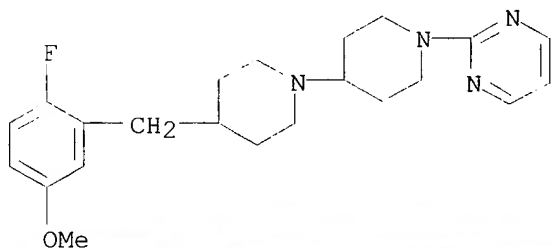
RN 286468-24-4 CAPLUS

CN Pyrimidine, 2-[4-[(2-bromo-5-fluorophenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)

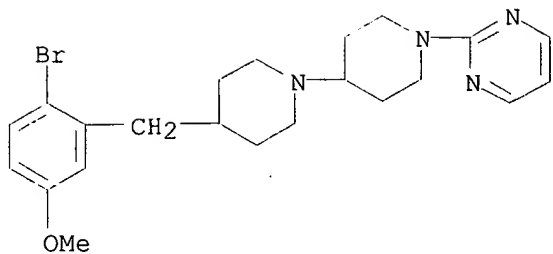


RN 286468-25-5 CAPLUS

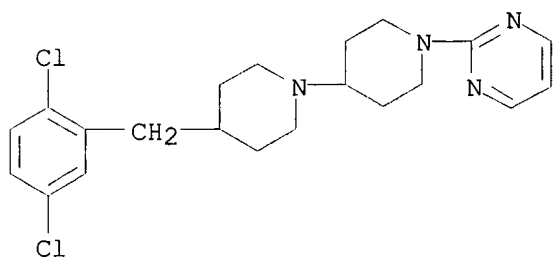
CN Pyrimidine, 2-[4-[(2-fluoro-5-methoxyphenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)



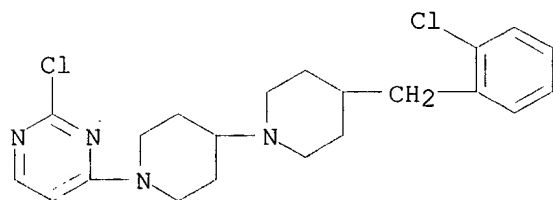
CN Pyrimidine, 2-[4-[(2-bromo-5-methoxyphenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)



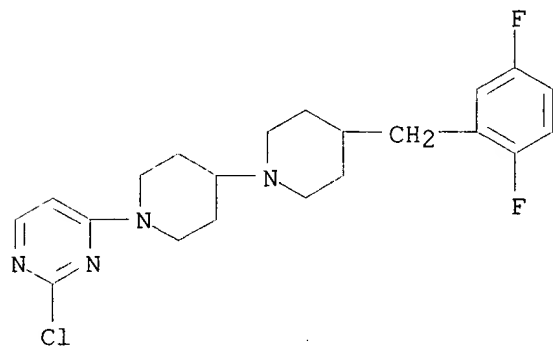
RN 286468-27-7 CAPLUS
CN Pyrimidine, 2-[4-[(2,5-dichlorophenyl)methyl][1,4'-bipiperidin]-1'-yl]-
(9CI) (CA INDEX NAME)



RN 286468-28-8 CAPLUS
CN Pyrimidine, 2-chloro-4-[4-[(2-chlorophenyl)methyl][1,4'-bipiperidin]-1'-
yl]- (9CI) (CA INDEX NAME)

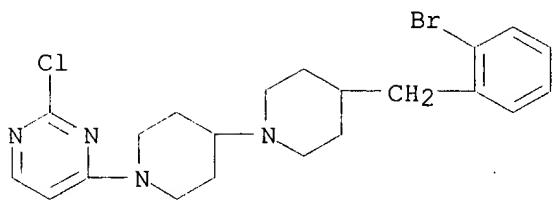


RN 286468-29-9 CAPLUS
CN Pyrimidine, 2-chloro-4-[4-[(2,5-difluorophenyl)methyl][1,4'-bipiperidin]-1'-
yl]- (9CI) (CA INDEX NAME)

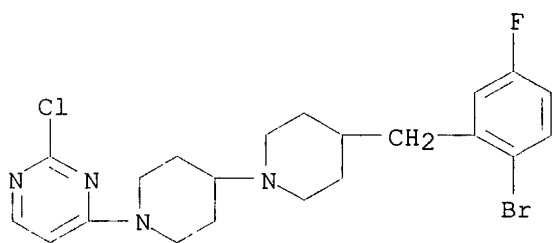


RN 286468-30-2 CAPLUS
CN Pyrimidine, 4-[4-[(2-bromophenyl)methyl][1,4'-bipiperidin]-1'-yl]-2-chloro-

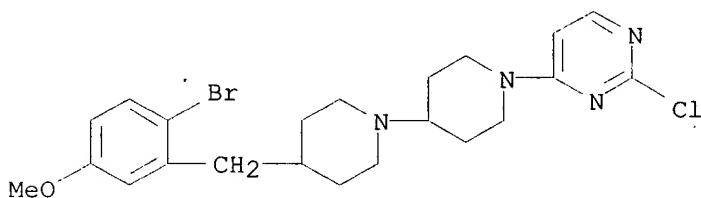
(9CI) (CA INDEX NAME)



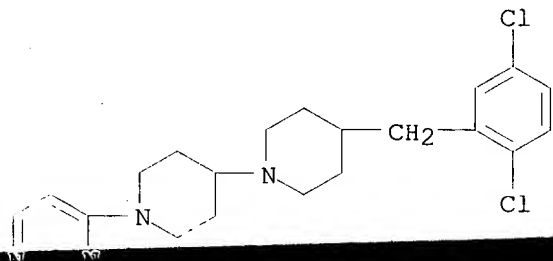
RN 286468-31-3 CAPLUS
CN Pyrimidine, 4-[4-[(2-bromo-5-fluorophenyl)methyl][1,4'-bipiperidin]-1'-yl]-2-chloro- (9CI) (CA INDEX NAME)



RN 286468-33-5 CAPLUS
CN Pyrimidine, 4-[4-[(2-bromo-5-methoxyphenyl)methyl][1,4'-bipiperidin]-1'-yl]-2-chloro- (9CI) (CA INDEX NAME)

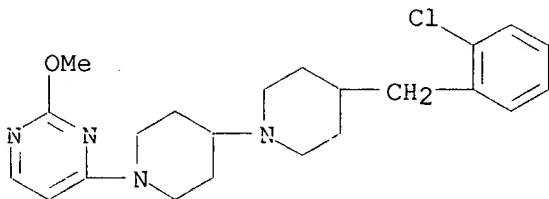


RN 286468-35-7 CAPLUS
CN Pyrimidine, 2-chloro-4-[4-[(2,5-dichlorophenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)



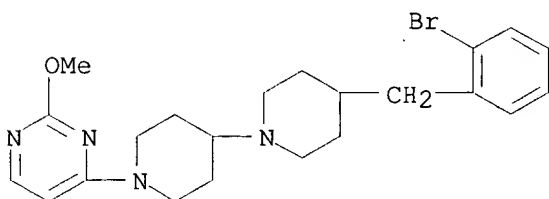
RN 286468-49-3 CAPLUS
CN Pyrimidine, 4-[4-[(2-chlorophenyl)methyl][1,4'-bipiperidin]-1'-yl]-2-

methoxy- (9CI) (CA INDEX NAME)



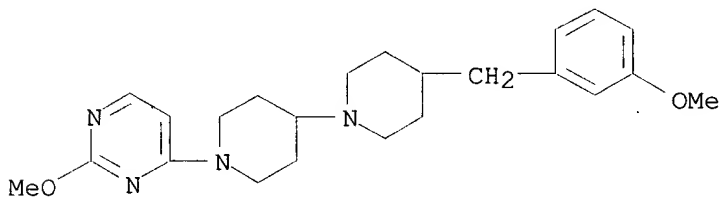
RN 286468-51-7 CAPLUS

CN Pyrimidine, 4-[4-[(2-bromophenyl)methyl][1,4'-bipiperidin]-1'-yl]-2-methoxy- (9CI) (CA INDEX NAME)



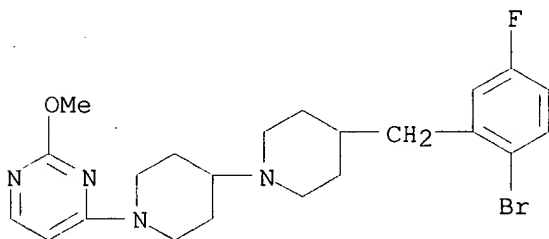
RN 286468-53-9 CAPLUS

CN Pyrimidine, 2-methoxy-4-[4-[(3-methoxyphenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)



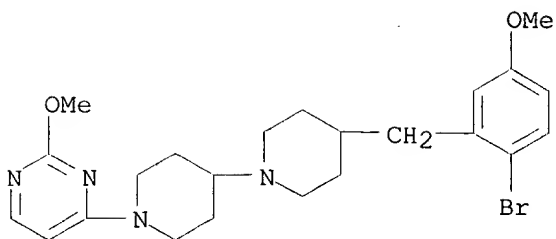
RN 286468-55-1 CAPLUS

CN Pyrimidine, 4-[4-[(2-bromo-5-fluorophenyl)methyl][1,4'-bipiperidin]-1'-yl]-2-methoxy- (9CI) (CA INDEX NAME)

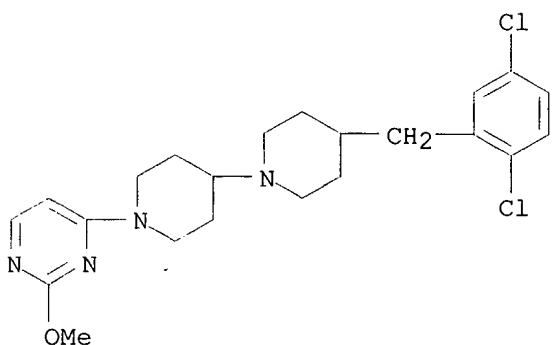


RN 286468-57-3 CAPLUS

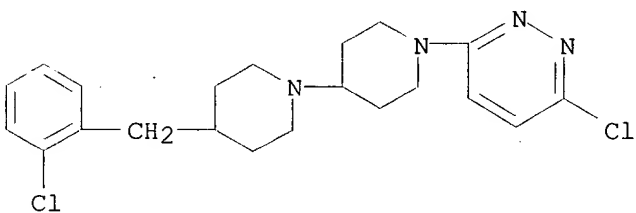
CN Pyrimidine, 4-[4-[(2-bromo-5-methoxyphenyl)methyl][1,4'-bipiperidin]-1'-yl]-2-methoxy- (9CI) (CA INDEX NAME)



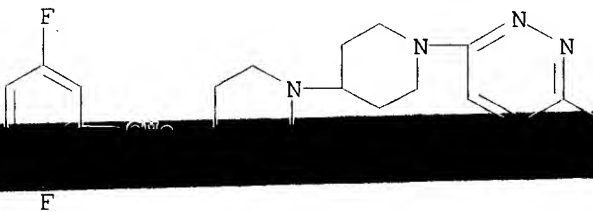
RN 286468-59-5 CAPLUS
CN Pyrimidine, 4-[4-[(2,5-dichlorophenyl)methyl][1,4'-bipiperidin]-1'-yl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 286468-60-8 CAPLUS
CN Pyridazine, 3-chloro-6-[4-[(2-chlorophenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)

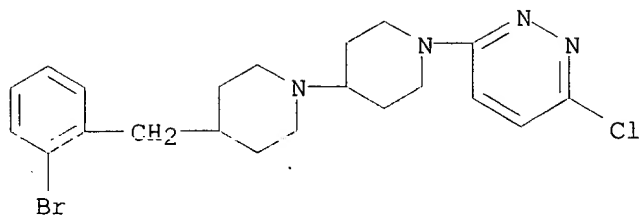


RN 286468-61-9 CAPLUS
CN Pyridazine, 3-chloro-6-[4-[(2,5-difluorophenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)



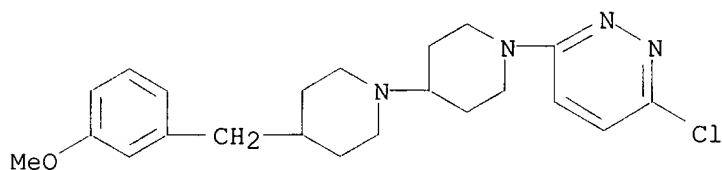
RN 286468-63-1 CAPLUS
CN Pyridazine, 3-[4-[(2-bromophenyl)methyl][1,4'-bipiperidin]-1'-yl]-6-chloro-

(9CI) (CA INDEX NAME)



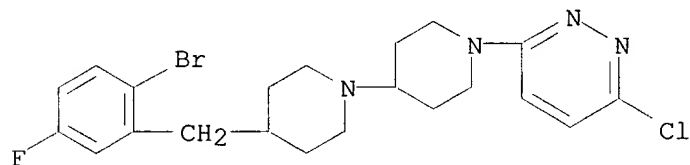
RN 286468-65-3 CAPLUS

CN Pyridazine, 3-chloro-6-[4-[(3-methoxyphenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)



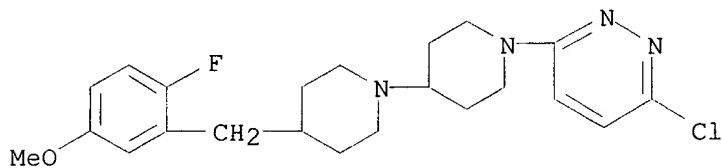
RN 286468-67-5 CAPLUS

CN Pyridazine, 3-[4-[(2-bromo-5-fluorophenyl)methyl][1,4'-bipiperidin]-1'-yl]-6-chloro- (9CI) (CA INDEX NAME)



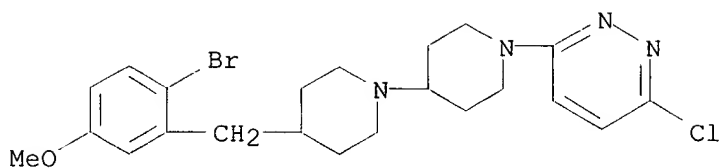
RN 286468-69-7 CAPLUS

CN Pyridazine, 3-chloro-6-[4-[(2-fluoro-5-methoxyphenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)

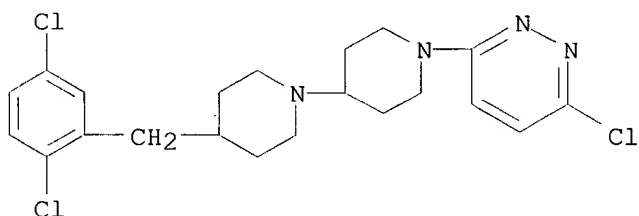


RN 286468-71-1 CAPLUS

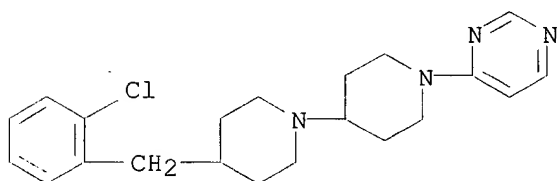
CN Pyridazine, 3-[4-[(2-bromo-5-methoxyphenyl)methyl][1,4'-bipiperidin]-1'-yl]-6-chloro- (9CI) (CA INDEX NAME)



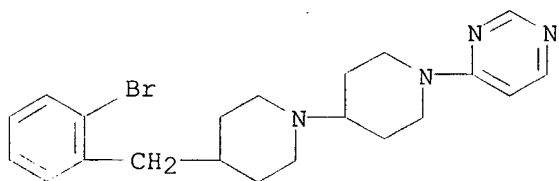
RN 286468-73-3 CAPLUS
CN Pyridazine, 3-chloro-6-[4-[(2,5-dichlorophenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)



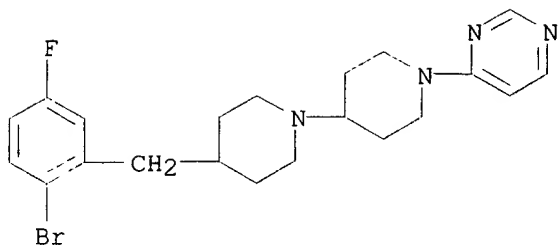
RN 286468-75-5 CAPLUS
CN Pyrimidine, 4-[4-[(2-chlorophenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI)
(CA INDEX NAME)



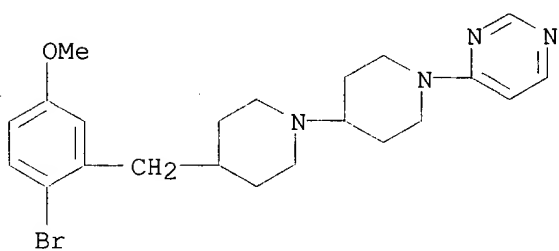
RN 286468-77-7 CAPLUS
CN Pyrimidine, 4-[4-[(2-bromophenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI)
(CA INDEX NAME)



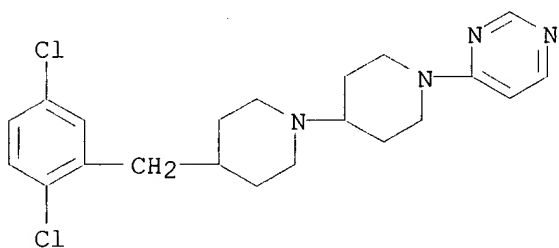
RN 286468-78-8 CAPLUS
CN Pyrimidine, 4-[4-[(2-bromo-5-fluorophenyl)methyl][1,4'-bipiperidin]-1'-yl]-
(9CI) (CA INDEX NAME)



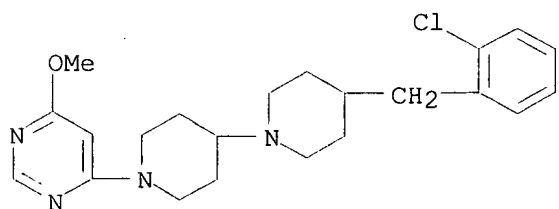
RN 286468-79-9 CAPLUS
CN Pyrimidine, 4-[4-[(2-bromo-5-methoxyphenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)



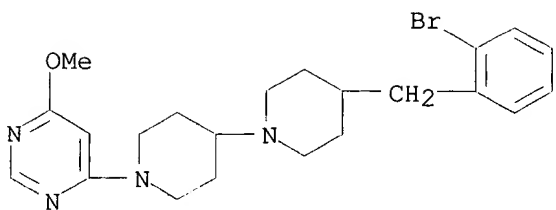
RN 286468-80-2 CAPLUS
CN Pyrimidine, 4-[4-[(2,5-dichlorophenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)



RN 286468-81-3 CAPLUS
CN Pyrimidine, 4-[4-[(2-chlorophenyl)methyl][1,4'-bipiperidin]-1'-yl]-6-methoxy- (9CI) (CA INDEX NAME)

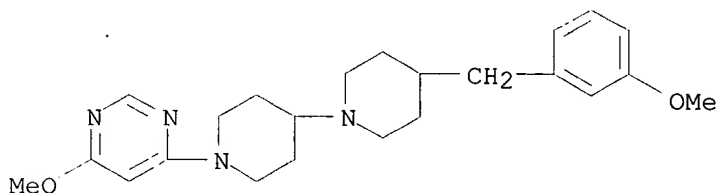


RN 286468-82-4 CAPLUS
CN Pyrimidine, 4-[4-[(2-bromophenyl)methyl][1,4'-bipiperidin]-1'-yl]-6-methoxy- (9CI) (CA INDEX NAME)



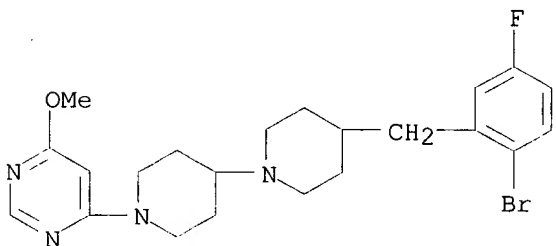
RN 286468-83-5 CAPLUS

CN Pyrimidine, 4-methoxy-6-[4-[(3-methoxyphenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)



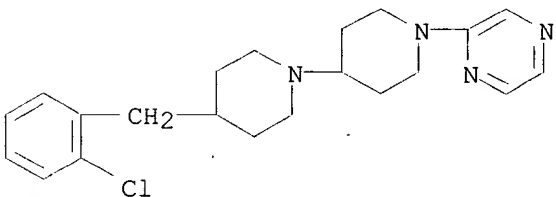
RN 286468-84-6 CAPLUS

CN Pyrimidine, 4-[4-[(2-bromo-5-fluorophenyl)methyl][1,4'-bipiperidin]-1'-yl]-6-methoxy- (9CI) (CA INDEX NAME)



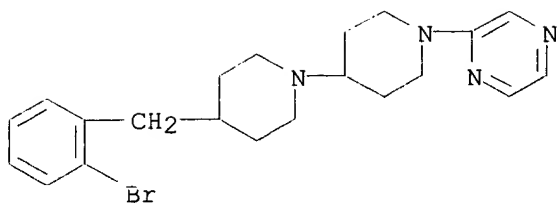
RN 286468-91-5 CAPLUS

CN Pyrazine, [4-[(2-chlorophenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)

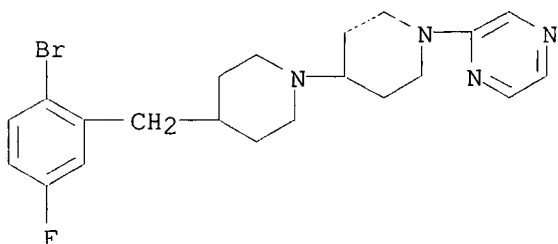


RN 286468-92-6 CAPLUS

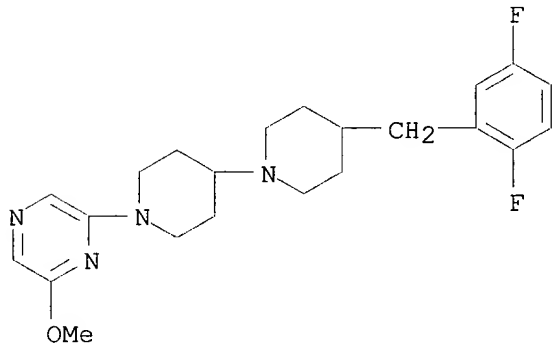
CN Pyrimidine, 4-methoxy-6-[4-[(2-chlorophenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)



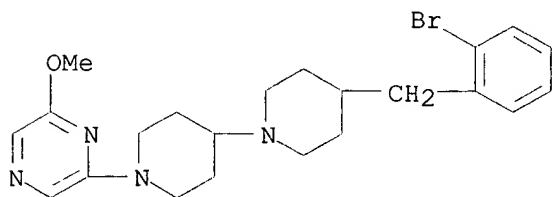
RN 286468-93-7 CAPLUS
CN Pyrazine, [4-[(2-bromo-5-fluorophenyl)methyl][1,4'-bipiperidin]-1'-yl]-
(9CI) (CA INDEX NAME)



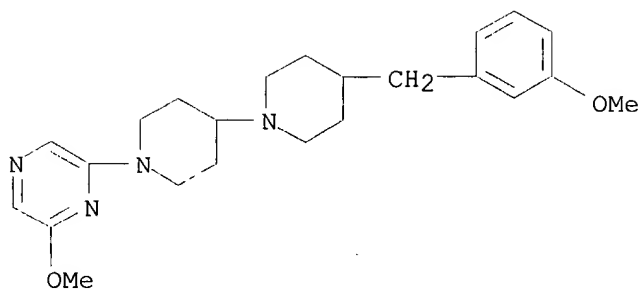
RN 286468-94-8 CAPLUS
CN Pyrazine, 2-[4-[(2,5-difluorophenyl)methyl][1,4'-bipiperidin]-1'-yl]-6-
methoxy- (9CI) (CA INDEX NAME)



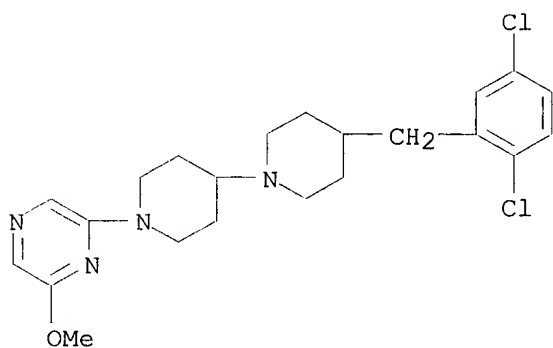
RN 286468-95-9 CAPLUS
CN Pyrazine, 2-[4-[(2-bromophenyl)methyl][1,4'-bipiperidin]-1'-yl]-6-methoxy-
(9CI) (CA INDEX NAME)



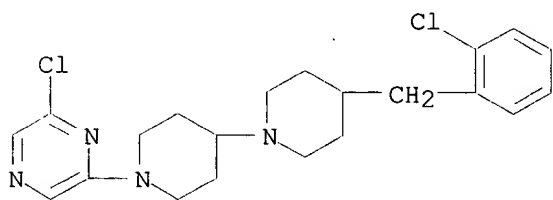
RN 286468-96-0 CAPLUS
CN Pyrazine, 2-methoxy-6-[4-[(3-methoxyphenyl)methyl][1,4'-bipiperidin]-1'-
yl]- (9CI) (CA INDEX NAME)



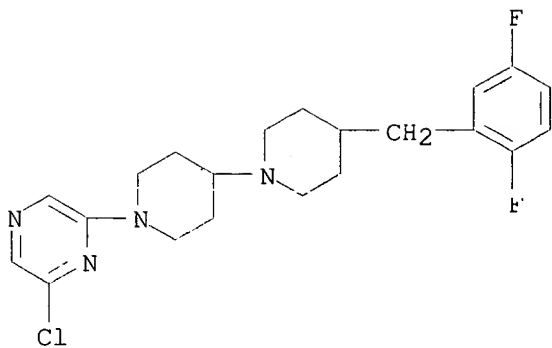
RN 286468-97-1 CAPLUS
CN Pyrazine, 2-[4-[(2,5-dichlorophenyl)methyl][1,4'-bipiperidin]-1'-yl]-6-methoxy- (9CI) (CA INDEX NAME)



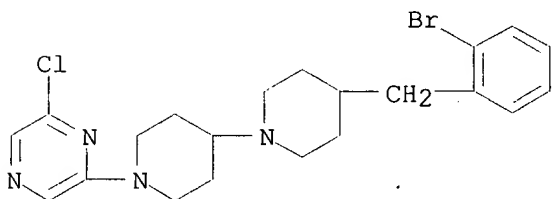
RN 286468-98-2 CAPLUS
CN Pyrazine, 2-chloro-6-[4-[(2-chlorophenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)



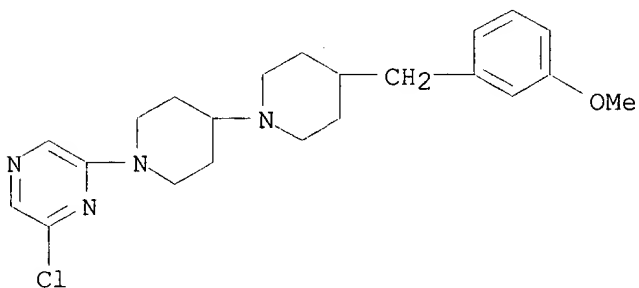
RN 286468-99-3 CAPLUS
CN Pyrazine, 2-chloro-6-[4-[(2,5-difluorophenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)



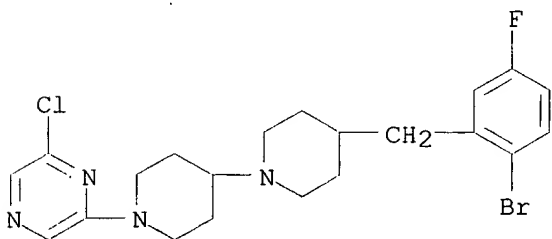
RN 286469-00-9 CAPLUS
CN Pyrazine, 2-[4-[(2-bromophenyl)methyl][1,4'-bipiperidin]-1'-yl]-6-chloro-
(9CI) (CA INDEX NAME)



RN 286469-01-0 CAPLUS
CN Pyrazine, 2-chloro-6-[4-[(3-methoxyphenyl)methyl][1,4'-bipiperidin]-1'-yl]-
(9CI) (CA INDEX NAME)

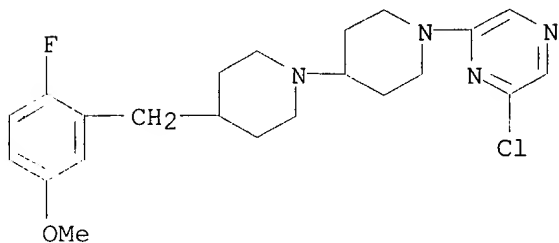


RN 286469-02-1 CAPLUS
CN Pyrazine, 2-[4-[(2-bromo-5-fluorophenyl)methyl][1,4'-bipiperidin]-1'-yl]-6-
chloro- (9CI) (CA INDEX NAME)



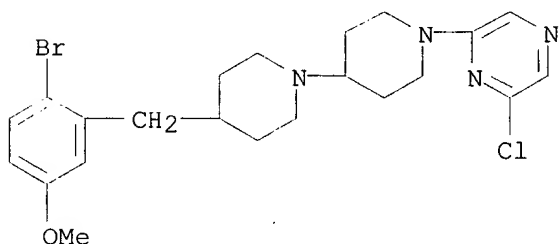
RN 286469-03-2 CAPLUS

CN Pyrazine, 2-chloro-6-[4-[(2-fluoro-5-methoxyphenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)



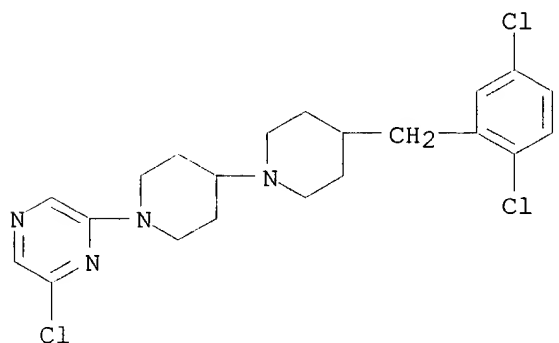
RN 286469-04-3 CAPLUS

CN Pyrazine, 2-[4-[(2-bromo-5-methoxyphenyl)methyl][1,4'-bipiperidin]-1'-yl]-6-chloro- (9CI) (CA INDEX NAME)



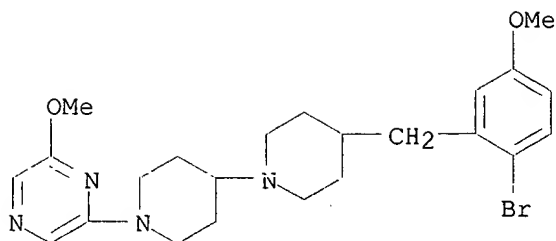
RN 286469-05-4 CAPLUS

CN Pyrazine, 2-chloro-6-[4-[(2,5-dichlorophenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)



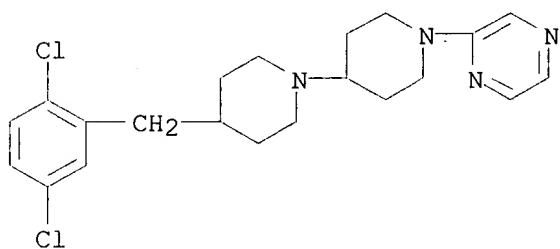
RN 286469-08-7 CAPLUS

CN Pyrazine, 2-[4-[(2-bromo-5-methoxyphenyl)methyl][1,4'-bipiperidin]-1'-yl]-6-methoxy- (9CI) (CA INDEX NAME)



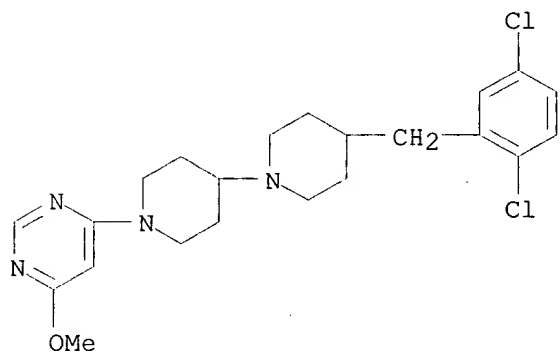
RN 286469-09-8 CAPLUS

CN Pyrazine, [4-[(2,5-dichlorophenyl)methyl][1,4'-bipiperidin]-1'-yl]- (9CI)
(CA INDEX NAME)



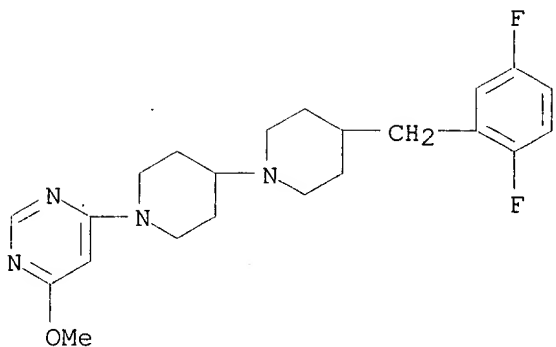
RN 286469-10-1 CAPLUS

CN Pyrimidine, 4-[4-[(2,5-dichlorophenyl)methyl][1,4'-bipiperidin]-1'-yl]-6-methoxy- (9CI) (CA INDEX NAME)



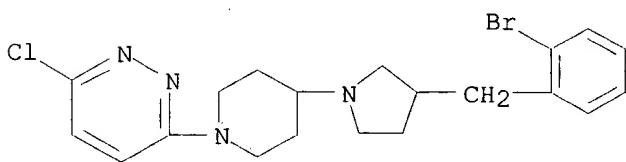
RN 286469-11-2 CAPLUS

CN Pyrimidine, 4-[4-[(2,5-difluorophenyl)methyl][1,4'-bipiperidin]-1'-yl]-6-methoxy- (9CI) (CA INDEX NAME)



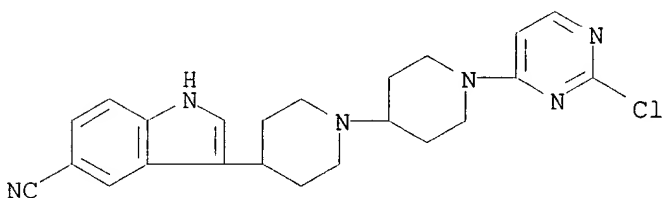
RN 286469-17-8 CAPLUS

CN Pyridazine, 3-[4-[3-[(2-bromophenyl)methyl]-1-pyrrolidinyl]-1-piperidinyl]-6-chloro- (9CI) (CA INDEX NAME)



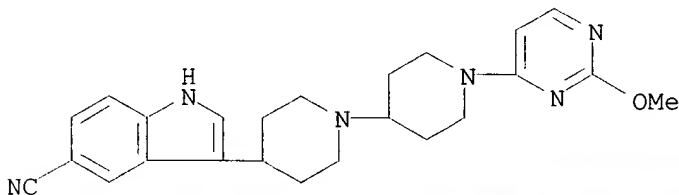
RN 286469-42-9 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[1'-(2-chloro-4-pyrimidinyl)][1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)

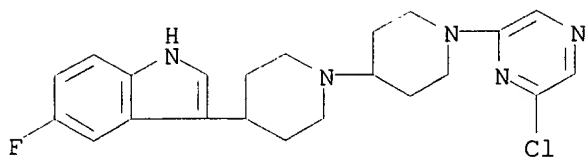


RN 286469-45-2 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[1'-(2-methoxy-4-pyrimidinyl)][1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)

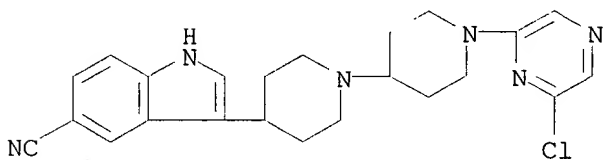


(9CI) (CA INDEX NAME)



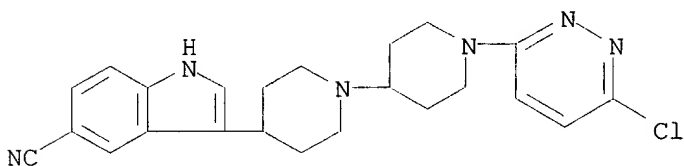
RN 286469-57-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[1'-(6-chloropyrazinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



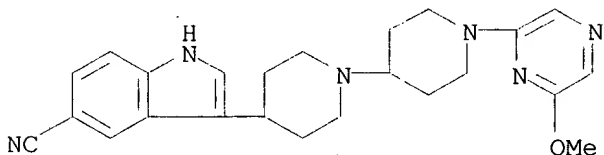
RN 286469-58-7 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[1'-(6-chloro-3-pyridazinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



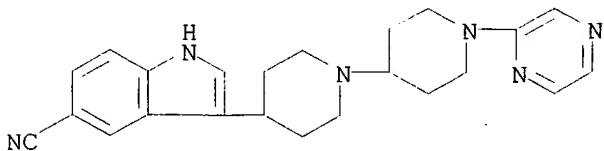
RN 286469-59-8 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[1'-(6-methoxypyrazinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



RN 286469-65-6 CAPLUS

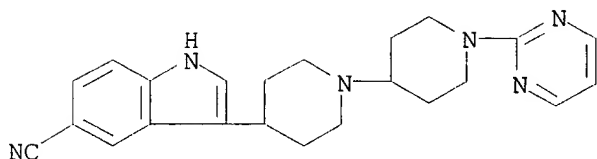
CN 1H-Indole-5-carbonitrile, 3-(1'-pyrazinyl[1,4'-bipiperidin]-4-yl)- (9CI) (CA INDEX NAME)



RN 286469-66-7 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[1'-(2-pyrimidinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)

(9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 28 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:457032 CAPLUS

DOCUMENT NUMBER: 133:89434

TITLE: Preparation of 3,3-diarylpiperidine and 2,2-biarylmorpholine derivatives as .delta. opioid ligands.

INVENTOR(S): Liras, Spiros; Allen, Martin Patrick; Segelstein, Barbara Eileen

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

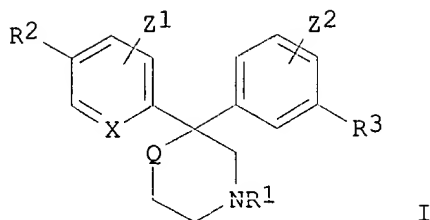
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039091	A1	20000706	WO 1999-IB1914	19991201
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6503905	B1	20030107	US 1999-369841	19990806
EP 1140835	A1	20011010	EP 1999-956268	19991201
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 9916680	A	20011113	BR 1999-16680	19991201
JP 2002538083	T2	20021112	JP 2000-591003	19991201
NO 2001003237	A	20010828	NO 2001-3237	20010628
PRIORITY APPLN. INFO.:			US 1998-114091P P	19981229
			WO 1999-IB1914 W	19991201
OTHER SOURCE(S):	MARPAT 133:89434			
GI				



AB Title compds. [I; R1 = H, alkoxyalkyl, (substituted) aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, etc.; R2 = H, aryl, heteroaryl, heterocyclyl, etc.; R3 = OH, NHSO2R7, O2CR7, CONHR7, etc.; R7 = H, alkyl, alkoxy, alkoxyalkyl; Q = O, CH2; X = CH, N; Z1, Z2 = H, halo, alkyl; with a proviso], were prepd. for treatment of neurol. and gastrointestinal disorders (no data). Thus, 3-bromoanisole was stirred with Mg in THF at 50.degree.; N-benzyl-3-piperidinone in THF was added followed by stirring for 10 h to give 1-benzyl-3-(3-methoxyphenyl)piperidin-3-ol. The latter in ClCH2CH2Cl was treated with PhOH and then with AlCl3 followed by reflux to give 4-[1-benzyl-3-(3-methoxyphenyl)piperidin-3-yl]phenol. This was converted to the triflate, which in MeOH/Me2SO was shaken with Pd(OAc)2 and 1,3-bis(diphenylphosphino)propane under CO at 70.degree. for 4 h to give Me 4-[1-benzyl-3-(3-methoxyphenyl)piperidin-3-yl]benzoate. This was converted to N,N-diethyl-4-[3-(3-methoxyphenyl)piperidin-3-yl]benzamide.

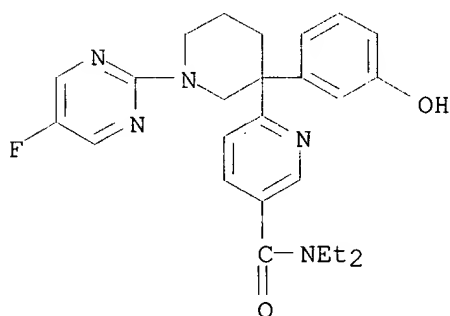
IT 280564-63-8P 280564-64-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 3,3-diarylpiperidine and 2,2-biarylmorpholine derivs. as .delta. opioid ligands)

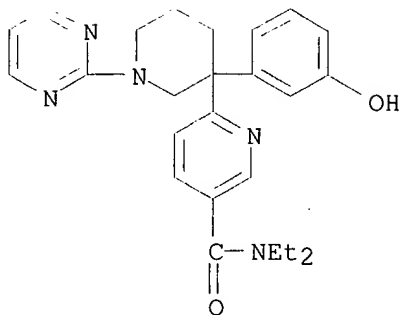
RN 280564-63-8 CAPLUS

CN 3-Pyridinecarboxamide, N,N-diethyl-6-[1-(5-fluoro-2-pyrimidinyl)-3-(3-hydroxyphenyl)-3-piperidinyl]- (9CI) (CA INDEX NAME)



RN 280564-64-9 CAPLUS

CN 3-Pyridinecarboxamide, N,N-diethyl-6-[3-(3-hydroxyphenyl)-1-(2-pyrimidinyl)-3-piperidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

20 ANSWER 29 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:369024 CAPLUS

DOCUMENT NUMBER: 133:150758

TITLE: Synthesis of bis(indolylmaleimide) macrocycles

AUTHOR(S): Mahboobi, Siavosh; Dechant, Irene; Reindl, Hans; Pongratz, Herwig; Popp, Alfred; Schollmeyer, Dieter
CORPORATE SOURCE: Faculty of Chemistry and Pharmacy, University, Regensburg, D-93040, Germany

SOURCE: Journal of Heterocyclic Chemistry (2000), 37(2), 307-329

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:150758

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

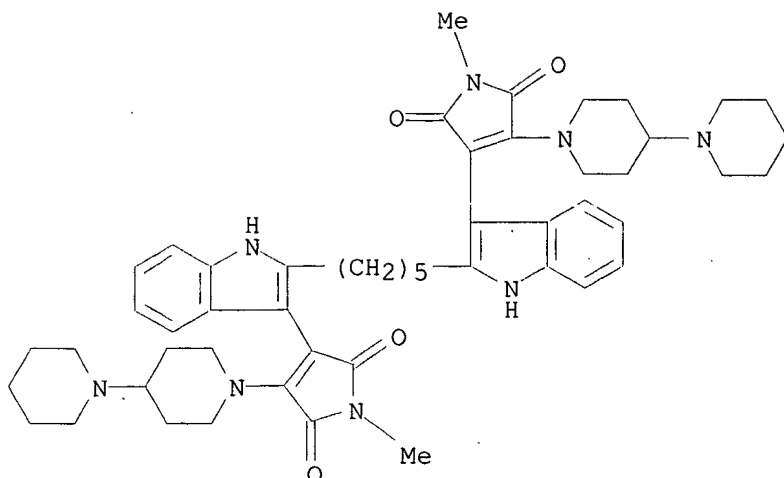
AB The synthesis of a novel class of macrocyclic bis(indolylmaleimides) was accomplished. The key step involved the intermol. connection of 2,2'-bridged indoles with 3,4-dibromo-2,5-dihydro-1H-2,5-pyrroledione(dibromomaleimide) derivs. The bis(indolylmaleimides) afforded by this method were further processed by intramol. nucleophilic substitution of the remaining bromo substituents forming flexible N-substituted macrocycles, e.g., I (R = R1 = pyrrol-1-yl) and I (R = Br, R1 = NH(CH2)2C6H4OH-p); however, connecting both maleimides, semi rigid macrocycles, e.g., II were produced.

IT 249763-52-8P 249763-53-9P

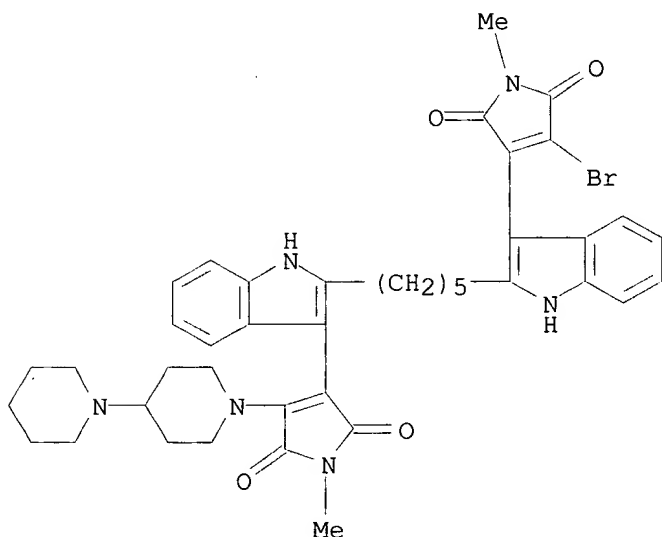
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of bis(indolylmaleimide) macrocycles)

RN 249763-52-8 CAPLUS

CN 1H-Pyrrole-2,5-dione, 3,3'-(1,5-pentanediyldi-1H-indole-2,3-diyl)bis[4-[1,4'-bipiperidin]-1'-yl-1-methyl- (9CI) (CA INDEX NAME)



RN 249763-53-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[1,4'-bipiperidin]-1'-yl-4-[2-[5-[3-(4-bromo-2,5-dihydro-1-methyl-2,5-dioxo-1H-pyrrol-3-yl)-1H-indol-2-yl]pentyl]-1H-indol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 30 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:368337 CAPLUS

DOCUMENT NUMBER: 133:4656

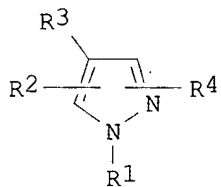
TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors

INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Z.; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Graneto, Matthew J.; Hanau, Cathleen E.; Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle, Michael; Huang, He; Khanna, Ish K.; Koszyk, Francis J.; Liao, Shuyuan; Metz, Suzanne; Partis, Richard A.; Perry, Thao D.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Talley,

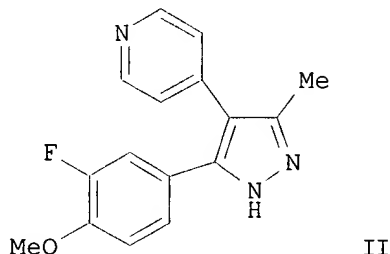
John Jeffrey; Vazquez, Michael L.; Weier, Richard M.;
Xu, Xiangdong; Yu, Yi
PATENT ASSIGNEE(S): G.D. Searle & Co., USA
SOURCE: PCT Int. Appl., 1210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000031063	A1	20000602	WO 1999-US26007	19991117
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1144403	A1	20011017	EP 1999-965756	19991117
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9915420	A	20020122	BR 1999-15420	19991117
NO 2001002456	A	20010719	NO 2001-2456	20010518
US 6423713	B1	20020723	US 2001-918481	20010731
PRIORITY APPLN. INFO.:				
			US 1998-196623	A 19981120
			US 1997-47570P	P 19970522
			US 1998-83670	B2 19980522
			WO 1999-US26007	W 19991117

OTHER SOURCE(S): MARPAT 133:4656
GI



I

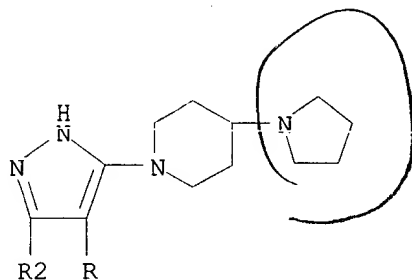


II

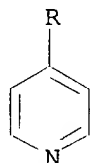
AB Title compds. [I; R1 = H, OH, NH₂, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = H, halo, alkyl, alkoxy, (un)substituted piperidinyl, etc.; R3 = pyridyl, pyrimidinyl, quinolyl, etc.; R4 = H, alkyl, heterocyclyl, aryl, etc.] were prepd. by reaction of ketones with hydrazines. Thus, R3CH₂COMe (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C₆H₃CHO and the product cyclocondensed with TsNHNH₂ to give title compd. II. Data for 15420

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)

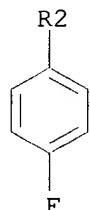
RN 271574-92-6 CAPLUS
CN Pyridine, 4-[3-(4-fluorophenyl)-5-[4-(1-pyrrolidinyl)-1-piperidinyl]-1H-pyrazol-4-yl]-, trihydrochloride (9CI) (CA INDEX NAME)



PAGE 1-A



PAGE 2-A



● 3 HCl

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

20 ANSWER 31 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:723034 CAPLUS

DOCUMENT NUMBER: 131:336939

TITLE: Indole derivatives and their use in the treatment of malignant and other diseases caused by pathological cell proliferation

INVENTOR(S): Mahboobi, Siavosh; Kuhr, Sabine; Pongratz, Herwig; Popp, Alfred; Hufsky, Harald; Bohmer, Frank-d; Teller, Steffen; Uecker, Andrea; Beckers, Thomas

PATENT ASSIGNEE(S): Asta Medica Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

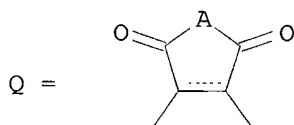
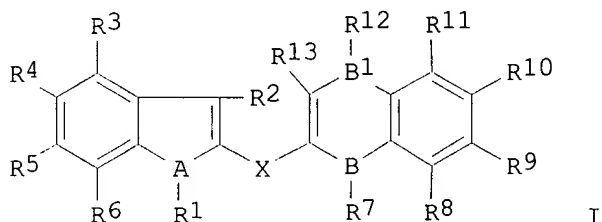
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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Searched by Barb O'Bryen, STIC 308-4291

WO 9957117	A2	19991111	WO 1999-DE1214	19990422
WO 9957117	A3	20010412		
W: AU, BG, BR, BY, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, UZ, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19838506	A1	19991111	DE 1998-19838506	19980825
DE 19838506	C2	20000831		
CA 2330756	AA	19991111	CA 1999-2330756	19990422
AU 9944975	A1	19991123	AU 1999-44975	19990422
AU 752464	B2	20020919		
BR 9911017	A	20010206	BR 1999-11017	19990422
EP 1109785	A2	20010627	EP 1999-927711	19990422
EP 1109785	B1	20030102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002514572	T2	20020521	JP 2000-547087	19990422
US 6407102	B1	20020618	US 1999-305115	19990504
NO 2000005448	A	20001027	NO 2000-5448	20001027
ZA 2000006152	A	20020508	ZA 2000-6152	20001031
US 2003008898	A1	20030109	US 2002-137653	20020503
PRIORITY APPLN. INFO.:				
			DE 1998-19819835 A	19980504
			DE 1998-19838506 A	19980825
			WO 1999-DE1214 W	19990422
			US 1999-305115 A3	19990504
OTHER SOURCE(S):		MARPAT 131:336939		
GI				



AB Indole derivs. I [A = N, O, S; B, B1 = C, N, O, S, bond; X = (un)substituted alkylene, Q; R1, R7, R12 = H, alkyl, aminoalkyl, PhSO2, alkylsilylmethoxymethyl, carbohydrate; R3-R6, R8-R11 = H, (un)substituted alkyl, alkoxy, acyloxy, NO2, halogen; R2R13 = bond, CO, O; R2, R13 = H.

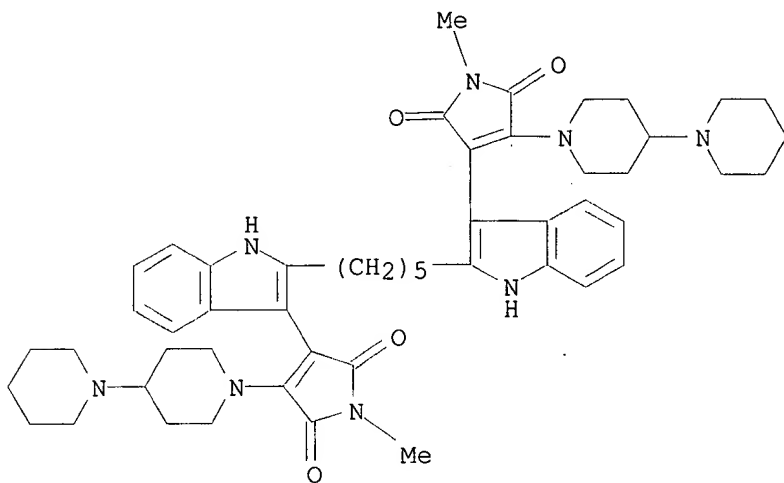
by pathol. cell proliferation. Thus, 1-phenylsulfonylindole was added to 1-phenylsulfonyl-2-indolecarboxaldehyde to give bis(1-phenylsulfonylindol-2-yl)methanol which was oxidized to the ketone and desulfonylated to give bis(2-indolyl)methanone. This compd. had an IC50 of 1 .mu.M for inhibition of tyrosine phosphorylation.

IT 249763-52-8P 249763-53-9P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bis(indolyl)methane derivs. as tyrosine kinase inhibitors)

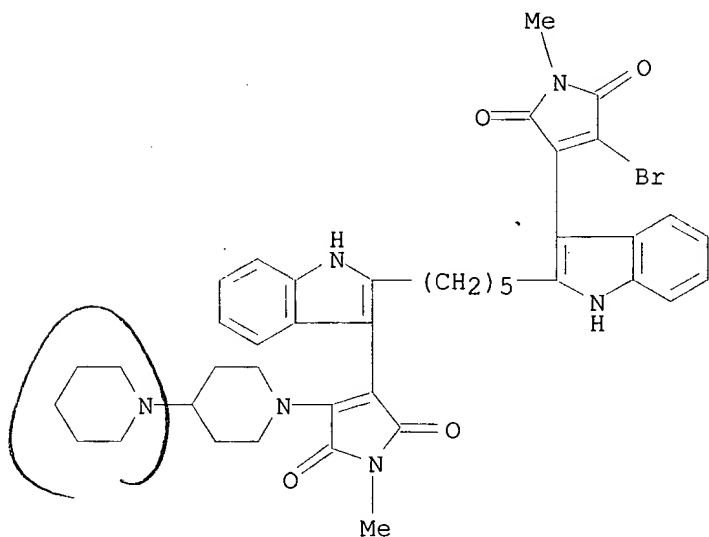
RN 249763-52-8 CAPLUS

CN 1H-Pyrrole-2,5-dione, 3,3'-(1,5-pentanediyldi-1H-indole-2,3-diyl)bis[4-[1,4'-bipiperidin]-1'-yl-1-methyl- (9CI) (CA INDEX NAME)



RN 249763-53-9 CAPLUS

CN 1H-Pyrrole-2,5-dione, 3-[1,4'-bipiperidin]-1'-yl-4-[2-[5-[3-(4-bromo-2,5-dihydro-1-methyl-2,5-dioxo-1H-pyrrol-3-yl)-1H-indol-2-yl]pentyl]-1H-indol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)



~~120~~ ANSWER 32 OF 58 CAPLUS COPYRIGHT 2003 ACS

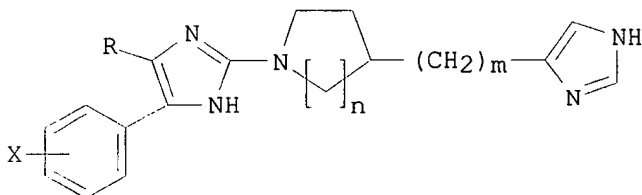
ACCESSION NUMBER: 1999:404954 CAPLUS

DOCUMENT NUMBER: 131:44821

TITLE: Preparation of 1-(1H-imidazol-2-yl)pyrrolidine and 1-(1H-imidazol-2-yl)piperidine derivatives and their affinity with histaminergic H3 receptors
INVENTOR(S): Jegham, Samir; Saady, Mourad; Yaiche, Philippe;

PATENT ASSIGNEE(S): Horter, Laurence
SOURCE: Sanofi-Synthelabo, Fr.
PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931089	A1	19990624	WO 1998-FR2677	19981210
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2772377	A1	19990618	FR 1997-15747	19971212
AU 9915663	A1	19990705	AU 1999-15663	19981210
PRIORITY APPLN. INFO.:			FR 1997-15747	19971212
			WO 1998-FR2677	19981210
OTHER SOURCE(S):		MARPAT 131:44821		
GI				

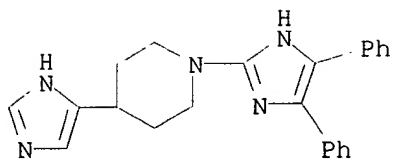


I

AB The title compds. I [R = H, Ph group optionally substituted by a halo atom or a Me, methoxy, trifluoromethyl or nitro group; X = H, halo, Me, methoxy, trifluoromethyl, nitro; n = 1, 2; m = 0, 1], were prepd. E.g., I (R = Ph, X = H, n = 2, m = 0) was prepd. Affinity of I with histaminergic H3 receptors was measured.

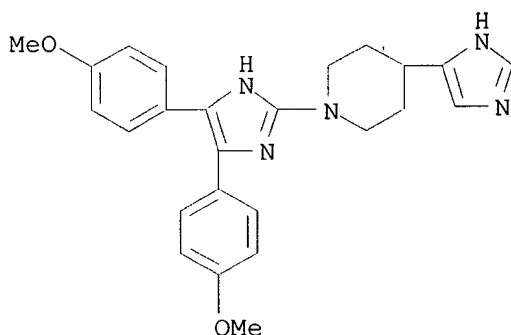
IT 227313-11-3P 227313-12-4P 227313-13-5P
227313-14-6P 227313-15-7P 227313-16-8P
227313-17-9P 227313-18-0P 227313-19-1P
227313-20-4P 227313-21-5P 227313-43-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of imidazolympyrrolidines and imidazolympiperidines and their affinity for histaminergic H3 receptors)

RN 227313-11-3 CAPLUS
CN Piperidine, 1-(4,5-diphenyl-1H-imidazol-2-yl)-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



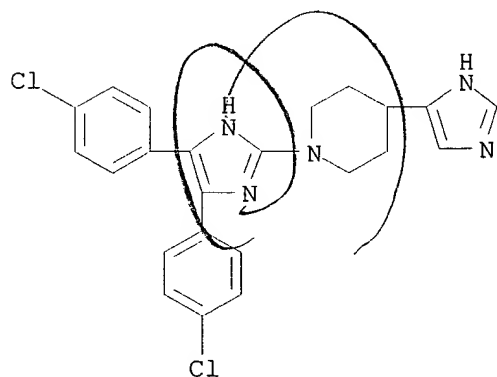
● 2 HCl

RN 227313-12-4 CAPLUS
CN Piperidine, 1-[4,5-bis(4-methoxyphenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



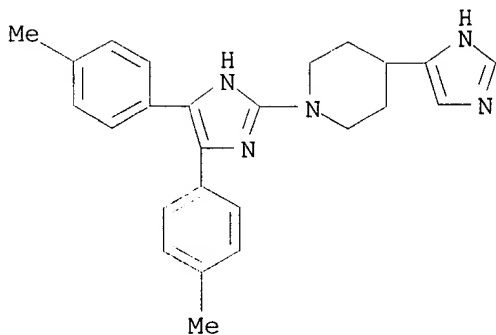
● 2 HCl

RN 227313-13-5 CAPLUS
CN Piperidine, 1-[4,5-bis(4-chlorophenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

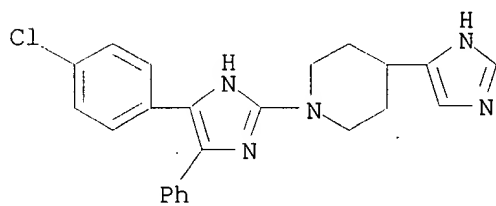
RN 227313-14-6 CAPLUS
CN Piperidine, 1-[4,5-bis(4-methylphenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 227313-15-7 CAPLUS

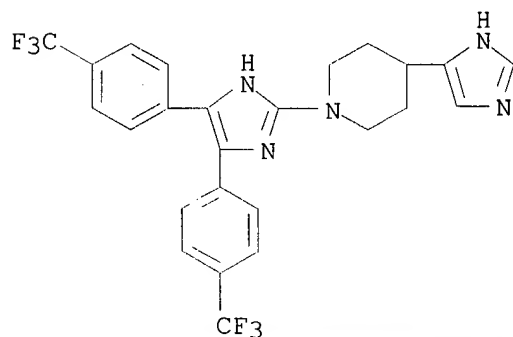
CN Piperidine, 1-[4-(4-chlorophenyl)-5-phenyl-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 227313-16-8 CAPLUS

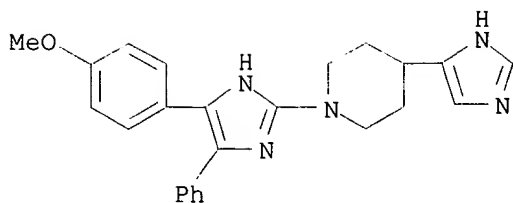
CN Piperidine, 1-[4,5-bis[4-(trifluoromethyl)phenyl]-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

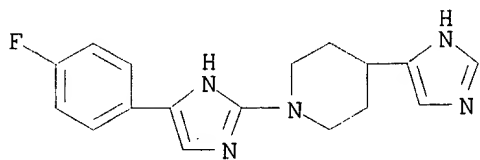
RN 227313-17-9 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-[4-(4-methoxyphenyl)-5-phenyl-1H-imidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



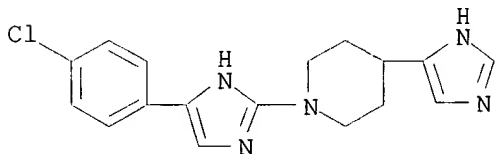
●2 HCl

RN 227313-18-0 CAPLUS
CN Piperidine, 1-[4-(4-fluorophenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-,
dihydrochloride (9CI) (CA INDEX NAME)



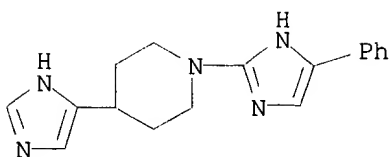
●2 HCl

RN 227313-19-1 CAPLUS
CN Piperidine, 1-[4-(4-chlorophenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-,
dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

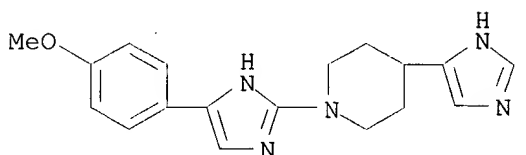
RN 227313-20-4 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(4-phenyl-1H-imidazol-2-yl)-,
dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 227313-21-5 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-[4-(4-methoxyphenyl)-1H-imidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

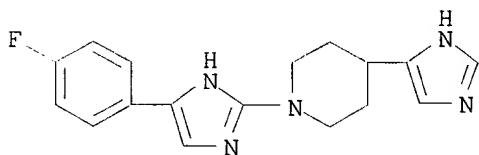
RN 227313-43-1 CAPLUS

CN Piperidine, 1-[4-(4-fluorophenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 227313-42-0

CMF C17 H18 F N5



CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

CO₂H

REFERENCE COUNT:

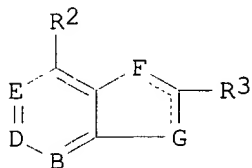
5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

Searched by Barb O'Bryen, STIC 308-4291

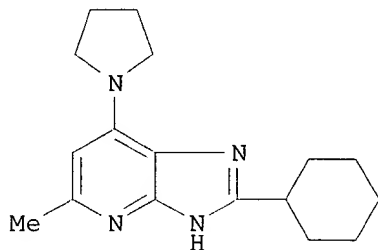
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~120~~ ANSWER 33 OF 58 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:126899 CAPLUS
DOCUMENT NUMBER: 130:196661
TITLE: Preparation of 4-aminopyrrolo[3,2-d]pyrimidines as
neuropeptide Y receptor antagonists
INVENTOR(S): Dow, Robert Lee; Hammond, Marlys
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907703	A1	19990218	WO 1998-IB1053	19980710
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9879274	A1	19990301	AU 1998-79274	19980710
EP 1003744	A1	20000531	EP 1998-929573	19980710
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9811844	A	20000808	BR 1998-11844	19980710
JP 2001512729	T2	20010828	JP 2000-506207	19980710
ZA 9806968	A	20000204	ZA 1998-6968	19980804
US 6187778	B1	20010213	US 1999-380901	19990907
NO 9906178	A	20000214	NO 1999-6178	19991214
PRIORITY APPLN. INFO.:			US 1997-54734P	P 19970805
			WO 1998-IB1053	W 19980710
OTHER SOURCE(S):		MARPAT 130:196661		
GI				



I



II

AB The title compds. [I; B, D, E = CR1, CR9, N; .gtoreq.1 of B, D, E = CR1; .gtoreq.1 of B, D, E = N; F, G = N, NR4, CR5; .gtoreq.1 of F, G = N or NR4; 1 of the dotted lines represents a bond and the other represents no bond; R1, R3-R5, R9 = H, C1-6 (thio)alkyl, C1-6 alkoxy, C2-6 alkenyl, C2-6 alkynyl, (CH2)nC3-7 cycloalk(en)yl; (un)substituted alkylaryl, etc.; R2 = NR6R7, N-contg. heterocyclyl, etc.; R6, R7 = H, C1-6 alkyl, etc.; n = 0-6; with provisos], which selectively bind at human neuropeptide Y receptors

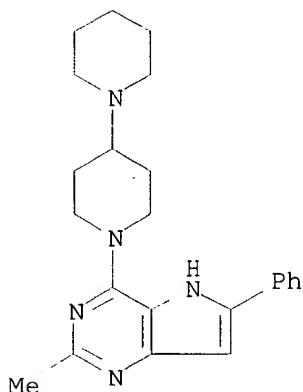
and are useful for the manuf. of drugs for treatment of conditions assocd. with an excess of neuropeptide Y (no data), were prepd. and approx. 20 specific I were claimed. For example, refluxing for 1 h a mixt. of 70 mg 6-methyl-4-pyrrolidin-1-ylpyridine-2,3-diamine and 0.082 g cyclohexanecarboxaldehyde in 1.8 mL PhNO₂ gave 36 mg II.

IT 220761-54-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4-aminopyrrolo[3,2-d]pyrimidines as neuropeptide Y receptor antagonists)

RN 220761-54-6 CAPLUS

CN 5H-Pyrrolo[3,2-d]pyrimidine, 4-[1,4'-bipiperidin]-1'-yl-2-methyl-6-phenyl-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

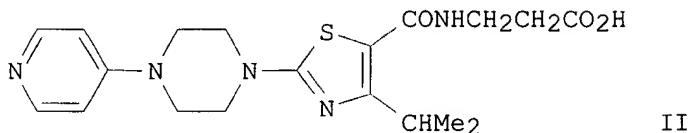
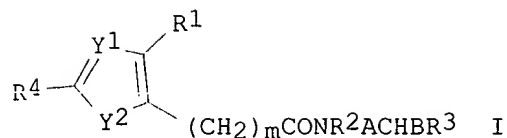
~~L70~~ ANSWER 34 OF 58 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:708818 CAPLUS
DOCUMENT NUMBER: 129:316229
TITLE: Novel carboxamides as platelet aggregation inhibitors
INVENTOR(S): Carceller, Elena; Jimenez, Pere J.; Salas, Jorge
PATENT ASSIGNEE(S): J. Uriach & Cia. S.A., Spain
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9846599	A1	19981022	WO 1998-EP2226	19980416
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9875263	A1	19981111	AU 1998-75263	19980416
PRIORITY APPLN. INFO.:			ES 1997-807	19970416
			WO 1998-EP2226	19980416
OTHER SOURCE(S):		MARPAT 129:316229		

GI



AB Carboxamides I [one of Y1 or Y2 = N and the other = NR⁵, O, S, or one of Y1 or Y2 = S and the other = CR⁵; m = 0, 1, 2; A = bond, (un)substituted CH₂, CH₂CH₂; B = CO₂H or a metabolically labile ester or amide thereof; R₁ = H, (un)substituted alkyl, alkoxy, cycloalkyl, aryl, heteroaryl, sulfonylamino, acylamino, ureido, amino; R₂, R₅ = H, alkyl; R₃ = H, (un)substituted alkyl, alkoxy, cycloalkyl, aryl, heteroaryl, sulfonylamino, acylamino, ureido, amino, (un)substituted CO₂H, carbamoyl; R₄ = substituted N heterocyclyl] are platelet aggregation inhibitors and are useful for the treatment or prevention of thromboembolic disorders. Pharmaceutical compns. including these compds. and processes for their prepn. are also provided. Thus, the thiazole II was prepd. from 4-(4-pyridyl)piperazine, Me₃CNCS, Me₂CHCOCH₂ClCO₂Et, and H₂NCH₂CH₂CO₂CMe₃ in 6 steps. II had a platelet aggregation inhibiting IC₅₀ of 0.65 .mu.M.

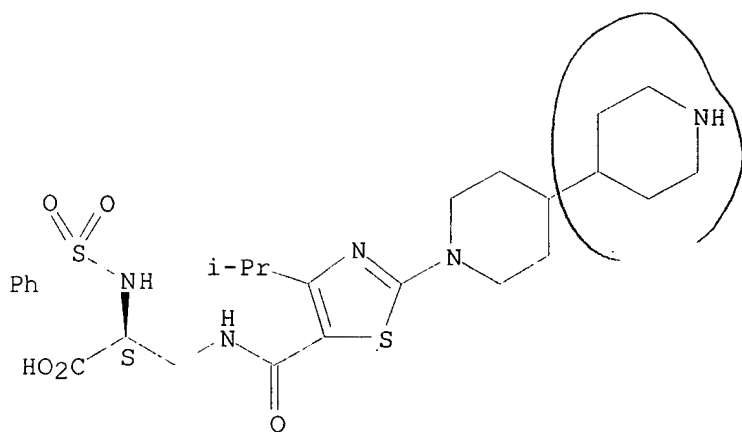
IT **214837-63-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazinylthiazolylcarbonylaminopropionic acids as platelet aggregation inhibitors)

RN 214837-63-5 CAPLUS

CN L-Alanine, 3-[[[2-[4,4'-bipiperidin]-1-yl]-4-(1-methylethyl)-5-thiazolyl]carbonyl]amino]-N-(phenylsulfonyl)-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



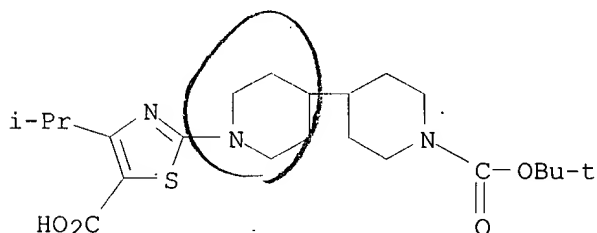
● 2 HCl

IT 214836-34-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of piperazinylthiazolylcarbonylaminopropionic acids as platelet aggregation inhibitors)

RN 214836-34-7 CAPLUS

CN [4,4'-Bipiperidine]-1-carboxylic acid, 1'-[5-carboxy-4-(1-methylethyl)-2-thiazolyl]-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



IT 214836-36-9P 214836-37-0P 214837-64-6P

214837-65-7P 214837-66-8P 214837-83-9P

214837-84-0P 214837-88-4P 214840-35-4P

214840-36-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperazinylthiazolylcarbonylaminopropionic acids as platelet aggregation inhibitors)

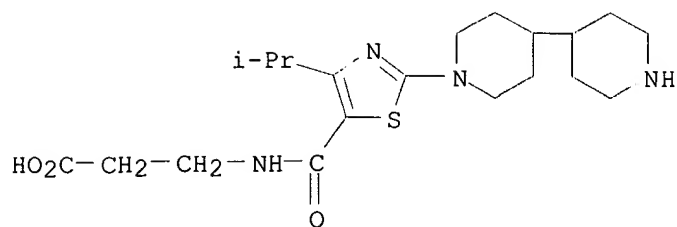
RN 214836-36-9 CAPLUS

CN .beta.-Alanine, N-[[2-[4,4'-bipiperidin]-1-yl]-4-(1-methylethyl)-5-thiazolyl]carbonyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 214836-35-8

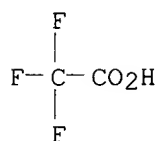
CMF C20 H32 N4 O3 S



CM 2

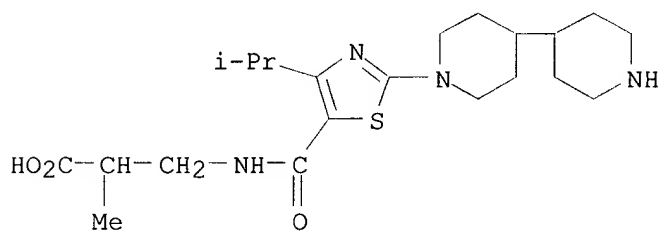
CRN 76-05-1

CMF C2 H F3 O2



RN 214836-37-0 CAPLUS

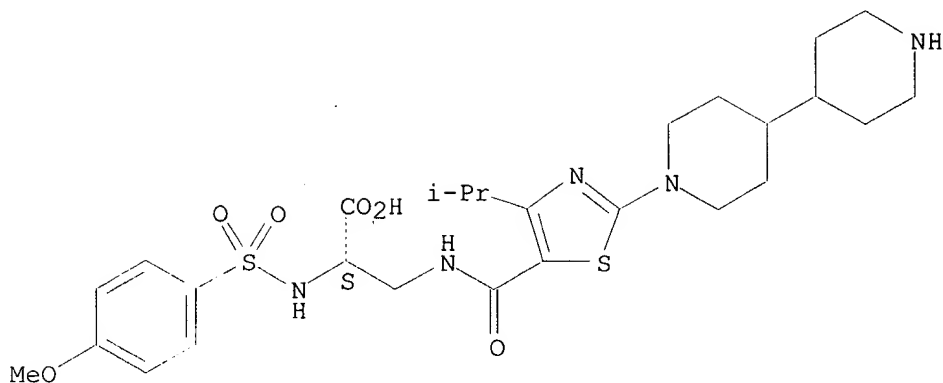
CN Propanoic acid, 3-[[[2-[4,4'-bipiperidin]-1-yl]-4-(1-methylethyl)-5-thiazolyl]carbonyl]amino]-2-methyl- (9CI) (CA INDEX NAME)



RN 214837-64-6 CAPLUS

CN L-Alanine, 3-[[[2-[4,4'-bipiperidin]-1-yl]-4-(1-methylethyl)-5-thiazolyl]carbonyl]amino]-N-[(4-methoxyphenyl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

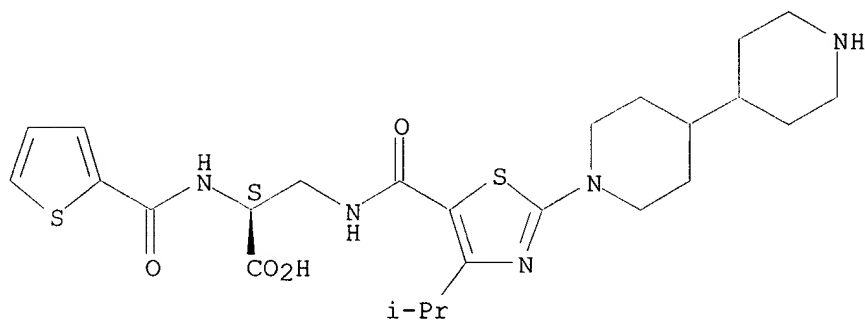


● HCl

RN 214837-65-7 CAPLUS

CN L-Alanine, 3-[[[2-[4,4'-bipiperidin]-1-yl]-4-(1-methylethyl)-5-thiazolyl]carbonyl]amino]-N-(2-thienylcarbonyl)- (9CI) (CA INDEX NAME)

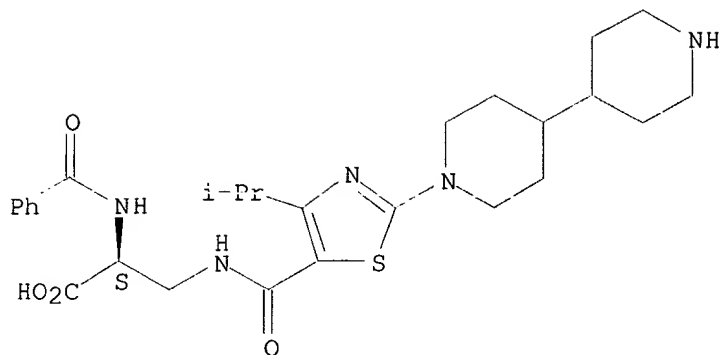
Absolute stereochemistry.



RN 214837-66-8 CAPLUS

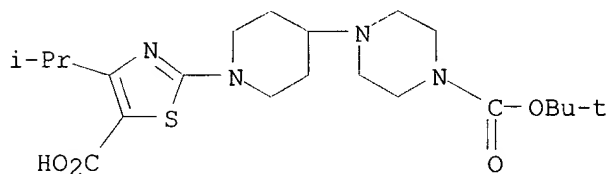
CN L-Alanine, N-benzoyl-3-[[[2-[4,4'-bipiperidin]-1-yl]-4-(1-methylethyl)-5-thiazolyl]carbonyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



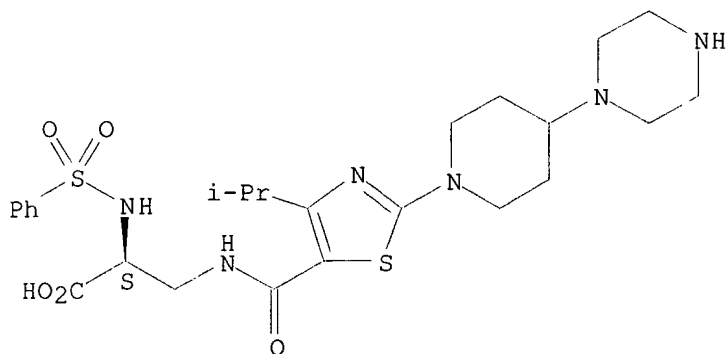
●2 HCl

RN 214837-83-9 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[1-[5-carboxy-4-(1-methylethyl)-2-thiazolyl]-4-piperidinyl]-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



RN 214837-84-0 CAPLUS
 CN L-Alanine, 3-[[[4-(1-methylethyl)-2-[4-(1-piperazinyl)-1-piperidinyl]-5-thiazolyl]carbonyl]amino]-N-(phenylsulfonyl)-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

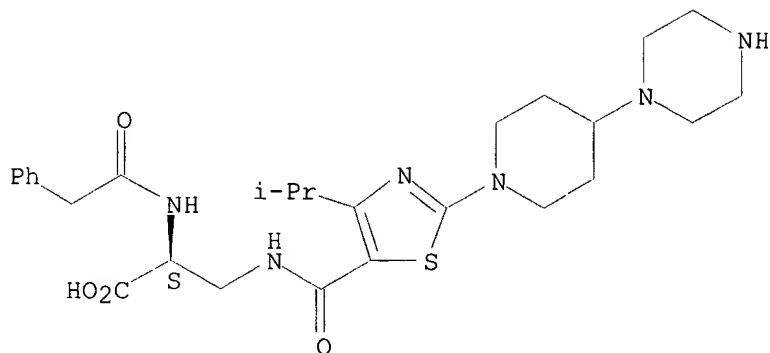


3 HCl

RN 214837-88-4 CAPLUS
 CN L-Alanine, 3-[[[4-(1-methylethyl)-2-[4-(1-piperazinyl)-1-piperidinyl]-5-thiazolyl]carbonyl]amino]-N-(phenylacetyl)-, trihydrochloride (9CI) (CA INDEX NAME)

INDEX NAME)

Absolute stereochemistry.

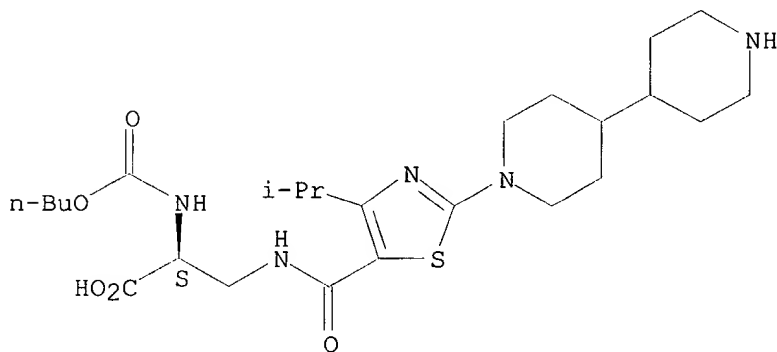


●3 HCl

RN 214840-35-4 CAPLUS

CN L-Alanine, 3-[[[2-[4,4'-bipiperidin]-1-yl-4-(1-methylethyl)-5-thiazolyl]carbonyl]amino]-N-(butoxycarbonyl)- (9CI) (CA INDEX NAME)

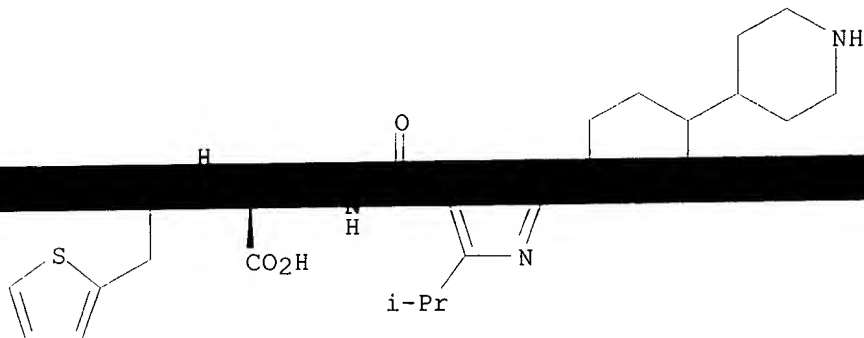
Absolute stereochemistry.



RN 214840-36-5 CAPLUS

CN L-Alanine, 3-[[[2-[4,4'-bipiperidin]-1-yl-4-(1-methylethyl)-5-thiazolyl]carbonyl]amino]-N-(2-thienylacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~178~~ ANSWER 35 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:617929 CAPLUS

DOCUMENT NUMBER: 127:262609

TITLE: Novel N-substituted 4-[[[4'-aminobenzoyl)oxyl)methyl]piperidines having gastric prokinetic properties

INVENTOR(S): Bosmans, Jean Paul Rene Marie Andre; Love, Christopher John; Verdonck, Marc Gustaaf Celine; Schuurkes, Joannes Adrianus Jacobus

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Neth.; Bosmans, Jean Paul Rene Marie Andre; Love, Christopher John; Verdonck, Marc Gustaaf Celine; Schuurkes, Joannes Adrianus Jacobus

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

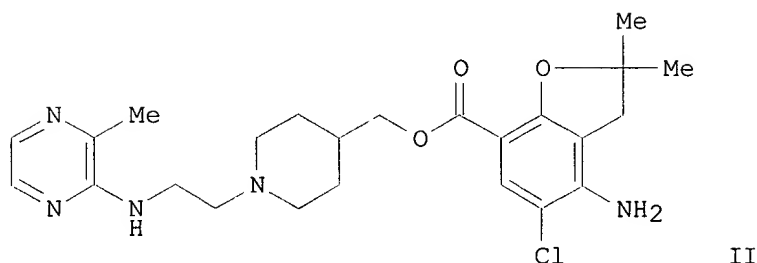
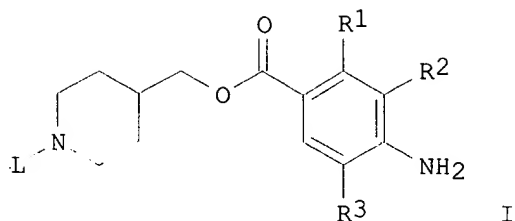
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9731897	A1	19970904	WO 1997-EP585	19970207
W:	AL, AM, AU, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
TW 445263	B	20010711	TW 1997-86101371	19970205
CA 2242494	AA	19970904	CA 1997-2242494	19970207
AU 9717678	A1	19970916	AU 1997-17678	19970207
AU 724401	B2	20000921		
EP 885190	A1	19981223	EP 1997-903246	19970207
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI			
JP 2000505461	T2	20000509	JP 1997-530539	19970207
ZA 9701735	A	19980827	ZA 1997-1735	19970227
US 6291481	B1	20010918	US 1998-125901	19980827
US 2002042430	A1	20020411	US 2001-933094	20010820
PRIORITY APPLN. INFO.:			EP 1996-200525	A 19960229
			WO 1997-EP585	W 19970207
			US 1998-125901	A1 19980827
OTHER SOURCE(S):	MARPAT 127:262609			
GI				



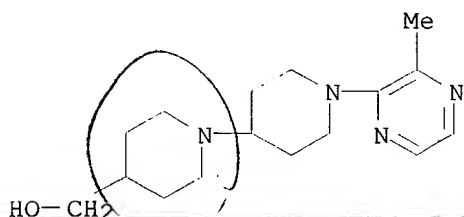
AB The invention concerns compds. I and their N-oxides, pharmaceutically acceptable acid addn. salts, and stereochem. isomeric forms [wherein R1 = alk(en/yn)oxy; R2 = H or alkoxy; or R1R2 = O(CH2)1-30 or O(CH2)2-4, optionally mono- or disubstituted with alkyl; R3 = H, halo; L = cycloalkyl, cycloalkanone, alkenyl, (un)substituted aralkenyl, (un)substituted alkyl, esp. bearing certain heterocyclic nuclei]. Also disclosed are processes for prepg. the compds., formulations comprising them, and their medical use, in particular for treating conditions related to impaired gastric emptying. For example, condensation of 1-(2-aminoethyl)-4-piperidinemethanol with 2-chloro-3-methylpyrazine in the presence of CaO (29%), and esterification of the alc. product (as the Na salt) with the corresponding acid using 1,1'-carbonylbis-1H-imidazole as the activating agent (33%), gave title compd. II. In a test for acceleration of lidamidine-delayed gastric emptying in conscious dogs, II at 0.04 mg/kg gave a 41% acceleration.

IT **196308-29-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of [[(aminobenzoyl)oxy]methyl]piperidines as gastroprokinetic agents)

RN 196308-29-9 CAPLUS

CN [1,4'-Bipiperidine]-4-methanol, 1'-(3-methylpyrazinyl)- (9CI) (CA INDEX NAME)

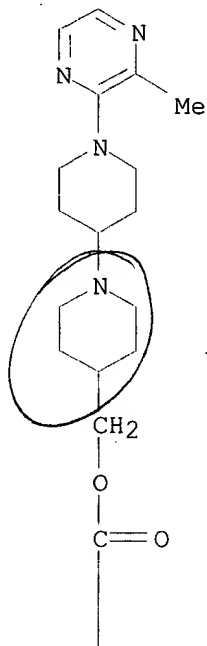


IT **196308-04-0P**

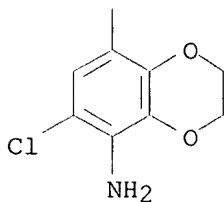
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of [[(aminobenzoyl)oxy]methyl]piperidines as gastroprokinetic agents)

agents)
RN 196308-04-0 CAPLUS
CN 1,4-Benzodioxin-5-carboxylic acid, 8-amino-7-chloro-2,3-dihydro-,
[1'-(3-methylpyrazinyl)[1,4'-bipiperidin]-4-yl]methyl ester (9CI) (CA
INDEX NAME)

PAGE 1-A



PAGE 2-A

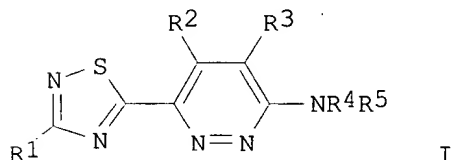


20 ANSWER 36 OF 58 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:532189 CAPLUS
DOCUMENT NUMBER: 127:176434
TITLE: Angiogenesis inhibiting pyridazinamines
INVENTOR(S): Stokbroekx, Raymond Antoine; Van Der Aa, Marcel Jozef Maria; Willems, Marc; Meerpoel, Lieven; Luyckx, Marcel Gerebernus Maria; Tuman, Robert W.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Neth.; Stokbroekx, Raymond Antoine; Van Der Aa, Marcel Jozef Maria; Willems, Marc; Meerpoel, Lieven; Luyckx, Marcel Gerebernus Maria; Tuman, Robert W.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9726258	A1	19970724	WO 1997-EP201	19970114
W: AL, AM, AU, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2237273	AA	19970724	CA 1997-2237273	19970114
AU 9714439	A1	19970811	AU 1997-14439	19970114
AU 717744	B2	20000330		
ZA 9700288	A	19980714	ZA 1997-288	19970114
EP 876366	A2	19981111	EP 1997-901059	19970114
EP 876366	B1	20010725		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
CN 1208415	A	19990217	CN 1997-191705	19970114
JP 2000503014	T2	20000314	JP 1997-524656	19970114
IL 124461	A1	20000726	IL 1997-124461	19970114
AT 203534	E	20010815	AT 1997-901059	19970114
ES 2162235	T3	20011216	ES 1997-901059	19970114
NO 9802037	A	19980915	NO 1998-2037	19980505
US 5985878	A	19991116	US 1998-119075	19980709
PRIORITY APPLN. INFO.:			EP 1996-200085	A 19960115
			WO 1997-EP201	W 19970114
OTHER SOURCE(S):		MARPAT 127:176434		
GI				

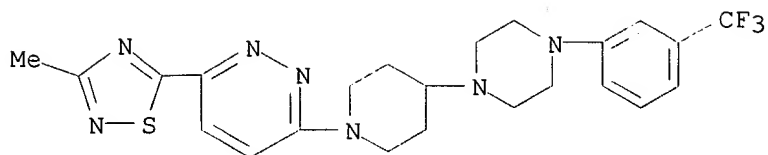


AB Title compds. I [R1 = H, alkyl, alkoxy, alkylthio, amino, aryl, cycloalkyl, CH2OH, CH2OCH2Ph; R2, R3 = H; R2R3 = CH:CHCH:CH; NR4R5 = heterocyclic] were prepd. Thus, 3-chloro-6-methylpyridazine was treated with SOCl2 and HN:CHMeNH2.HCl to give the chloropyridazinylthiadiazole which was treated with 1-(3-trifluoromethylphenyl)piperazine to give I [R1 = Me, R2, R3 = H, NR4R5 = 4-(3-trifluoromethylphenyl)piperazino]. This compd. had an in vitro angiogenesis inhibiting IC50 of 0.3 nM.

IT 193955-49-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

CN Pyridazine, 3-(3-methyl-1,2,4-thiadiazol-5-yl)-6-[4-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

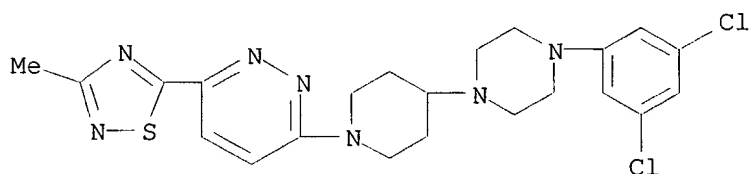


IT 193957-13-0P 193957-20-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thiadiazolylpyrazinylamines as angiogenesis inhibitors)

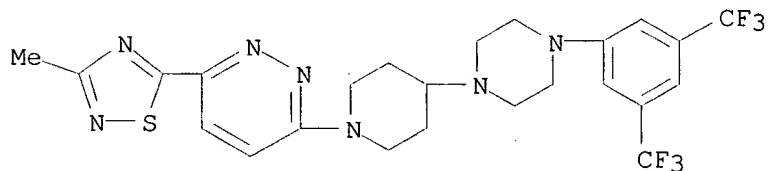
RN 193957-13-0 CAPLUS

CN Pyridazine, 3-[4-[4-(3,5-dichlorophenyl)-1-piperazinyl]-1-piperidinyl]-6-(3-methyl-1,2,4-thiadiazol-5-yl)- (9CI) (CA INDEX NAME)



RN 193957-20-9 CAPLUS

CN Pyridazine, 3-[4-[4-[3,5-bis(trifluoromethyl)phenyl]-1-piperazinyl]-1-piperidinyl]-6-(3-methyl-1,2,4-thiadiazol-5-yl)- (9CI) (CA INDEX NAME)

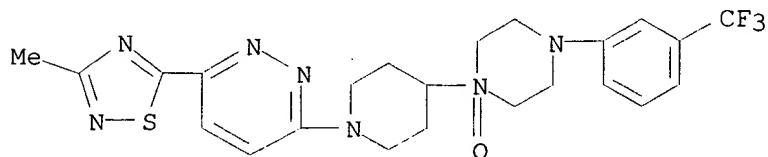


IT 193955-50-9P 193955-52-1P 193955-53-2P
193956-37-5P 193957-14-1P 193957-15-2P
193957-16-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thiadiazolylpyrazinylamines as angiogenesis inhibitors)

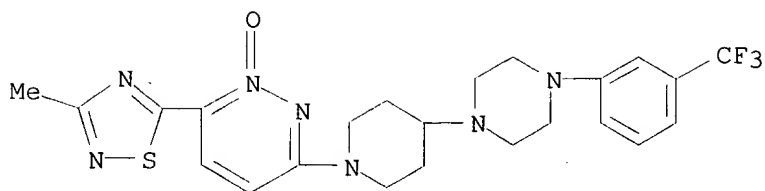
RN 193955-50-9 CAPLUS

CN Pyridazine, 3-(3-methyl-1,2,4-thiadiazol-5-yl)-6-[4-[1-oxido-4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



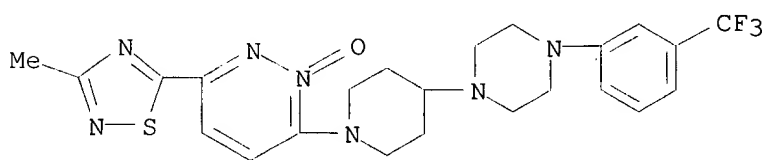
RN 193955-52-1 CAPLUS

CN Pyridazine, 3-(3-methyl-1,2,4-thiadiazol-5-yl)-6-[4-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]-1-piperidinyl]-, 2-oxide (9CI) (CA INDEX NAME)



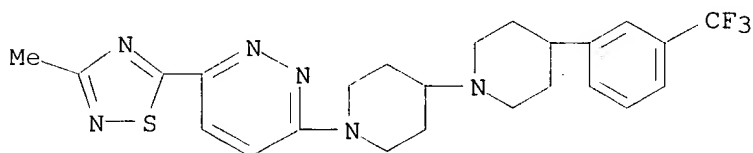
RN 193955-53-2 CAPLUS

CN Pyridazine, 3-(3-methyl-1,2,4-thiadiazol-5-yl)-6-[4-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]-1-piperidinyl]-, 1-oxide (9CI)
(CA INDEX NAME)



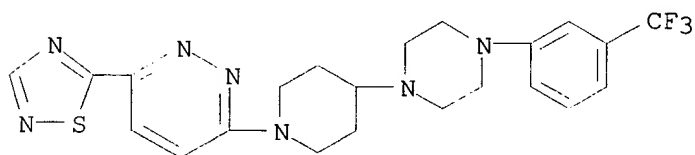
RN 193956-37-5 CAPLUS

CN Pyridazine, 3-(3-methyl-1,2,4-thiadiazol-5-yl)-6-[4-[4-[3-(trifluoromethyl)phenyl][1,4'-bipiperidin]-1'-yl]- (9CI) (CA INDEX NAME)



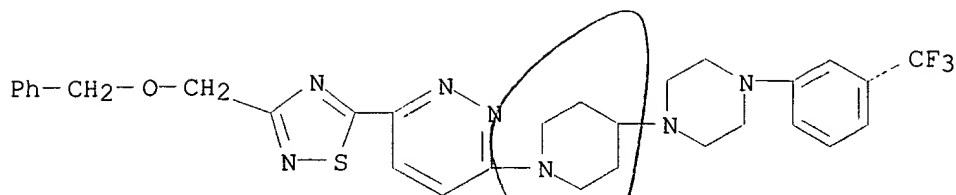
RN 193957-14-1 CAPLUS

CN Pyridazine, 3-(1,2,4-thiadiazol-5-yl)-6-[4-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



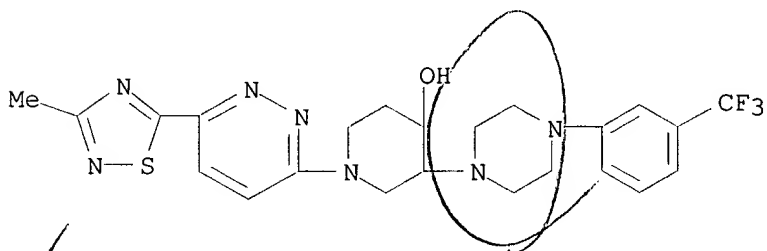
RN 193957-15-2 CAPLUS

CN Pyridazine, 3-[3-[(phenylmethoxy)methyl]-1,2,4-thiadiazol-5-yl]-6-[4-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 193957-16-3 CAPLUS

CN 4-Piperidinol, 1-[6-(3-methyl-1,2,4-thiadiazol-5-yl)-3-pyridazinyl]-3-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



L20 ANSWER 37 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:662453 CAPLUS

DOCUMENT NUMBER: 126:31322

TITLE: Novel barbituric acid derivatives, uracil-pyridinium salts and polycondensed oxopyrimidines

AUTHOR(S): Schmidt, Andreas; Hetzheim, Annemarie; Albrecht, Dirk

CORPORATE SOURCE: Institut Organische Chemie, Ernst-Moritz-Arndt-Universitaet Greifswald, Greifswald, D-17489, Germany

SOURCE: Heterocycles (1996), 43(10), 2153-2167

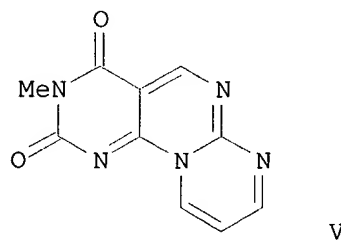
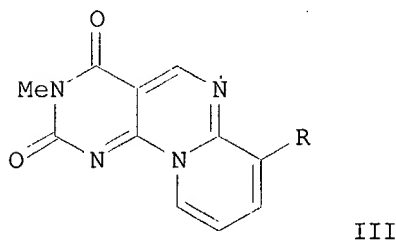
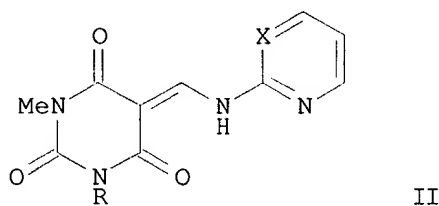
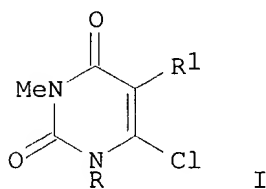
CODEN: HTCYAM; ISSN: 0385-5414

PUBLISHER: Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Nucleophilic substitution of the 6-chloropyrimidines I (R = H, Me; R1 = H) with piperidine, morpholine, 4-(piperidin-1-yl)piperidine, and 4-(dimethylamino)pyridine yielded the corresponding 6-amino-substituted

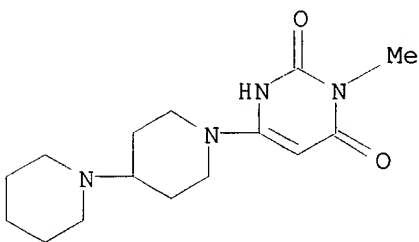
pyrimidines. The barbiturates II (R = Me, X = CH, CMe; R = Me, X = N) were formed either starting from the chloro aldehyde I (R = Me, R1 = CHO), or by applying Knoevenagel reaction to 1,3-dimethylpyrimidine-(1H,3H)-2,4,6-trione with 2-formylaminoarenes. Cyclocondensation of the chloro aldehyde I (R = H, R1 = CHO) with 2-aminopyridines resulted in the formation of the tricycle III which is also accessible by initial Knoevenagel reaction of 3-methylpyrimidine-(1H,3H)-2,4,6-trione (IV) and subsequent cyclization of the resulting barbiturates II (R = H, X = CH, CMe). Analogously, the new ring system, dipyrimidopyrimidinedione V was formed upon treatment of IV with 2-(formylamino)pyrimidine and subsequent cyclization.

IT 184290-23-1P 184290-24-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of barbituric acid, uracil-pyridinium salt, and polycondensed oxypyrimidine derivs.)

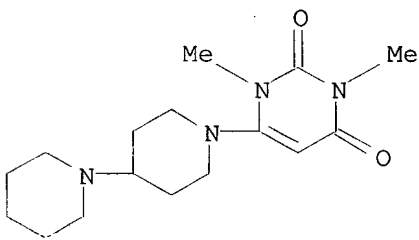
RN 184290-23-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 6-[1,4'-bipiperidin]-1'-yl-3-methyl- (9CI)
(CA INDEX NAME)



RN 184290-24-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 6-[1,4'-bipiperidin]-1'-yl-1,3-dimethyl- (9CI)
(CA INDEX NAME)



L20 ANSWER 38 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:466913 CAPLUS

DOCUMENT NUMBER: 125:142726

TITLE: Muscarine antagonists

INVENTOR(S): Thompson, Wayne J.; Sugrue, Michael F.; Ransom, Richard W.; Mallorga, Pierre J.; Bell, Ian M.; Smith, Anthony M.

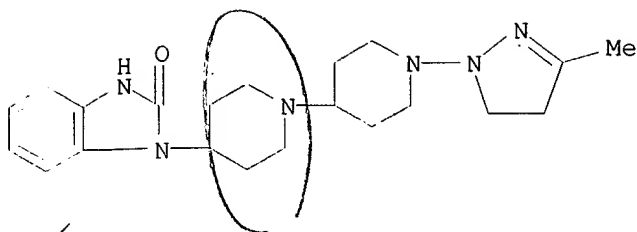
PATENT ASSIGNEE(S): Merck and Co., Inc., USA

DOCUMENT TYPE: Patent

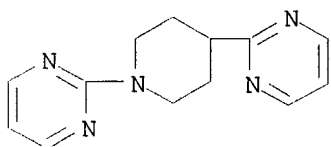
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:



~~120~~ ANSWER 39 OF 58 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:407459 CAPLUS
DOCUMENT NUMBER: 125:96333
TITLE: Assay and purity control of new serotonergic
anxiolytics by HPTLC and scanning densitometry
AUTHOR(S): Farina, Anna; Doldo, Antonio; Cotichini, Viviana;
Rajevic, Maya
CORPORATE SOURCE: Lab. Chimica Farmaco, Ist. Sup. Sanita, Rome, 00161,
Italy
SOURCE: Journal of Planar Chromatography--Modern TLC (1996),
9(3), 185-188
CODEN: JPCTE5; ISSN: 0933-4173
PUBLISHER: Research Institute for Medicinal Plants
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A high-performance TLC (HPTLC) method with densitometric UV detection was
used for the detn. and purity control of serotonergic anxiolytics. With
silica gel as adsorbent and 3 different mobile phases, all the potential
impurities were well sepd. from the main components and from each other.
Detection limits of a few nanograms were obtained at a signal-to-noise
ratio 3:1. The relative std. deviation values for the main components and
related impurities were between 2.2 and 3.4%. The results obtained were
compared with those obtained by a previously established HPLC method.
IT 178948-99-7
RL: ANT (Analyte); ANST (Analytical study)
(purity control of serotonergic anxiolytics by HPTLC and densitometry)
RN 178948-99-7 CAPLUS
CN Pyrimidine, 2,2'-(1,4-piperidinediyl)bis- (9CI) (CA INDEX NAME)



~~120~~ ANSWER 40 OF 58 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:508848 CAPLUS
DOCUMENT NUMBER: 121:108848
TITLE: Pyrimidines useful in treatment of neurological
disorders
INVENTOR(S): Awaya, Akira; Horikomi, Kazutoshi; Sasaki, Tadayuki;
Kobayashi, Hisashi; Mizuchi, Akira; Nakano, Takuo;
Tomino, Ikuo; Araki, Shintaro; Takesue, Mitsuyuki; et
al.
PATENT ASSIGNEE(S): Mitsui Petrochemical Industries, Ltd., Japan; Mitsui
Pharmaceuticals, Inc.
SOURCE: U.S., 29 pp. Cont.-in-part of U.S. Ser. No. 347,892,
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9613262	A1	19960509	WO 1995-US13710	19951024
W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, US, UZ				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5574044	A	19961112	US 1994-329757	19941027
US 5691323	A	19971125	US 1995-440153	19950512
CA 2200468	AA	19960509	CA 1995-2200468	19951024
AU 9539674	A1	19960523	AU 1995-39674	19951024
AU 701127	B2	19990121		
EP 786997	A1	19970806	EP 1995-937615	19951024
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 2002515008	T2	20020521	JP 1996-514691	19951024
PRIORITY APPLN. INFO.:				
			US 1994-329757	A2 19941027
			US 1995-440153	A2 19950512
			WO 1995-US13710	W 19951024

OTHER SOURCE(S): MARPAT 125:142726

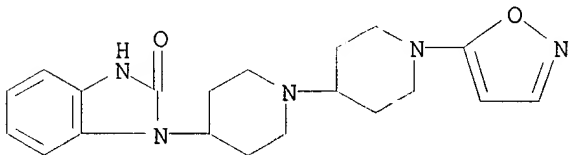
AB Compds., 1,3-dihydro-1-{1-[piperidin-4-yl]piperidin-4-yl}-2H-benzimidazol-2-ones and 1,3-dihydro-1-{4-amino-1-cyclohexyl}-2H-benzimidazol-2-ones and derivs. thereof, their prepn., method of use and pharmaceutical compns. are described. These compds. are endowed with antimuscarinic activity and are useful in the treatment and/or prevention of myopia (commonly known as nearsightedness).

IT 179322-92-0P 179322-93-1P 179323-11-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

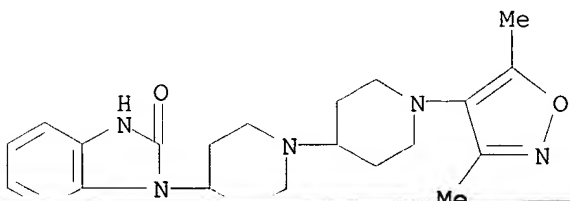
RN 179322-92-0 CAPLUS

CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[1'-(5-isoxazolyl)][1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



RN 179322-93-1 CAPLUS

CN 2H-Benzimidazol-2-one, 1-[1'-(3,5-dimethyl-4-isoxazolyl)][1,4'-bipiperidin]-4-yl]-1,3-dihydro- (9CI) (CA INDEX NAME)



RN 179323-11-6 CAPLUS

CN 2H-Benzimidazol-2-one, 1-[1'-(4,5-dihydro-3-methyl-1H-pyrazol-1-yl)][1,4'-bipiperidin]-4-yl]-1,3-dihydro- (9CI) (CA INDEX NAME)

FAMILY ACC. NUM. COUNT: 2

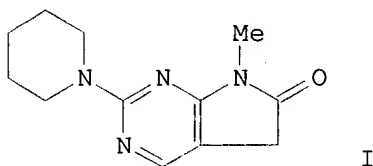
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5304555	A	19940419	US 1990-600171	19901019
CN 1079742	A	19931222	CN 1993-103112	19930317

PRIORITY APPLN. INFO.: JP 1987-210170 19870826
US 1989-347892 19890425
CN 1988-106967 19880826

OTHER SOURCE(S): MARPAT 121:108848

GI



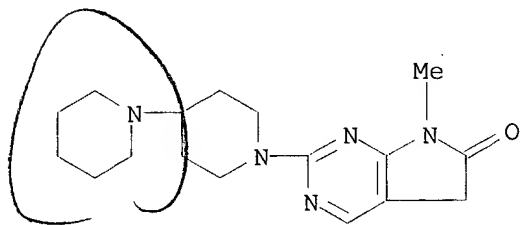
AB Pyrimidine compds. and their pharmaceutically acceptable salts were disclosed. The compds. are useful for neurol. diseases of the peripheral and central nervous systems of animals. An example compd., 5,7-dihydro-7-methyl-2-(1-piperidinyl)-6H-pyrrolo[2,3-d]pyrimidin-6-one (I) was prepd. The biol. activity of I was higher than that of isaxonine or mecobalamin.

IT 122113-24-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as central nervous system agent)

RN 122113-24-0 CAPLUS

CN 6H-Pyrrolo[2,3-d]pyrimidin-6-one, 2-[1,4'-bipiperidin]-1'-yl-5,7-dihydro-7-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

ANSWER 41 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:435609 CAPLUS

DOCUMENT NUMBER: 121:35609

TITLE: Preparation of 2-[4-(4-imidazolyl)piperidino]benzimidazoles as serotonergic receptor antagonists

INVENTOR(S): Jegham, Samir; Defosse, Gerard; Purcell, Thomas

PATENT ASSIGNEE(S): Synthelabo S. A., Fr.

SOURCE: Eur. Pat. Appl., 13 pp.

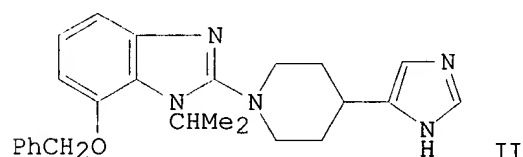
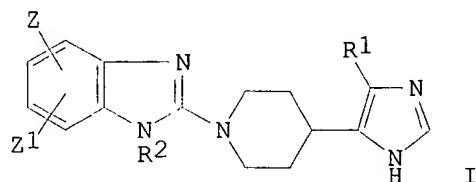
CODEN: EPXXDW

DOCUMENT TYPE: Patent

Searched by Barb O'Bryen, STIC 308-4291

LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 591026	A1	19940406	EP 1993-402280	19930920
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
FR 2696176	A1	19940401	FR 1992-11550	19920928
FR 2696176	B1	19941110		
CA 2107060	AA	19940329	CA 1993-2107060	19930927
FI 9304220	A	19940329	FI 1993-4220	19930927
NO 9303434	A	19940329	NO 1993-3434	19930927
AU 9348605	A1	19940414	AU 1993-48605	19930927
AU 659033	B2	19950504		
ZA 9307155	A	19940523	ZA 1993-7155	19930927
CN 1087340	A	19940601	CN 1993-118081	19930927
HU 65396	A2	19940628	HU 1993-2726	19930927
JP 06192254	A2	19940712	JP 1993-239568	19930927
US 5418241	A	19950523	US 1993-127058	19930927
PL 172852	B1	19971231	PL 1993-300514	19930927
PRIORITY APPLN. INFO.:			FR 1992-11550	19920928
OTHER SOURCE(S):			MARPAT 121:35609	
GI				



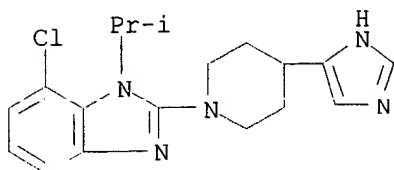
AB Title compds. (I; R1,R2 = H, alkyl; Z,Z1 = H, Cl, OH, NH2, alkyl, alkoxy, etc.) were prepd. Thus, 2-chloro-1-(1-methylethyl)-7-phenylmethoxy-1H-benzimidazole (prepn. given) was condensed with 4-(1H-imidazol-4-yl)piperidine to give title compd. II. I gave .gtoreq.50% inhibition of serotonin-induced bradycardia at 10.mu.g/kg i.v. in rats.

IT 155596-41-1P 155596-42-2P 155596-43-3P
 155596-45-5P 155596-47-7P 155596-49-9P
 155596-50-2P 155596-51-3P 155596-53-5P
 155596-54-6P 155596-55-7P 155596-57-9P
 155596-59-1P 155596-60-4P 155596-61-5P
 155596-62-6P 155596-64-8P 155596-66-0P
 155596-67-1P 155596-68-2P

RN 155596-41-1 CAPLUS
 CN 1H-Benzimidazole, 7-chloro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

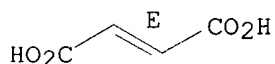
CRN 155596-40-0
CMF C18 H22 Cl N5



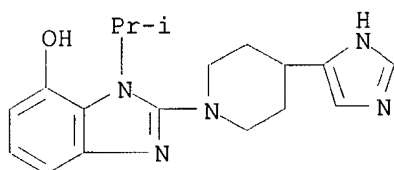
CM 2

CRN 110-17-8
CMF C4 H4 O4

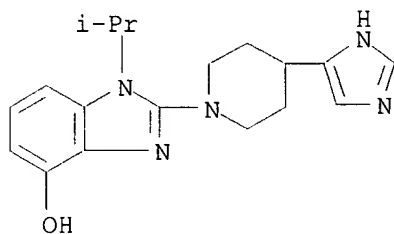
Double bond geometry as shown.



RN 155596-42-2 CAPLUS
CN 1H-Benzimidazol-7-ol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



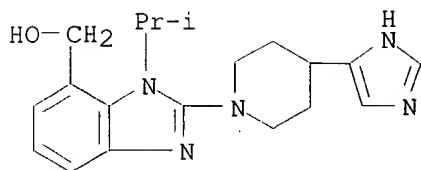
RN 155596-43-3 CAPLUS
CN 1H-Benzimidazol-4-ol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 155596-45-5 CAPLUS
CN 1H-Benzimidazole-7-methanol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-44-4
CMF C19 H25 N5 O

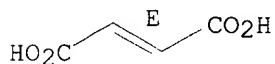


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



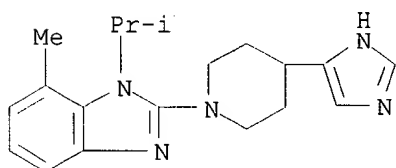
RN 155596-47-7 CAPLUS

1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidiny]-7-methyl-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-46-6

CMF C19 H25 N5

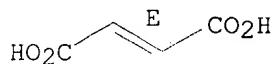


CM 2

CRN 110-17-8

CMF C4 H4 O4

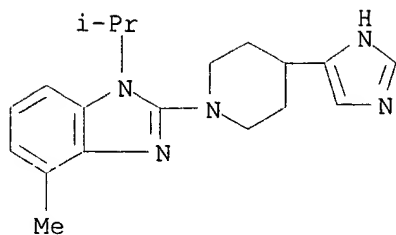
Double bond geometry as shown.



RN 155596-49-9 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidiny]-4-methyl-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

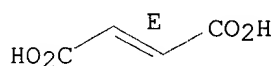


CM 2

CRN 110-17-8

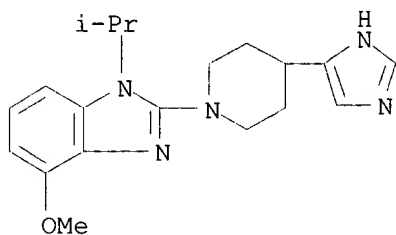
CMF C4 H4 O4

Double bond geometry as shown.



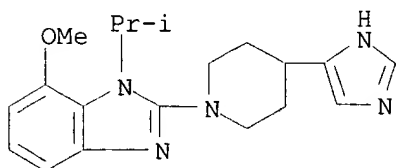
RN 155596-50-2 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methoxy-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 155596-51-3 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-7-methoxy-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



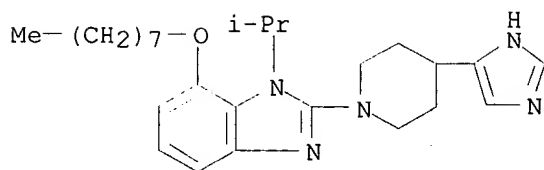
RN 155596-53-5 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(octyloxy)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-52-4

CMF C26 H39 N5 O

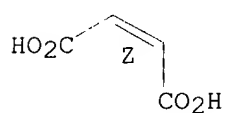


CM 2

CRN 110-16-7

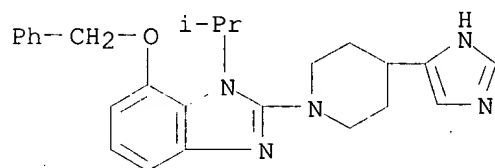
CMF C4 H4 O4

Double bond geometry as shown.



RN 155596-54-6 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



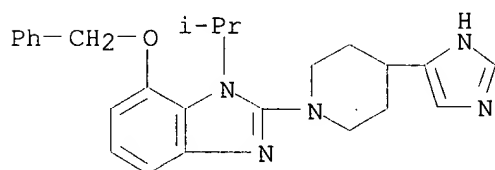
RN 155596-55-7 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(phenylmethoxy)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-54-6

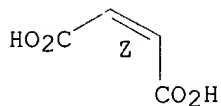
CMF C25 H29 N5 O



CM 2

CMF C4 H4 O4

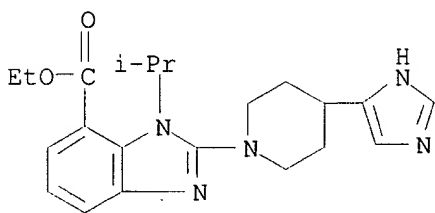
Double bond geometry as shown.



RN 155596-57-9 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-
1-(1-methylethyl)-, ethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA
INDEX NAME)

CM 1

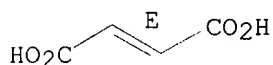
CRN 155596-56-8
CMF C21 H27 N5 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

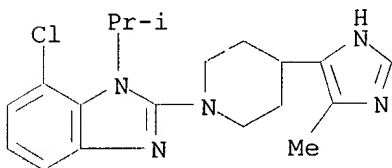
Double bond geometry as shown.



RN 155596-59-1 CAPLUS
CN 1H-Benzimidazole, 7-chloro-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-
yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

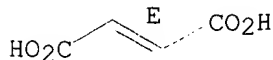
CRN 155596-58-0
CMF C19 H24 Cl N5



CM 2

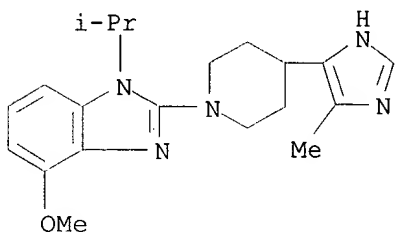
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



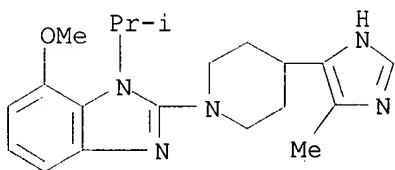
RN 155596-60-4 CAPLUS

CN 1H-Benzimidazole, 4-methoxy-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



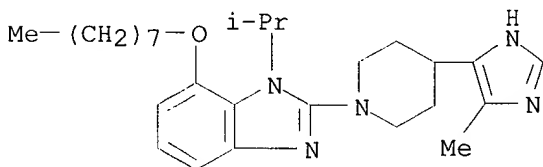
RN 155596-61-5 CAPLUS

CN 1H-Benzimidazole, 7-methoxy-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 155596-62-6 CAPLUS

CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-7-(octyloxy)- (9CI) (CA INDEX NAME)

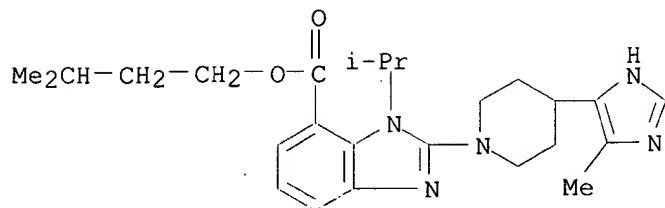


RN 155596-64-8 CAPLUS

CN 1H-Benzimidazole-7-carboxylic acid, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, 3-methylbutyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-63-7

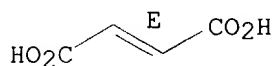


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



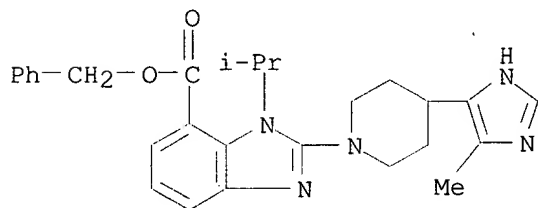
RN 155596-66-0 CAPLUS

CN 1H-Benzimidazole-7-carboxylic acid, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, phenylmethyl ester, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-65-9

CMF C27 H31 N5 O2

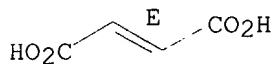


CM 2

CRN 110-17-8

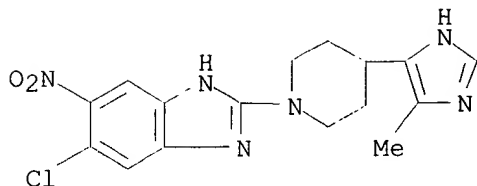
CMF C4 H4 O4

Double bond geometry as shown.



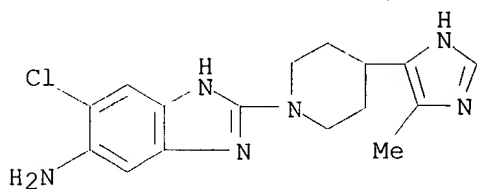
RN 155596-67-1 CAPLUS

CN 1H-Benzimidazole, 5-chloro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-6-nitro- (9CI) (CA INDEX NAME)



RN 155596-68-2 CAPLUS

CN 1H-Benzimidazol-5-amine, 6-chloro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidiny]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

20 ANSWER 42 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:124534 CAPLUS

DOCUMENT NUMBER: 118:124534

TITLE: Preparation of 2-(imidazolylpiperidino)benzimidazoles and analogs as 5-HT receptor ligands

INVENTOR(S): Jegham, Samir; Defosse, Gerard; Purcell, Thomas; Schoemaker, Johannes

PATENT ASSIGNEE(S): Synthelabo S. A., Fr.

SOURCE: Eur. Pat. Appl., 17 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 507650	A1	19921007	EP 1992-400780	19920323
EP 507650	B1	19960522		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
FR 2674855	A1	19921009	FR 1991-4009	19910403
FR 2674855	B1	19940114		
AT 138375	E	19960615	AT 1992-400780	19920323
CA 2064924	AA	19921004	CA 1992-2064924	19920402
NO 9201281	A	19921005	NO 1992-1281	19920402
AU 9213989	A1	19921008	AU 1992-13989	19920402
AU 646332	B2	19940217		

JP 07088378 B4 19950927

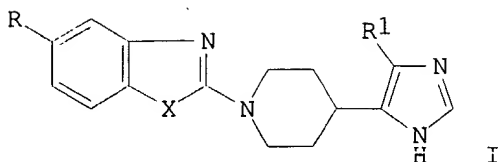
HU 62573 A2 19930528 HU 1992-1116 19920402

US 5280030 A 19940118 US 1992-862376 19920402

PRIORITY APPLN. INFO.: FR 1991-4009 19910403

OTHER SOURCE(S): MARPAT 118:124534

GI



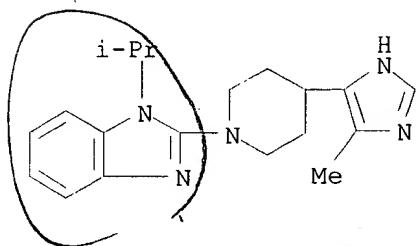
AB Title compds. [I; R = H, F; R1 = H, (cyclo)alkyl; X = O, S, NR3; R3 = H, (cyclo)alkyl, Ph, pyridyl, etc.] were prepd. Thus, 1-(4-pyridyl)-1-propanone was converted in 2 steps to 2-amino-1-(4-pyridyl)-1-propanone which was cyclocondensed with KSCN and the product converted in 2 steps to 4-(5-methyl-1H-imidazol-4-yl)piperidine. The latter was condensed with 2-chloro-1-(1-methylethyl)-1H-benzimidazole (prepn. given) to give I (R = H, R1 = Me, X = NCHMe2). I gave .gtoreq. 50% inhibition of serotonin-induced bradycardia in rats at 10 .mu.g/kg i.v.

IT 146365-53-9P 146365-54-0P 146365-58-4P
 146365-60-8P 146365-61-9P 146365-62-0P
 146365-64-2P 146365-65-3P 146365-66-4P
 146365-67-5P 146365-69-7P 146365-71-1P
 146365-72-2P 146365-74-4P 146365-75-5P
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 146365-97-1P 146365-98-2P 146365-99-3P
 146395-69-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as 5-HT receptor ligand)

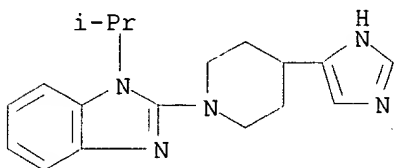
RN 146365-53-9 CAPLUS

CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 146365-54-0 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



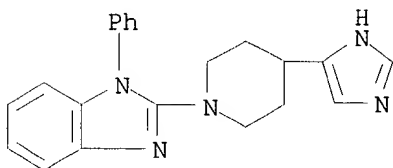
RN 146365-58-4 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-phenyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-57-3

CMF C21 H21 N5

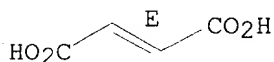


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



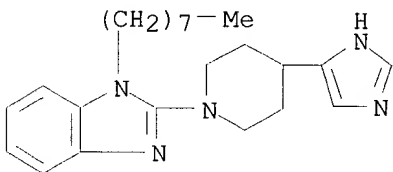
RN 146365-60-8 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidiny]-1-octyl-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-59-5

CMF C23 H33 N5

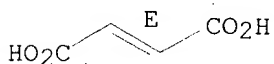


CM 2

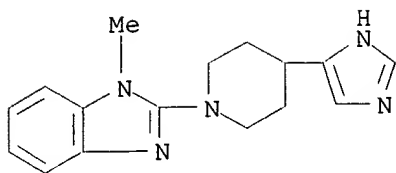
CRN 110-17-8

CMF C4 H4 O4

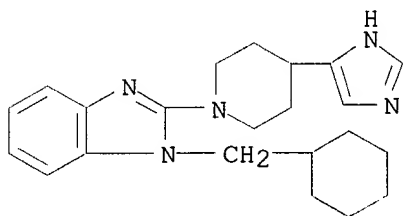
Double bond geometry as shown.



(CA INDEX NAME)



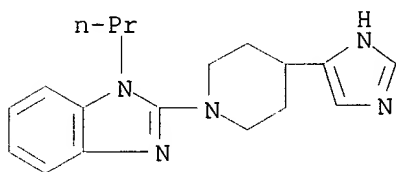
RN 146365-62-0 CAPLUS
CN 1H-Benzimidazole, 1-(cyclohexylmethyl)-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 146365-64-2 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-propyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

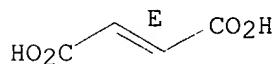
CRN 146365-63-1
CMF C18 H23 N5



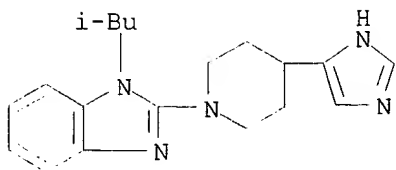
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

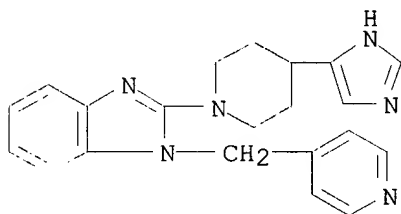


RN 146365-65-3 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methylpropyl)- (9CI) (CA INDEX NAME)



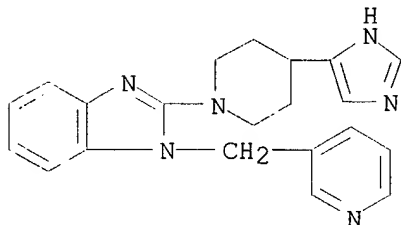
RN 146365-66-4 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 146365-67-5 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



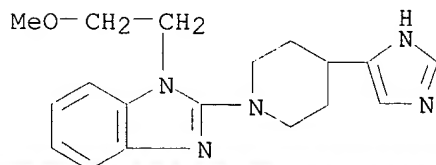
RN 146365-69-7 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methoxyethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-68-6

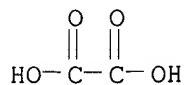
CMF C18 H23 N5 O



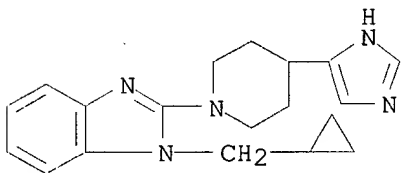
CM 2

CRN 144-62-7

CMF C2 H2 O4

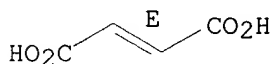


RN 146365-71-1 CAPLUS
CN 1H-Benzimidazole, 1-(cyclopropylmethyl)-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)
CM 1
CRN 146365-70-0
CMF C19 H23 N5

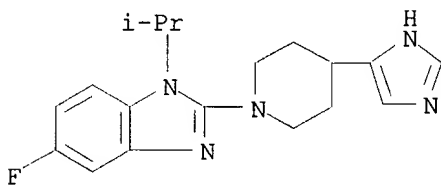


CM 2
CRN 110-17-8
CMF C4 H4 O4

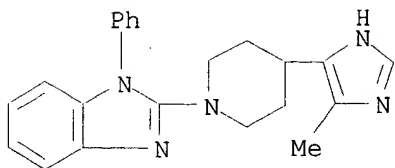
Double bond geometry as shown.



RN 146365-72-2 CAPLUS
CN 1H-Benzimidazole, 5-fluoro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 146365-74-4 CAPLUS
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-phenyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)
CM 1
CRN 146365-73-3
CMF C22 H23 N5

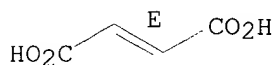


CM 2

CRN 110-17-8

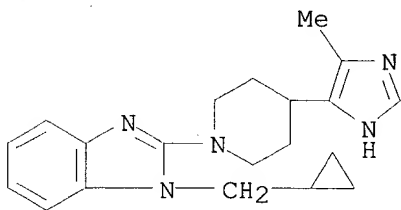
CMF C4 H4 O4

Double bond geometry as shown.



RN 146365-75-5 CAPLUS

CN 1H-Benzimidazole, 1-(cyclopropylmethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



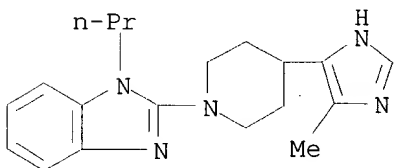
RN 146365-77-7 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-propyl-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-76-6

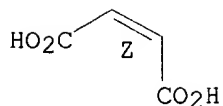
CMF C19 H25 N5



CM 2

CMF C4 H4 O4

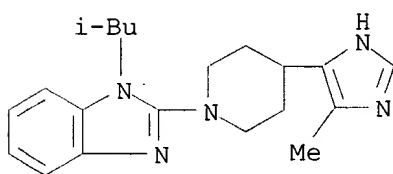
Double bond geometry as shown.



RN 146365-79-9 CAPLUS
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methylpropyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

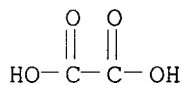
CM 1

CRN 146365-78-8
CMF C20 H27 N5

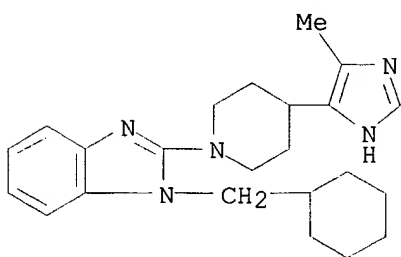


CM 2

CRN 144-62-7
CMF C2 H2 O4



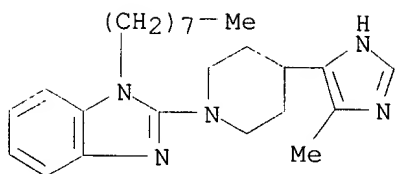
RN 146365-80-2 CAPLUS
CN 1H-Benzimidazole, 1-(cyclohexylmethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 146365-82-4 CAPLUS
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-octyl-, (2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)

CM 1

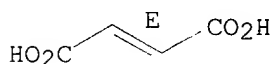
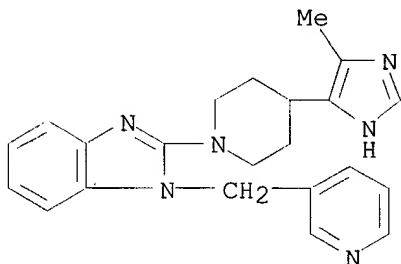
CRN 146365-81-3
CMF C24 H35 N5



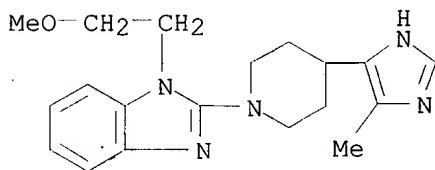
CM 2

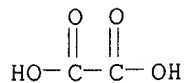
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

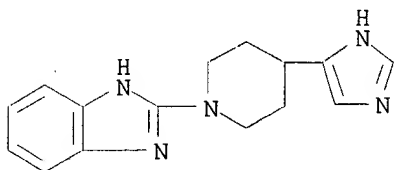
RN 146365-83-5 CAPLUS
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)RN 146365-85-7 CAPLUS
CN 1H-Benzimidazole, 1-(2-methoxyethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-84-6
CMF C19 H25 N5 OCRN 144-62-7
CMF C2 H2 O4



RN 146365-86-8 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, dihydrochloride
(9CI) (CA INDEX NAME)

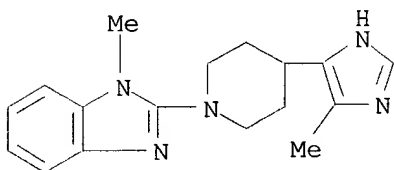


●2 HCl

RN 146365-88-0 CAPLUS
CN 1H-Benzimidazole, 1-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (2:1) (9CI) (CA INDEX NAME)

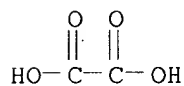
CM 1

CRN 146365-87-9
CMF C17 H21 N5

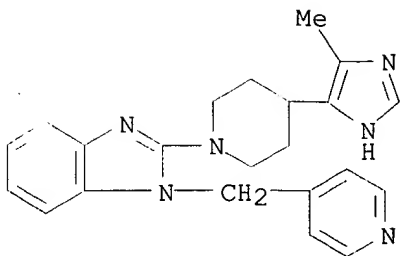


CM 2

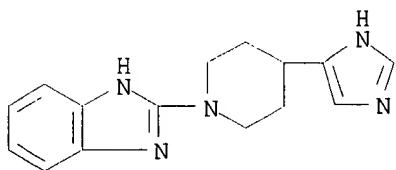
CRN 144-62-7
CMF C2 H2 O4



RN 146365-91-5 CAPLUS
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



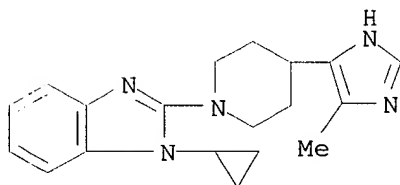
RN 146365-93-7 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 146365-95-9 CAPLUS
CN 1H-Benzimidazole, 1-cyclopropyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

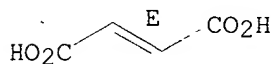
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CMF C19 H23 N5



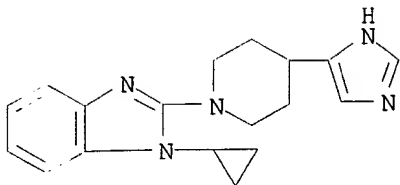
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

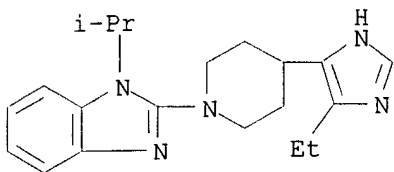


(9CI) (CA INDEX NAME)



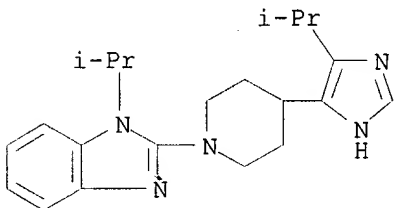
RN 146365-97-1 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-ethyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



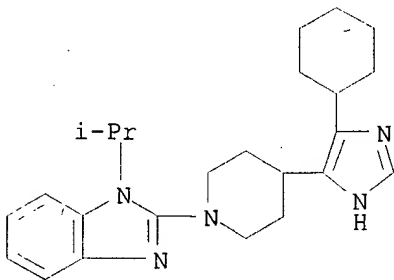
RN 146365-98-2 CAPLUS

CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-[5-(1-methylethyl)-1H-imidazol-4-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



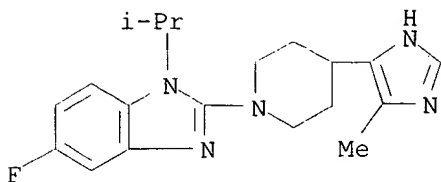
RN 146365-99-3 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-cyclohexyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 146395-69-9 CAPLUS

CN 1H-Benzimidazole, 5-fluoro-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



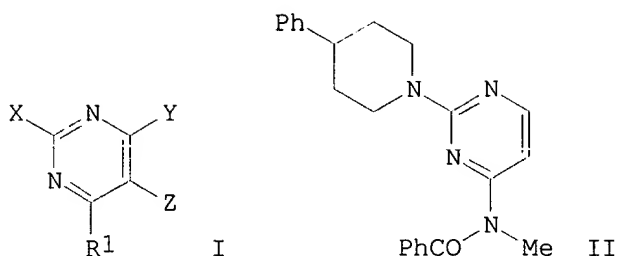
120 ANSWER 43 OF 58 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1991:23983 CAPLUS
 DOCUMENT NUMBER: 114:23983
 TITLE: Preparation of 2-aminopyrimidines as nervous system agents
 INVENTOR(S): Tomino, Ikuo; Takesue, Mitsuyuki; Kihara, Noriaki; Kitahara, Takumi; Awaya, Akira; Horikomi, Kazutoshi; Sasaki, Tadayuki; Mizuchi, Akira
 PATENT ASSIGNEE(S): Mitsui Petrochemical Industries, Ltd., Japan; Mitsui Pharmaceuticals, Inc.
 SOURCE: Eur. Pat. Appl., 154 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 379806	A2	19900801	EP 1989-313595	19891227
EP 379806	A3	19910529		
EP 379806	B1	19960410		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 02221275	A2	19900904	JP 1989-41729	19890223
HU 52769	A2	19900828	HU 1989-6762	19891222
HU 206337	B	19921028		
HU 61288	A2	19921228	HU 1992-1488	19891222
HU 209574	B	19940829		
HU 61293	A2	19921228	HU 1992-1485	19891222
HU 210001	B	19950130		
HU 61313	A2	19921228	HU 1992-1487	19891222
HU 209594	B	19940829		
JP 03014568	A2	19910123	JP 1989-334759	19891226
JP 2744663	B2	19980428		
EP 612746	A1	19940831	EP 1994-105018	19891227
R: DE, FR, GB, IT				
AT 136542	E	19960415	AT 1989-313595	19891227
AU 8947329	A1	19900705	AU 1989-47329	19891228
AU 629595	B2	19921008		
CA 2006944	AA	19900629	CA 1989-2006944	19891229
CN 1045390	A	19900919	CN 1989-109731	19891229
CN 1037513	B	19980225		
US 5147876	A	19920915	US 1989-459376	19891229
US 5264435	A	19931123	US 1992-888726	19920526
CN 1090846	A	19940817	CN 1993-119388	19931021

JP 1989-41729 19890223
 HU 1989-6762 19891222
 EP 1989-313595 19891227
 US 1989-459376 19891229

OTHER SOURCE(S): MARPAT 114:23983

GI



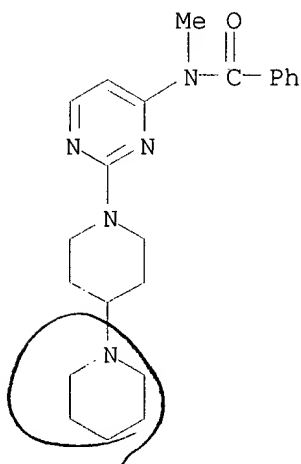
AB The title compds. [I; R1 = H, alkyl; X = morpholino, (substituted) pyrrolidino, piperidino, azepino, piperazino, tetrahydroquinolinyl, tetrahydroisoquinolinyl, etc.; Y = amino, pyridin-4-ylcarbonyl, piperidinyl-N-carbonyl, phenylcarbonyl, benzoyl, phthalimido, etc., CH2R2; R2 = H, alkyl, alkoxy, alkylthio, dialkylamino; Z = H, halo, alkyl, alkoxy, carbonyl], were prepd. Thus MeNH2 in MeOH was added to 2,4-dichloropyrimidine in CH2Cl2 at 5.degree. followed by stirring for 12 h at room temp. to give 2-chloro-4-methylaminopyrimidine. The latter was heated with 4-phenylpiperidine in BuOH at 130.degree. for 1 h to give 4-methylamino-2-(4-phenylpiperidino)pyrimidine. The latter in THF contg. Et3N was treated with PhCOCl in THF and then with pyridine. The mixt. was stirred 2 days to give 70% title compd. II. I increased twitch tension in rats with crushed sciatic nerves from 33.3% of normal (controls) to 48.1-51.2% at 10-30 ng/kg i.p. daily over 30 d.

IT **131038-69-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as nervous system agent)

RN 131038-69-2 CAPLUS

CN Benzamide, N-(2-[1,4'-bipiperidin]-1'-yl-4-pyrimidinyl)-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

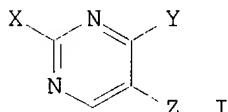


2 HCl

20 ANSWER 44 OF 58 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:515198 CAPLUS
DOCUMENT NUMBER: 111:115198

TITLE: Preparation of pyrimidine derivatives for treatment of neurological disorders
INVENTOR(S): Awaya, Akira; Horikomi, Kazutoshi; Sasaki, Tadayuki; Kobayashi, Hisashi; Mizuchi, Akira; Nakano, Takuo; Tomino, Ikuo; Araki, Shintaro; Takesu, Mitsuyuki; et al.
PATENT ASSIGNEE(S): Mitsui Pharmaceuticals, Inc., Japan; Mitsui Petrochemical Industries, Ltd.
SOURCE: Eur. Pat. Appl., 73 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

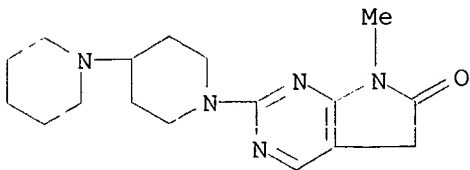
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 305184	A1	19890301	EP 1988-307893	19880825
EP 305184	B1	19940427		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 01139572	A2	19890601	JP 1988-208190	19880824
JP 2628707	B2	19970709		
CA 1336904	A1	19950905	CA 1988-575504	19880824
WO 8901938	A1	19890309	WO 1988-JP845	19880825
W: HU, KR, US				
HU 57211	A2	19911128	HU 1988-5376	19880825
HU 205931	B	19920728		
AT 104980	E	19940515	AT 1988-307893	19880825
CN 1032004	A	19890329	CN 1988-106967	19880826
CN 1025617	B	19940810		
CN 1079742	A	19931222	CN 1993-103112	19930317
PRIORITY APPLN. INFO.:			JP 1987-210170	19870826
			EP 1988-307893	19880825
			CN 1988-106967	19880826
OTHER SOURCE(S):	MARPAT 111:115198			
GI				



AB Title compds. I {X = R₁R₂N [R₁ = H, alkyl; R₂ = PhCH₂CH₂, cyclohexyl, PhCH₂, etc.; R₁R₂N = heterocyclyl (nine structures are given)], R₄S (R₄ = alkyl); Y = (mono- or dialkyl-substituted) amino; Z = alkoxycarbonylmethyl, alkoxycarbonyl; YZ = NR₅COCH₂ [R₅ = (alkoxy-substituted)alkyl], CH₂NR₆COCH₂ (R₆ = alkyl)} are prepd. Treatment of I (X = Me₂CHNH, Y = OH, Z = CH₂CO₂Et) with POCl₃ gave 74% I (Y = Cl), which in EtOH was autoclaved with 40% MeNH₂/MeOH at 120.degree. to afford 35% I (X = Me₂CHNH, YZ = NMeCOCH₂). A HCl salt of the latter at 30 mM showed 30.5 +/- 0.3% (no. of cells having neurites with a length at least two times the diam. of cells/total no. of cells) in mouse neuro-2a cells, vs. 28.5 +/- 3.0% for 10 mM isaxonine and 2.5 +/- 0.7% for

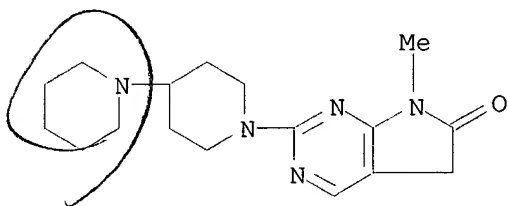
122112-92-9P 122113-24-0P
IT RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for treatment of central and peripheral nerve disorders)
RN 122112-92-9 CAPLUS

CN 6H-Pyrrolo[2,3-d]pyrimidin-6-one, 2-[1,4'-bipiperidin]-1'-yl-5,7-dihydro-7-methyl- (9CI) (CA INDEX NAME)



RN 122113-24-0 CAPLUS

CN 6H-Pyrrolo[2,3-d]pyrimidin-6-one, 2-[1,4'-bipiperidin]-1'-yl-5,7-dihydro-7-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

~~L20~~ ANSWER 45 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:437821 CAPLUS

DOCUMENT NUMBER: 109:37821

TITLE: Preparation of 4-[(bicyclic heterocyclyl)methyl]piperidines and analogs as antihistaminics

INVENTOR(S): Janssens, Frans E.; Kennis, Ludo E. J.; Hens, Jozef F.; Torremans, Joseph L. G.; Diels, Gaston S. M.

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.

SOURCE: U.S., 59 pp. Cont.-in-part of U.S. Ser. No. 571,135, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

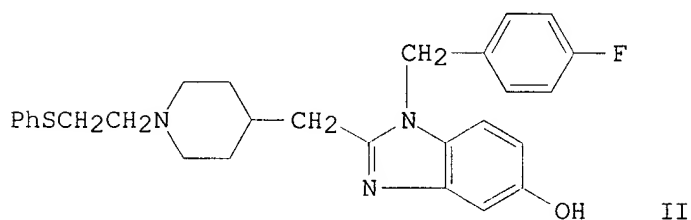
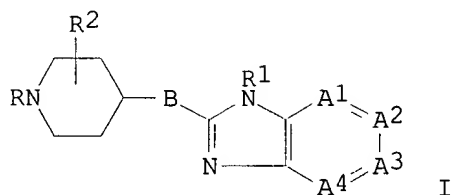
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4695575	A	19870922	US 1985-747754	19850624
ES 539281	A1	19870616	ES 1984-539281	19841231
AU 8537364	A1	19850912	AU 1985-37364	19850107
AU 573673	B2	19880616		
CA 1259609	A1	19890919	CA 1985-471589	19850107
FI 8500079	A	19850710	FI 1985-79	19850108
FI 83867	B	19910531		
FI 83867	C	19910910		
NO 8500085	A	19850710	NO 1985-85	19850108
NO 160849	B	19890227		
NO 160849	C	19890607		
DK 8500089	A	19850710	DK 1985-89	19850108
JP 60185777	A2	19850921	JP 1985-479	19850108

JP 07068240	B4	19950726		
HU 36471	A2	19850930	HU 1985-61	19850108
HU 200338	B	19900528		
ZA 8500187	A	19860827	ZA 1985-187	19850108
RO 90622	B3	19861210	RO 1985-117252	19850108
SU 1396964	A3	19880515	SU 1985-3836858	19850108
IL 74018	A1	19880831	IL 1985-74018	19850108
PL 145710	B1	19881031	PL 1985-251488	19850109
US 4839374	A	19890613	US 1987-94987	19870910
PRIORITY APPLN. INFO.:			US 1984-569369	19840109
			US 1984-671135	19841113
			US 1985-747754	19850624
OTHER SOURCE(S):	CASREACT 109:37821			
GI				



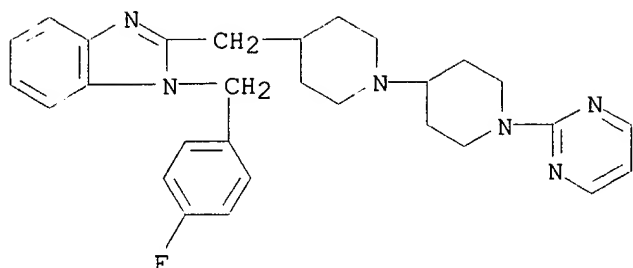
AB The title compds. [I; 3 of A1-A4 = (un)substituted CH, the 4th = N, (un)substituted CH; B = CH₂, O, SO, SO₂; R = substituted C1-6 alkyl, alkoxy, alkylthio, amino, pyrrolidinyl, piperidinyl, hexahydroazepinyl, etc.; R₁ = H, alkyl, cycloalkyl, (un)substituted aryl, heteroaryl, (hetero)aralkyl; R₂ = H, alkyl] and their stereoisomers and acid salts were prepd. as antihistaminics and serotonin antagonists. 1-[(4-Fluorophenyl)methyl]-2-(4-piperidinylmethyl)-1H-benzimidazol-5-ol and PhSCH₂CH₂Br were refluxed 2 h in Me₂CHCH₂COMe contg. Na₂CO₃ to give 27.8% benzimidazole deriv. (II). I inhibited compd. 48/80-induced lethality in rats, caused by histamine release, with ED₅₀ of 0.005-0.16 mg/kg s.c. or orally. I also inhibited gastric lesions caused by simultaneous release of serotonin.

IT **99961-67-8P 100015-27-8P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of, as antihistaminic)

RN 99961-67-8 CAPLUS

CN 1H-Benzimidazole, 1-[(4-fluorophenyl)methyl]-2-[[1'-(2-pyrimidinyl)[1,4'-bipiperidin]-4-yl]methyl]-, ethanedioate (9CI) (CA INDEX NAME)

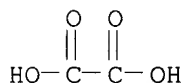
CRN 99961-66-7
 CMF C29 H33 F N6



CM 2

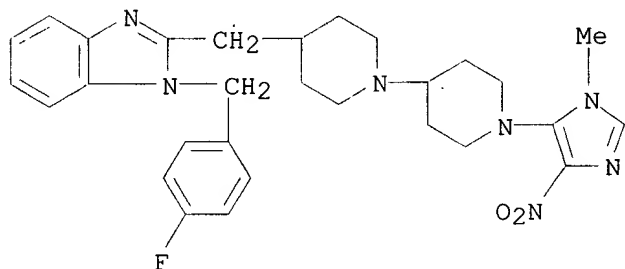
CRN 144-62-7

CMF C2 H2 O4



RN 100015-27-8 CAPLUS

CN 1H-Benzimidazole, 1-[(4-fluorophenyl)methyl]-2-[[1'-(1-methyl-4-nitro-1H-imidazol-5-yl)[1,4'-bipiperidin]-4-yl]methyl]- (9CI) (CA INDEX NAME)



20 ANSWER 46 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1986:68861 CAPLUS

DOCUMENT NUMBER: 104:68861

TITLE: (Piperidinylmethyl)- and (piperidinyloxy)benzimidazole
s and -imidazopyridinesINVENTOR(S): Janssens, Frans Eduard; Kennis, Ludo Edmond Josephine;
Hens, Jozef Francis; Torremans, Joseph Leo G.; Diels,
Gaston Stanislas M.

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.

SOURCE: Eur. Pat. Appl., 140 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

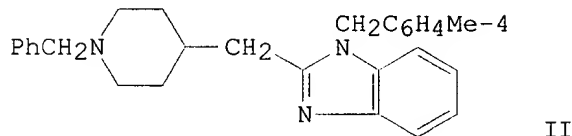
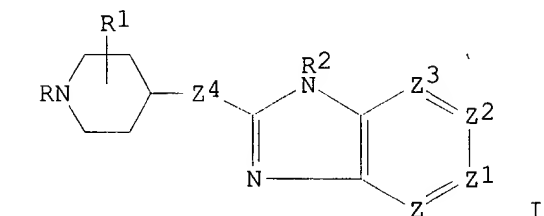
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 151826	A1	19850821	EP 1984-201851	19841213
EP 151826	B1	19930331		

R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE

AT 87626	E	19930415	AT 1984-201851	19841213
ES 539281	A1	19870616	ES 1984-539281	19841231
AU 8537364	A1	19850912	AU 1985-37364	19850107
AU 573673	B2	19880616		
CA 1259609	A1	19890919	CA 1985-471589	19850107
FI 8500079	A	19850710	FI 1985-79	19850108
FI 83867	B	19910531		
FI 83867	C	19910910		
NO 8500085	A	19850710	NO 1985-85	19850108
NO 160849	B	19890227		
NO 160849	C	19890607		
DK 8500089	A	19850710	DK 1985-89	19850108
JP 60185777	A2	19850921	JP 1985-479	19850108
JP 07068240	B4	19950726		
HU 36471	A2	19850930	HU 1985-61	19850108
HU 200338	B	19900528		
ZA 8500187	A	19860827	ZA 1985-187	19850108
RO 90622	B3	19861210	RO 1985-117252	19850108
SU 1396964	A3	19880515	SU 1985-3836858	19850108
IL 74018	A1	19880831	IL 1985-74018	19850108
PL 145710	B1	19881031	PL 1985-251488	19850109
PRIORITY APPLN. INFO.:			US 1984-569369	19840109
			US 1984-671135	19841113
			EP 1984-201851	19841213

GI



AB The title compds. I (Z-Z3 = CH, or one of Z-Z3 is N and the remainder are CH; Z4 = CH2, O, S, SO, SO2; R = alkyl, aryl-, heteroaryl-, acyl-, hydroxy-, aryloxy, heteroaryloxy-, alkoxy-, arylthio-, carbonyl-, carboalkoxy-, cyano-, amino-, ureido-, thioureido-, or guanidinoalkyl, cycloalkyl, alkenyl, arylalkenyl; R1 = H, alkyl; R2 = H, alkyl, cycloalkyl, aryl, heteroaryl, aryl- or heteroarylalkyl), which were prep'd., exhibited antihistaminic activity. Thus, a mixt. of 2-(4-MeC6H4CH2NH)C6H4NH2 and Et 1-benzyl-4-piperidineacetimidate hydrochloride in MeOH was refluxed and NH3 was added to give benzimidazole II.

IT 99961-67-8P 100015-27-8P

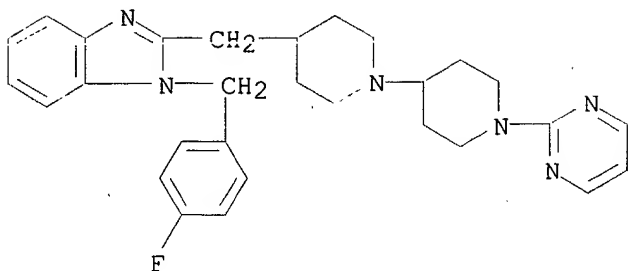
RL: BAC (Biological activity or effector, except adverse); PSU (Pharmacological study); PREP (Preparation)

(prepn. and antihistaminic activity of)

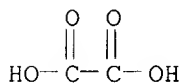
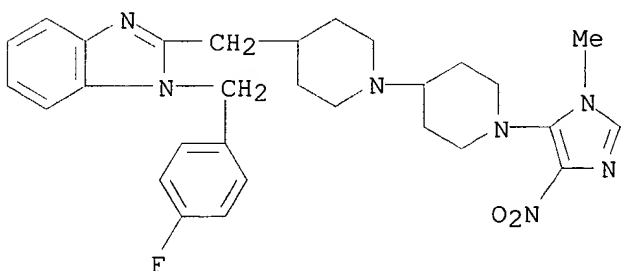
RN 99961-67-8 CAPLUS

CN 1H-Benzimidazole, 1-[(4-fluorophenyl)methyl]-2-[[1'-(2-pyrimidinyl)[1,4'-bipiperidin]-4-yl]methyl]-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 99961-66-7
CMF C29 H33 F N6

CM 2

CRN 144-62-7
CMF C2 H2 O4RN 100015-27-8 CAPLUS
CN 1H-Benzimidazole, 1-[(4-fluorophenyl)methyl]-2-[[1'-(1-methyl-4-nitro-1H-imidazol-5-yl)[1,4'-bipiperidin]-4-yl]methyl]- (9CI) (CA INDEX NAME)

120 ANSWER 47 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1985:615287 CAPLUS

DOCUMENT NUMBER: 103:215287

TITLE: Five membered heterocyclic ring containing N-(bicyclic heterocycllyl)-4-piperidinamines

INVENTOR(S): Janssens, Frans Eduard; Torremans, Joseph Leo
Ghislanus; Hens, Jozef Francis; Van Offenwert,
Theophilus Theresia

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.

SOURCE: Eur. Pat. Appl., 76 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 145037	A2	19850619	EP 1984-201326	19840914
EP 145037	A3	19850710		
EP 145037	B1	19890118		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4634704	A	19870106	US 1984-625343	19840627
CA 1247614	A1	19881227	CA 1984-462540	19840906
AT 40130	E	19890215	AT 1984-201326	19840914
IL 73118	A1	19880331	IL 1984-73118	19840930
RO 90457	B3	19861210	RO 1984-115894	19841004
FI 8403934	A	19850407	FI 1984-3934	19841005
FI 81797	B	19900831		
FI 81797	C	19901210		
DK 8404784	A	19850407	DK 1984-4784	19841005
DK 163239	B	19920210		
DK 163239	C	19920629		
NO 8404009	A	19850409	NO 1984-4009	19841005
NO 160441	B	19890109		
NO 160441	C	19890419		
AU 8433872	A1	19850418	AU 1984-33872	19841005
AU 565884	B2	19871001		
ES 536590	A1	19851116	ES 1984-536590	19841005
JP 61010577	A2	19860118	JP 1984-208394	19841005
JP 07098818	B4	19951025		
ZA 8407847	A	19860528	ZA 1984-7847	19841005
HU 38629	A2	19860630	HU 1984-3771	19841005
HU 207514	B	19930428		
SU 1440346	A3	19881123	SU 1984-3796140	19841005
PL 146228	B1	19890131	PL 1984-249916	19841005
PRIORITY APPLN. INFO.:			US 1983-539597	19831006
			US 1984-625343	19840627
			EP 1984-201326	19840914

OTHER SOURCE(S): CASREACT 103:215287

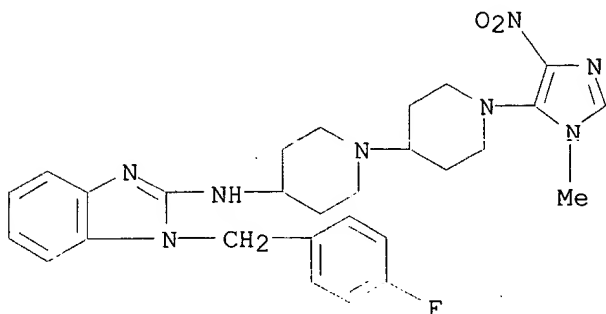
GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R = H, alkyl; R1 = H, alkyl, thienyl, halothienyl, pyrazinyl, thiazolyl, alkylthiazolyl, imidazolyl, alkylimidazolyl, (un)substituted Ph, alkyl substituted by 1 or 2 of these arom. groups; R2 = H, alkyl, cycloalkyl, alkanoyl, alkoxy carbonyl, (un)substituted Ph; R3 = R4(CH2)nZ1, R4(CH2)nZ2C(X1)Z1, Q; R4 = 5-membered heterocyclyl contg. .gtoreq.1 N atoms, optionally fused to a C6H6 ring; X = (un)substituted CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CHCH:N; X1 = O, S, O2NCH, R5N; R5 = H, alkyl, cyano, NO2, acyl; Z = O, S, R6 N, bond; R6 = H, alkyl, amino, acyl; Z1 = alkylene; Z2 = O, S, R7N, bond; R7 = H, alkyl; n = 0-6; m = 0-2] were prep'd. Thus, N-(2-nitrophenyl)-2-furanmethanamine was hydrogenated and the diamine condensed with Et 4-isothiocyanato-1-piperidinecarboxylate to give thiourea deriv. II. This was cyclized to a benzimidazole deriv. by heating with HgO and S in EtOH, decarboxylated by heating in aq. HBr, and N-alkylated with 4-(chloromethyl)-5-methyl-1H-imidazole-HCl to give benzimidazolamine III. The antihistaminic properties of I were demonstrated in rats, where I inhibited the lethality of comp'd. 48/80 with ED50 0.005-1.25 mg/kg s.c. or orally, and inhibit gastric lesions in rats caused by the same agent with ED50 0.04-1.25 mg/kg s.c.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antihistaminic activity of)

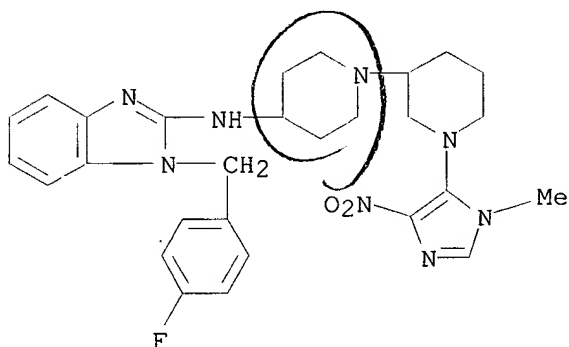
RN 99137-46-9 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1'-(1-methyl-4-nitro-1H-imidazol-5-yl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



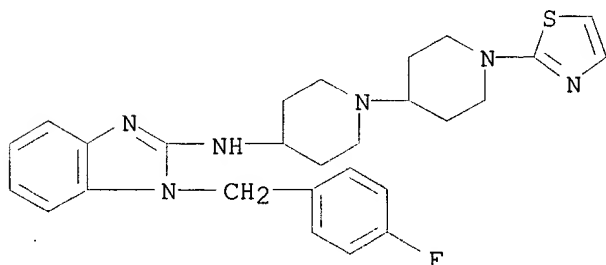
RN 99137-47-0 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1'-(1-methyl-4-nitro-1H-imidazol-5-yl)[1,3'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



RN 99138-08-6 CAPLUS

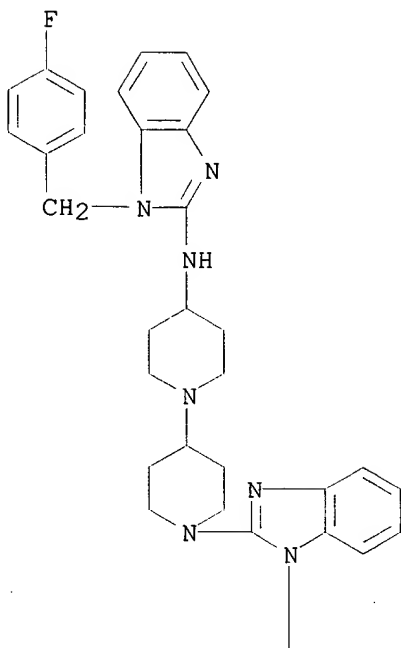
CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1'-(2-thiazolyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



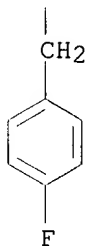
RN 99138-30-4 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1'-[1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl][1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)

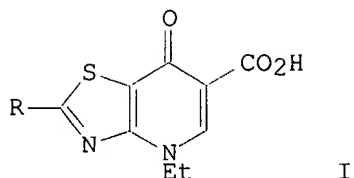
PAGE 1-A



PAGE 2-A



120 ANSWER 48 OF 58 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:62135 CAPLUS
DOCUMENT NUMBER: 102:62135
TITLE: Thiazolopyridine analogs of nalidixic acid. 2.
Thiazolo[4,5-b]pyridines
AUTHOR(S): Leysen, D. C.; Haemers, A.; Bollaert, W.
CORPORATE SOURCE: Dep. Pharm. Sci., Univ. Antwerp, Wilrijk, B-2610,
Belg.
SOURCE: Journal of Heterocyclic Chemistry (1984), 21(5),
1361-6
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal



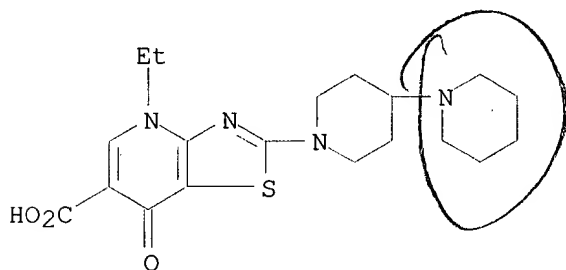
AB Title compds. I (R = pyrrolidino, piperidino, piperazino, morpholino, etc.) were prepd. from NCN:C(SK)SMe and ClCH₂COCH₂CO₂Et. I showed poor in vitro bactericidal activity.

IT 94507-60-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)

RN 94507-60-5 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 2-[1,4'-bipiperidin]-1'-yl-4-ethyl-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)



~~180~~ ANSWER 49 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1984:510801 CAPLUS

DOCUMENT NUMBER: 101:110801

TITLE: Thiazolopyridine analogs of nalidixic acid. 1.
Thiazolo[5,4-b]pyridines

AUTHOR(S): Leysen, D. C.; Haemers, A.; Bollaert, W.

CORPORATE SOURCE: Dep. Pharm. Sci., Univ. Antwerp, Wilrijk, B-2610, Belg.

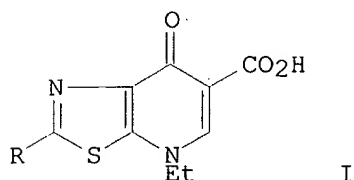
SOURCE: Journal of Heterocyclic Chemistry (1984), 21(2), 401-6
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 101:110801

GI



AB Thiazolopyridinecarboxylates I [R = pyrrolidino, piperidino, 4-methylpiperidino, morpholino, (un)substituted piperazino] were prepd.

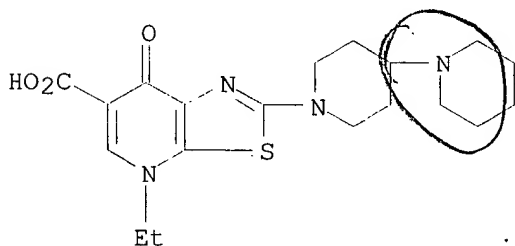
from the amine and I (R = MeS), which was prepd. in 8 steps from H₂NCH₂CN.HCl. None of the amino derivs. showed any antibacterial activity in vitro; only I (R = MeS) was slightly active.

IT 91478-67-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)

RN 91478-67-0 CAPLUS

CN Thiazolo[5,4-b]pyridine-6-carboxylic acid, 2-[1,4'-bipiperidin]-1'-yl-4-ethyl-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)



20 ANSWER 50 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1984:423473 CAPLUS

DOCUMENT NUMBER: 101:23473

TITLE: N-(Bicyclic heterocyclyl)-4-piperidinamines

INVENTOR(S): Janssens, Frans Eduard; Torremans, Joseph Leo
Ghislanus; Hens, Jozef Francis; Van Offenwert,
Theophilus Theresia J. M.

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.

SOURCE: Eur. Pat. Appl., 87 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 99139	A2	19840125	EP 1983-200832	19830608
EP 99139	A3	19840222		
EP 99139	B1	19870211		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4556660	A	19851203	US 1983-487774	19830422
IN 156065	A	19850504	IN 1983-CA599	19830512
CA 1266267	A1	19900227	CA 1983-429869	19830607
AT 25459	E	19870215	AT 1983-200832	19830608
SU 1297728	A3	19870315	SU 1983-3608869	19830627
FI 8302521	A	19840113	FI 1983-2521	19830711
FI 78480	B	19890428		
FI 78480	C	19890810		
DK 8303185	A	19840113	DK 1983-3185	19830711
NO 8302524	A	19840113	NO 1983-2524	19830711
NO 160850	B	19890227		
HU 32108	O	19840628	HU 1983-2471	19830711
HU 203550	B	19910828		
AU 8316728	A1	19850117	AU 1983-16728	19830711
AU 563363	B2	19870709		
ZA 8305044	A	19850227	ZA 1983-5044	19830711

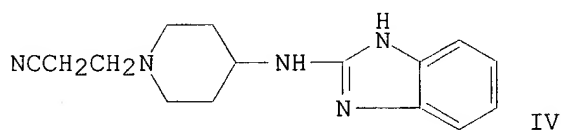
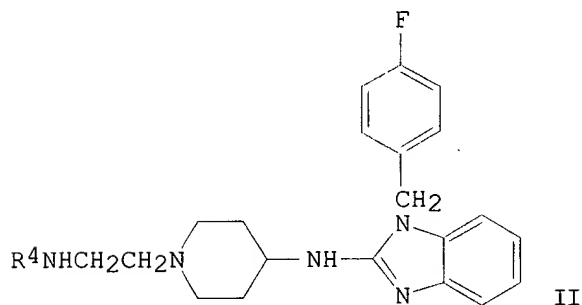
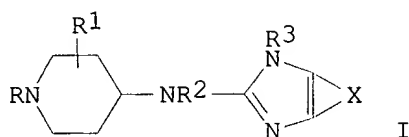
RO 87533	B3	19851031	RO 1983-111600	19830711
ES 524029	A1	19851116	ES 1983-524029	19830711
IL 69198	A1	19870130	IL 1983-69198	19830711
PL 147092	B1	19890429	PL 1983-242970	19830712
US 4760074	A	19880726	US 1985-800587	19851121
US 4820822	A	19890411	US 1987-115272	19871102
US 33833	E	19920225	US 1990-619558	19901129

PRIORITY APPLN. INFO.:

US 1982-397626	19820712
US 1983-487774	19830422
EP 1983-200832	19830608
US 1985-800587	19851121
US 1987-115272	19871102

OTHER SOURCE(S): CASREACT 101:23473

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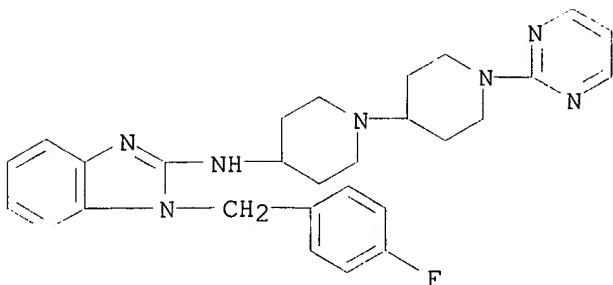
AB About 100 antihistaminic title compds. I [R = substituted piperidinyl, substituted alkyl; R1 = H, alkyl; R2 = H, alkyl, cycloalkyl, acyl, aralkyl; R3 = H, (un)substituted alkyl, cycloalkyl, aryl; X = CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N] were prepd. Thus N-piperidinylbenzimidazolamine II (R4 = 2-pyrimidinyl) (III) was prepd. from 2-chloropyrimidine and II (R4 = H), which was prepd. from N-piperidinylbenzimidazolamine IV. III had an ED50 of 0.63 mg/kg s.c. against stomach lesions induced by vasoactive amines in rats.

IT 90517-08-1P 90517-12-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antihistamine activity of)

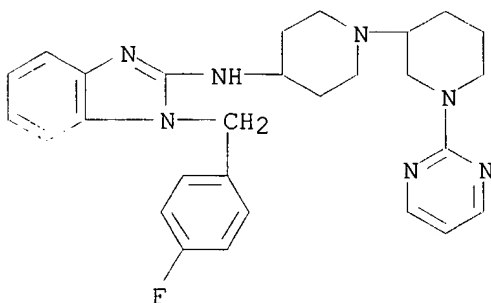
RN 90517-08-1 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1'-(2-pyrimidinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



RN 90517-12-7 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1'-(2-pyrimidinyl)[1,3'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



120 ANSWER 51 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1983:107258 CAPLUS

DOCUMENT NUMBER:

98:107258

TITLE:

Preparation of 3-amino-1,2,4-benzothiadiazine 1-oxides

AUTHOR(S):

Ross, Donn D.; Lednicer, Daniel

CORPORATE SOURCE:

Adria Lab., Columbus, OH, 43216, USA

SOURCE:

Journal of Heterocyclic Chemistry (1982), 19(4), 975-6

CODEN: JHTCAD; ISSN: 0022-152X

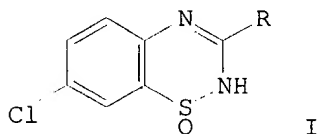
DOCUMENT TYPE:

Journal

LANGUAGE:

English

GI



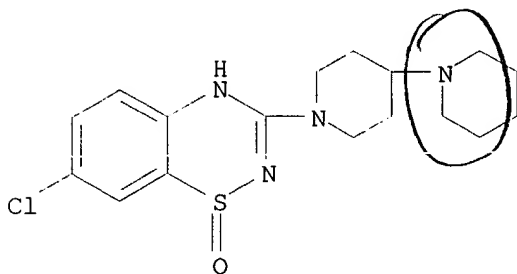
AB Sixteen title compds. I (R = 4-benzylpiperazino, 4-methylpiperazino,

(R = MeS) with amines. I were evaluated as antihypertensive activity and found to be inactive.

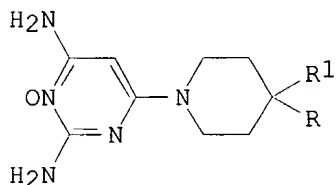
IT 83794-72-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

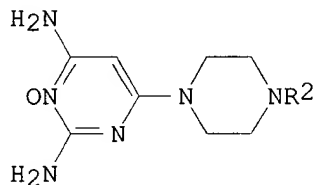
RN 83794-72-3 CAPLUS
CN 2H-1,2,4-Benzothiadiazine, 3-[1,4'-bipiperidin]-1'-yl-7-chloro-, 1-oxide
(9CI) (CA INDEX NAME)



120 ANSWER 52 OF 58 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:598165 CAPLUS
DOCUMENT NUMBER: 97:198165
TITLE: 2,4-Diamino-6-piperidinyl- and 6-piperazinylpyrimidine
3-oxides, new analogs of minoxidil
AUTHOR(S): Catto, A.; Lo Verde, G.; Luca, C.; Graziani, G.;
Nardi, D.; Casadio, S.
CORPORATE SOURCE: Div. Ric., Recordati S.p.A., Milan, Italy
SOURCE: Bollettino Chimico Farmaceutico (1982), 121(1), 16-26
CODEN: BCFAAI; ISSN: 0006-6648
DOCUMENT TYPE: Journal
LANGUAGE: Italian
OTHER SOURCE(S): CASREACT 97:198165
GI

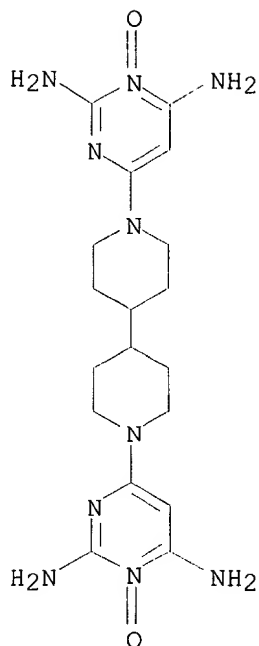


I

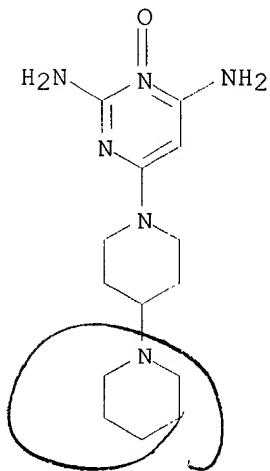


II

AB Title compds. [I; R = Ph, 1-substituted 4-piperidinyl, 1-piperidinyl, CONH2, PhCONH; R1 = OH, H; and RR1 = (CH2)5, SCH2CH2S, OZO (Z = linear or branched alkylene)] and (II; R2 = H, Me, substituted pyrimidinyl, 2-MeOC6H4, 3-ClC6H4, 2-pyridyl) were prep'd. from 6-chloro-2,4-pyrimidinediamine 3-oxide (III) and III.cntdot.3-ClC6H4CO2H; I and II exhibited antihypertensive activity. III was treated with 4-phenyl-4-piperidinol to give I (R = Ph, R1 = OH).
IT **83540-14-1P 83540-15-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antihypertensive activity of)
RN 83540-14-1 CAPLUS
CN 2,4-Pyrimidinediamine, 6,6'-[4,4'-bipiperidine]-1,1'-diylbis-, 3,3'-dioxide (9CI) (CA INDEX NAME)



RN 83540-15-2 CAPLUS

CN 2,4-Pyrimidinediamine, 6-[1,4'-bipiperidin]-1'-yl-, 3-oxide,
dihydrochloride (9CI) (CA INDEX NAME)

2 HCl

L70 ANSWER 53 OF 58 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:34951 CAPLUSAUTHOR(S):
CORPORATE SOURCE:antagonists as antibacterial agents. I
Coats, Eugene A.; Genther, Clara S.; Smith, Carl C.
Coll. Pharm., Univ. Cincinnati, Cincinnati, OH, 45267,
USA
European Journal of Medicinal Chemistry (1979), 14(3),

SOURCE:

Searched by Barb O'Bryen, STIC 308-4291

261-70

CODEN: EJMCA5; ISSN: 0009-4374

DOCUMENT TYPE:

Journal

LANGUAGE:

English

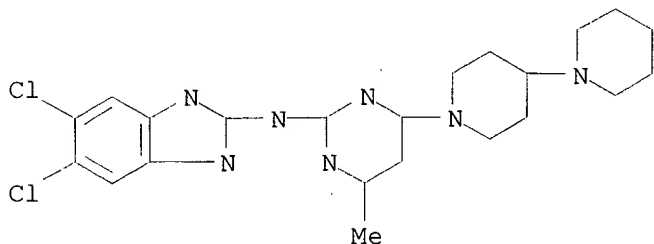
AB The activities of 175 pyrimidines as inhibitors of *Streptococcus faecium*, *Lactobacillus casei*, and *Pediococcus cerevisiae* are reported. In addn., the mode of action according to the ability of folic acid [59-30-3] or folinic acid [58-05-9] to reverse the inhibitory effect of the pyrimidines was detd. The 2,4-diamino substituent pattern appeared to be the dominant but not the sole factor controlling mode of action. Quant. structure-activity relations using regression anal., substituent consts., and indicator variables were developed in an effort to delineate influences on potency and to quant. differences between the test systems. Although arom. and(or) lipophilic substituents at the 5 position of 2,4-diaminopyrimidines enhanced folate reversible inhibition against all 3 systems the derived equations quant. establish differences in and limitations on the extent of this effect.

IT 42389-09-3 71523-75-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal activity of, structure in relation to)

RN 42389-09-3 CAPLUS

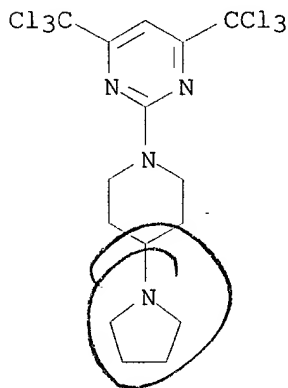
CN 1H-Benzimidazol-2-amine, N-(6-[1,4'-bipiperidin]-1'-yl-4-methyl-2-pyrimidinyl)-5,6-dichloro- (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

RN 71523-75-6 CAPLUS

CN Pyrimidine, 2-[4-(1-pyrrolidinyl)-1-piperidinyl]-4,6-bis(trichloromethyl)- (9CI) (CA INDEX NAME)



L80 ANSWER 54 OF 58 CAPLUS COPYRIGHT 2003 ACS

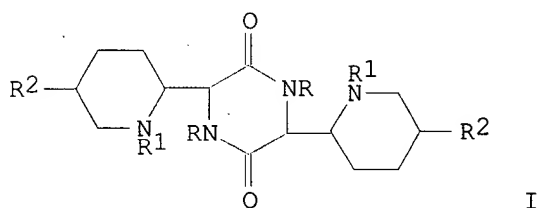
ACCESSION NUMBER: 1977:190009 CAPLUS

DOCUMENT NUMBER: 86:190009

TITLE: 3,6-Bis(2-piperidinyl)-2,5-piperazinedione compounds

INVENTOR(S): Shen, Tsung-Ying; Jensen, Norman P.; Wagner, Arthur F.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 12 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4007190	A	19770208	US 1974-481622	19740621
US 3840542	A	19741008	US 1971-201956	19711124
SE 384863	B	19760524	SE 1972-14394	19721107
NL 7215109	A	19730528	NL 1972-15109	19721108
GB 1392249	A	19750430	GB 1972-53439	19721120
FR 2161025	A1	19730706	FR 1972-41712	19721123
JP 48062773	A2	19730901	JP 1972-117284	19721124
PRIORITY APPLN. INFO.: GI			US 1971-201956	19711124



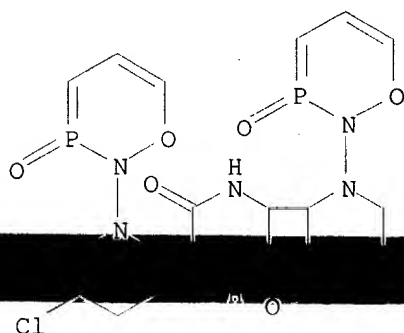
AB Piperazinediones I (R = H, CF₃CO, Me, NO; R₁ = H, SC₆H₄NO₂-2, COCH₂Cl, COCH₂NH₂, 2-oxotetrahydro-1,3,2-oxazaphosphorinan-2-yl, Me, CH₂Ph, CH₂O₂CCMe₃, morpholinomethyl, CF₃CO, CO₂CH₂CCl₃, NO, CH₂CH₂OH, CH₂CH₂Cl; R₂ = OH, Cl, SO₃Me, SH, Br, O₃SC₆H₄Me-4) and some related compds. were prepd. from I (R = R₁ = H, R₂ = Cl), obtained by fermentation of *Streptomyces griseoluteus*. I had antitumor activity against human epidermoid carcinoma in embryonated eggs.

IT **62730-64-7P**

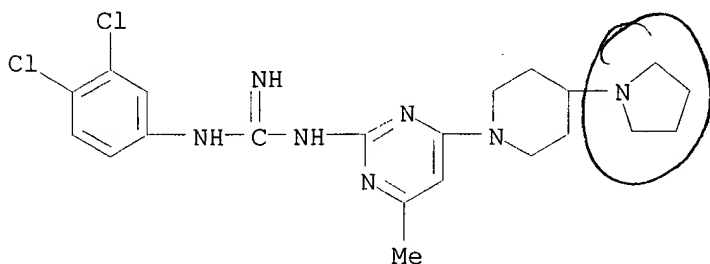
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 62730-64-7 CAPLUS

CN 2,5-Piperazinedione, 3,6-bis[5-chloro-1-(3-oxido-2H-1,2,3-oxazaphosphorin-2-yl)-2-piperidinyl]- (9CI) (CA INDEX NAME)

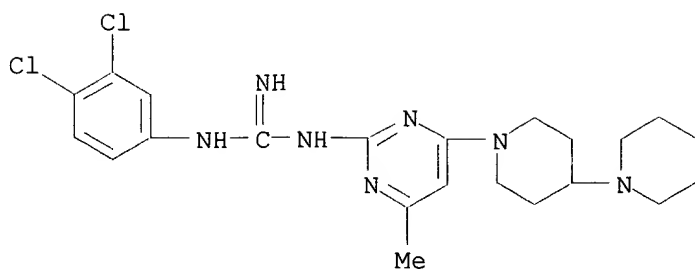


ACCESSION NUMBER: 1974:103776 CAPLUS
DOCUMENT NUMBER: 80:103776
TITLE: Antimalarial drugs. 35. Synthesis and antimalarial effects of 1-(3,4-dichlorophenyl)-3-[4-[(1-ethyl-3-piperidyl)amino]-6-methyl-2-pyrimidinyl]guanidine and related substances
AUTHOR(S): Elslager, Edward F.; Werbel, Leslie M.; Curry, Ann; Headen, Nancy; Johnson, Judith
CORPORATE SOURCE: Res. Dev. Div., Parke, Davis and Co., Ann Arbor, MI, USA
SOURCE: Journal of Medicinal Chemistry (1974), 17(1), 75-100
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Structure-antimalarial activity of 1-(3,4-dichlorophenyl)-3-[4-[(1-ethyl-3-piperidyl)amino]-6-methyl-2-pyrimidinyl]guanidine (I) [21062-28-2] and 120 analogs prepd. by condensation of the aryl(4-chloro-6-methyl-2-pyrimidinyl)guanidine derivs. with the appropriate polyamines is given. Curative activity against Plasmodium berghei infection in mice was shown by 90 compds. in single s.c. doses of 20-640 mg/kg. While 62 compds showed suppressive activity after oral administration, 46 of them were 2-30 times as potent as quinine-HCl [130-89-2]. Strong suppressive activity against P. gallinaceum in chicks was shown by 59 compds.
IT 51387-08-7P 51387-10-1P 51387-56-5P
51387-64-5P 51387-69-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antimalarial activity of)
RN 51387-08-7 CAPLUS
CN Guanidine, N-(3,4-dichlorophenyl)-N'-[4-methyl-6-[4-(1-pyrrolidinyl)-1-piperidinyl]-2-pyrimidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



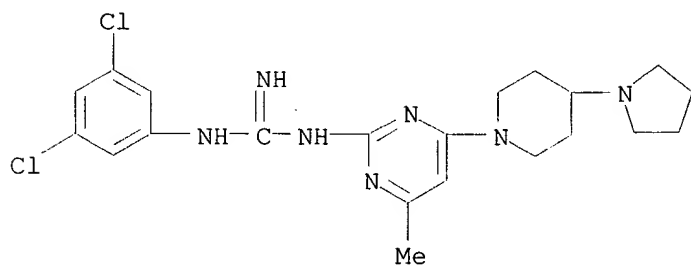
● 2 HCl

RN 51387-10-1 CAPLUS
CN Guanidine, N-(4-[1,4'-bipiperidin]-1'-yl-6-methyl-2-pyrimidinyl)-N'-(3,4-dichlorophenyl)-, dihydrochloride (9CI) (CA INDEX NAME)



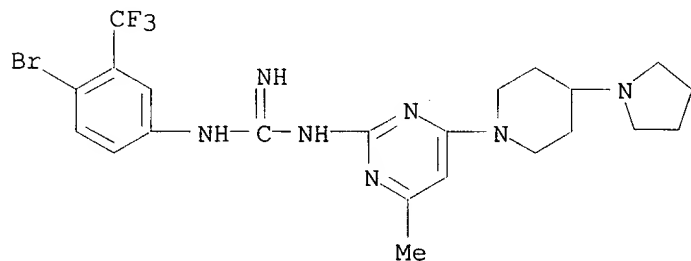
● 2 HCl

RN 51387-56-5 CAPLUS
CN Guanidine, N-(3,5-dichlorophenyl)-N'-[4-methyl-6-[4-(1-pyrrolidinyl)-1-piperidinyl]-2-pyrimidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

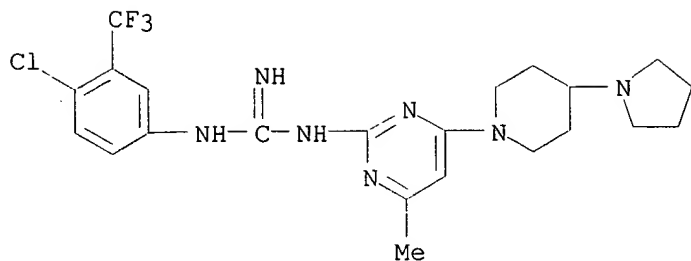


● 2 HCl

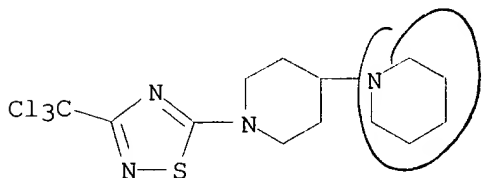
RN 51387-64-5 CAPLUS
CN Guanidine, N-[4-bromo-3-(trifluoromethyl)phenyl]-N'-[4-methyl-6-[4-(1-pyrrolidinyl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 51387-69-0 CAPLUS
CN Guanidine, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[4-methyl-6-[4-(1-pyrrolidinyl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



220 ANSWER 56 OF 58 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1973:526409 CAPLUS
 DOCUMENT NUMBER: 79:126409
 TITLE: Antimalarial drugs. 34. Synthesis of 5,5'-[[3-(dimethylamino)propyl]imino]bis[3-(trichloromethyl)-1,2,4-thiadiazole] and related thiadiazoles as antimalarial agents
 AUTHOR(S): Elslager, Edward F.; Johnson, Judith; Werbel, Leslie M.
 CORPORATE SOURCE: Res. Dev. Div., Parke, Davis and Co., Ann Arbor, MI, USA
 SOURCE: Journal of Heterocyclic Chemistry (1973), 10(4), 611-22
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB The condensation of 5-chloro-3-(trichloromethyl)-1,2,4-thiadiazole with N,N-dimethyl-1,3-propanediamine gave 5-[[3-(dimethylamino)propyl]-amino]-3-(trichloromethyl)-1,2,4-thiadiazole and 5,5'-[[3-(dimethylamino)propyl]imino]bis[3-(trichloromethyl)-1,2,4-thiadiazole] (I), together with 5,5'-[[3-methyl[3-(trichloromethyl)-1,2,4-thiadiazole-5-yl]amino]propyl]imino]bis[3-(trichloromethyl)-1,2,4-thiadiazole] which was formed by a displacement of the distal methyl group of I. The antimalarial activity of I prompted the prepn. of 5-amino-3-(trichloromethyl, methyl, and 3,4-dichlorophenyl)-1,2,4-thiadiazoles and 5,5'-[[3-(dialkylamino)alkyl]imino]bis[3-(trichloromethyl, methyl, and 3,4-dichlorophenyl)-1,2,4-thiadiazoles] from an amine and the requisite 5-chloro-3-substituted-1,2,4-thiadiazoles, which were prepd. from the appropriate amidine and trichloromethylsulfenyl chloride. 5-[3-[(Diethylamino)methyl]-p-anisidino]-3-(trichloromethyl)-1,2,4-thiadiazole was active against a chloroquine-resistant line of Plasmodium berghei in the mouse. Structure-activity relations against P. berghei in mice and P. gallinaceum in chicks are discussed.
 IT **50350-57-7P**
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 RN 50350-57-7 CAPLUS
 CN 1,4'-Bipiperidine, 1'-[3-(trichloromethyl)-1,2,4-thiadiazol-5-yl]- (9CI) (CA INDEX NAME)



ANSWER 57 OF 58 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1973:478734 CAPLUS

DOCUMENT NUMBER: 79:78734

TITLE: Synthesis and antimalarial effects of
5,6-dichloro-2-[[4-[[4-(diethylamino)-1-
methylbutyl]amino]-6-methyl-2-
pyrimidinyl]amino]benzimidazole and related

AUTHOR(S): Werbel, Leslie M.; Curry, Ann; Elslager, Edward F.;
Hess, Carolyn

CORPORATE SOURCE: Res. Dev. Div., Parke, Davis and Co., Ann Arbor, MI,
USA

SOURCE: Journal of Heterocyclic Chemistry (1973), 10(3),
363-82

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

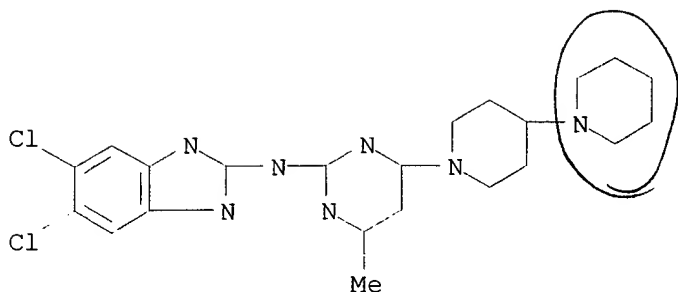
AB Fifty-five 2-[[4-[[[(dialkylamino)alkyl]amino] - 6 - methyl - 2 -
pyrimidinyl]amino]benzimidazoles were prepd. in 3-88% yields by the
condensation of the requisite 2-[(2-benzimidazolyl)amino]-4-chloro-6-
methylpyrimidine with the appropriate polyamine in EtOH-HCl or neat with
excess amine contg. KI. The 2-[(2-benzimidazolyl)amino]-6-methyl-4-
pyrimidinol precursors, obtained in 11-51% yields by cyclization of
2-(cyanoamino)-4-hydroxy-6-methylpyrimidine with a suitably substituted
o-phenylenediamine, were chlorinated with POCl₃ to give the intermediate
2-[(2-benzimidazolyl)amino]-4-chloro-6-methylpyrimidines (27-99%). Oxidn.
of 5,6-dichloro-2-[[4-[[4-(diethylamino)-1-methylbutyl]amino]-6-methyl-2-
pyrimidinyl]amino]benzimidazole with m-chloroperbenzoic acid gave the
distal N4'-oxide (19%). Fusion of 2,3-diaminopyridine with
2-(cyanoamino)-4-hydroxy-6-methylpyrimidine provided 2-[(4-hydroxy-6-
methyl-2-pyrimidinyl)amino]-1H-imidazo[4,5-b]pyrimidine (30%), which upon
chlorination with POCl₃ (63%) followed by amination with
N,N-diethylethylenediamine afforded 2-[4-[[2-(diethylamino)ethyl]amino]-6-
methyl-2-pyrimidinyl]-1H-imidazo[4,5-b]pyridine (8%). Thirty-eight
2-[(4-amino-6-methyl-2-pyrimidinyl)amino]benzimidazoles possessed
curvative activity against Plasmodium berghei at single subcutaneous doses
ranging from 20-640 mg/kg. Orally, 31 compds. exhibited suppressive
activity against P. berghei comparable with or superior to the reference
drugs 1-(p-chlorophenyl)-3-[4-[[2-(diethylamino)ethyl]amino]-6-methyl-2-
pyrimidinyl]guanidine (I) and quinine-HCl while 12 of them were 5 to 28
times as potent as I and quinine-HCl. Eight compds. also displayed strong
suppressive activity against P. gallinaceum in chicks.
5,6-Dichloro-2-[[4-[2-(diethylamino)ethyl]amino]-6-methyl-2-pyrimidinyl]-
benzimidazole showed marked activity against a cycloguanil-resistant line
of P. berghei, and the most promising member of the series, i.e.
5,6-dichloro-2-[[4-[[4-(diethylamino)-1-methylbutyl]amino]-6-methyl-2-
pyrimidinyl]amino]benzimidazole (I), was designated for preclinical
toxicol. studies and clin. trial. Structure-activity relations are
discussed.

IT 42389-09-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 42389-09-3 CAPLUS

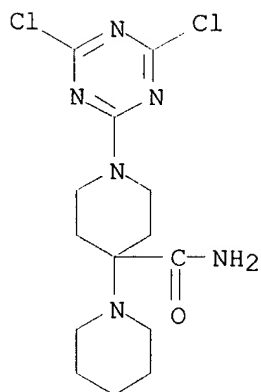
CN 1H-Benzimidazol-2-amine, N-(6-[1,4'-bipiperidin]-1'-yl-4-methyl-2-
pyrimidinyl)-5,6-dichloro- (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

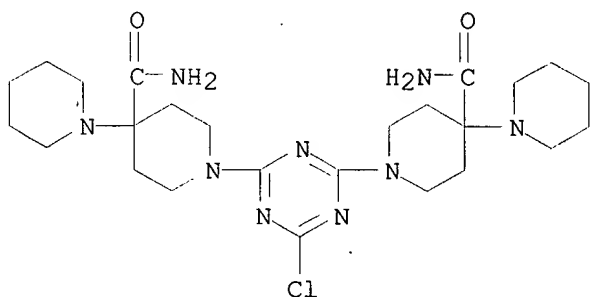
180 ANSWER 58 OF 58 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1971:141881 CAPLUS
 DOCUMENT NUMBER: 74:141881
 TITLE: s-Triazine derivatives
 INVENTOR(S): Nakanishi, Michio; Taira, Suehisa
 PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd.
 SOURCE: Jpn. Tokkyo Koho, 4 pp.
 CODEN: JAXXAD
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 46004171	B4	19710202	JP	19680213
GI	For diagram(s), see printed CA Issue.				
AB	I, useful as tranquilizers, cholesterol-lowering agents, and antiinflammants, are manufd. A mixt. of 6.8 g 2-methoxy-4-dimethylamino-6-chloro-s-triazine, 8.8 g 4-carbamoyl-4-piperidinopiperidine, 160 ml C ₆ H ₆ , 120 ml Me ₂ CO, and 4 g NET is refluxed 9 hr to give 10 g I (R ₁ = OMe, R ₂ = NMe ₂ , R ₃ = carbamoyl, R ₄ = piperidino), m. 116-19.degree. (aq. MeOH). Similarly prepd. are I (R ₁ , R ₂ , R ₃ , R ₄ , m.p., and % yield given):, OMe, NMe ₂ , CN, Ph, 161-2.degree., 84; NMe ₂ , NMe ₂ , carbamoyl, NMe ₂ , 181-2.degree.; 74; NMe ₂ , NMe ₂ , Ac, Ph, 131-2.degree., 75; SMe, NMe ₂ , carbamoyl, piperidino, 126-8.degree., 90; OEt, NHet, carbamoyl, piperidino, 196.5-8.5.degree.. 83; NHet, NET ₂ , carbamoyl, piperidino, 143-5.degree., 78; NH ₂ , NHBu, carbamoyl, piperidino, 200-3.degree., 50; Cl, Cl, carbamoyl, piperidin, 185-8.degree., 57; Cl, 4-carbamoyl-4-piperidinopiperidino, carbamoyl, piperidino, 209-11.degree., 61; 4-carbamoyl-4-piperidinopiperidino, 4-carbamoyl-4-piperidinopiperidinom carbamoyl, piperidino, 310-13.degree., 62; OMe, piperidino, OH, m-CF ₃ C ₆ H ₄ , 130-3.degree., 66; OH, morpholino, OEt, Ph, 270-2.degree., 62; NHet, 4-methyl-1-piperazinyl, OH, Ph, -(hydrochloride m. 240-2.degree.), 50.				
IT	31993-72-3P 31993-73-4P 31993-74-5P 32004-85-6P 32004-87-8P 32043-56-4P 32043-57-5P 32151-74-9P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN	31993-72-3 CAPLUS				
CN	[1,4'-Bipiperidine]-4'-carboxamide, 1'-(4,6-dichloro-s-triazin-2-yl)-(8CI) (CA INDEX NAME)				



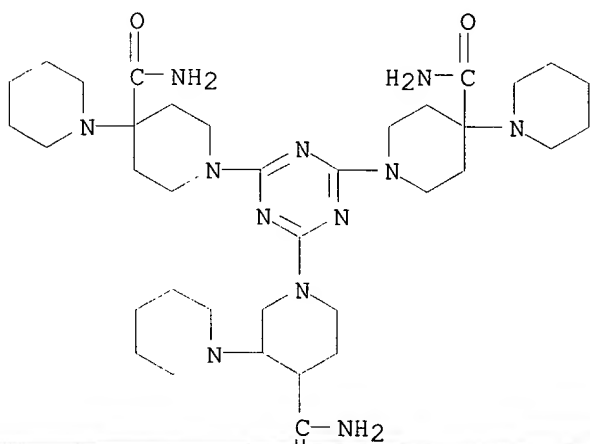
RN 31993-73-4 CAPLUS

CN [1,4'-Bipiperidine]-4'-carboxamide, 1',1'''-(6-chloro-s-triazine-2,4-diyl)bis- (8CI) (CA INDEX NAME)



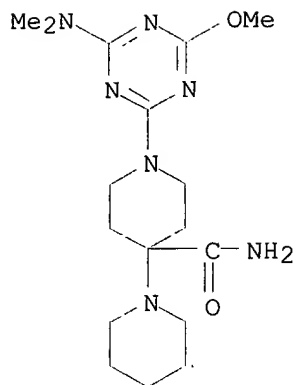
RN 31993-74-5 CAPLUS

CN [1,4'-Bipiperidine]-4'-carboxamide, 1',1''',1''''-(s-triazine-2,4,6-triyl)tris- (8CI) (CA INDEX NAME)



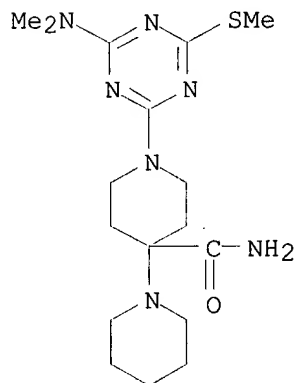
RN 32004-85-6 CAPLUS

CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-[4-(dimethylamino)-6-methoxy-s-triazin-2-yl]- (8CI) (CA INDEX NAME)



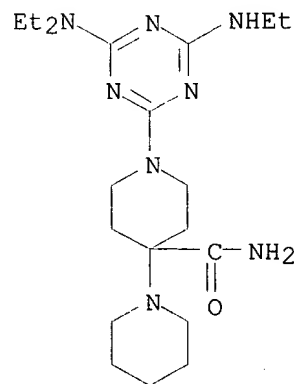
RN 32004-87-8 CAPLUS

CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-[4-(dimethylamino)-6-(methylthio)-s-triazin-2-yl]- (8CI) (CA INDEX NAME)



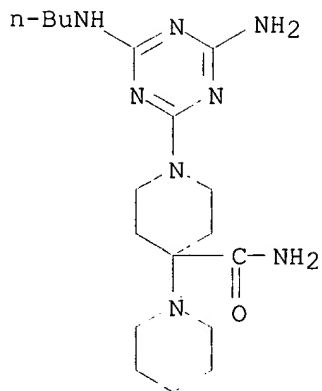
RN 32043-56-4 CAPLUS

CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-[4-(diethylamino)-6-(ethylamino)-s-triazin-2-yl]- (8CI) (CA INDEX NAME)



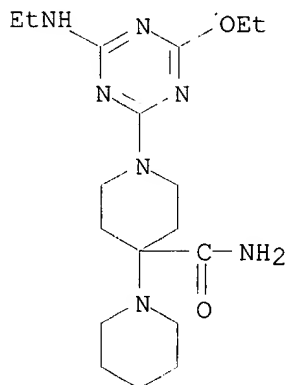
RN 32043-57-5 CAPLUS

CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-[4-amino-6-(butylamino)-s-triazin-2-yl]- (8CI) (CA INDEX NAME)



RN 32151-74-9 CAPLUS

CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-[4-ethoxy-6-(ethylamino)-s-triazin-2-yl]- (8CI) (CA INDEX NAME)



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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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more information.

L8 557855 SEA FILE=REGISTRY ABB=ON 46.156.1/RID
L10 416976 SEA FILE=REGISTRY ABB=ON L8 AND NR>2

L12 STR
L13 STR
L15 1406 SEA FILE=REGISTRY SUB=L10 SSS FUL (L12 AND L13)
L17 STR
L19 484 SEA FILE=REGISTRY SUB=L15 SSS FUL L17
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